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     (FILE 'HOME' ENTERED AT 21:21:10 ON 27 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 21:21:14 ON 27 NOV 2005
L1             1 S RISPERIDONE/CN

     FILE 'CAPLUS' ENTERED AT 21:21:30 ON 27 NOV 2005
L2          1648 S L1

     FILE 'CAOLD' ENTERED AT 21:21:36 ON 27 NOV 2005

     FILE 'CAPLUS' ENTERED AT 21:21:46 ON 27 NOV 2005
L3            16 S L2 AND "FORM A"
L4             2 S L2 AND "FORM B"
L5             2 S L2 AND "FORM E"
L6            16 S L3 OR L4 OR L5
L7          9790 S PSYCHOS?
L8           200 S L2 AND L7
L9          5503 S PSYCHOSIS
L10          183 S L2 AND L9
L11            3 S L6 AND L10
L12           16 S L6 OR L11
L13          180 S L10 NOT L12
L14           47 S L13 AND PATENT/DT
L15          136 S L10 NOT L14
L16           41 S L15 NOT (2005/SO OR 2004/SO OR 2003/SO OR 2002/SO OR 2001/SO)
L17          101 S L12 OR L14 OR L16
L18       412140 S DIFFRACTION
L19            2 S L17 AND L18
L20          101 S L17 OR L19

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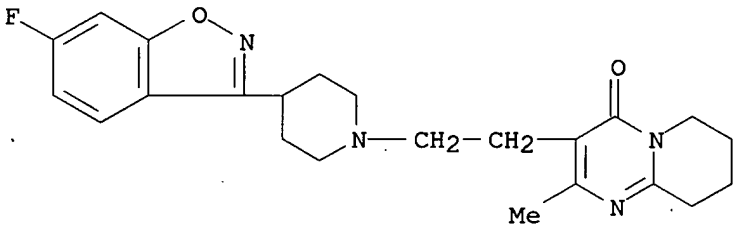
L20 ANSWER 1 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1155602 CAPLUS
DOCUMENT NUMBER: 143:427380
TITLE: Implantable device, formulation and method for antipsychotic therapy using risperidone
INVENTOR(S): Harper, Derek J.; Milo, Charles F.
PATENT ASSIGNEE(S): Microsolutions, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005240166	A1	20051027	US 2005-112331		20050422
PRIORITY APPLN. INFO.:			US 2004-565712P	P	20040426

AB An s.c. implantable device for delivering antipsychotic agent, risperidone to a patient includes a pump, a compartment configured to store a pharmaceutical formulation, and a volume of the pharmaceutical formulation loaded in the compartment, the pharmaceutical formulation including risperidone solvated or suspended in a pharmaceutically acceptable solvent in concentration of at least 50 mg/mL. The implanted pump may then deliver a therapeutically ED of risperidone to the patient.

IT **106266-06-2**, Risperidone
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(implantable device for continuous s.c. infusion of risperidone for antipsychotic therapy)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 2 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1132659 CAPLUS
DOCUMENT NUMBER: 143:399857
TITLE: Lithium salt combinations with psychoactive drugs for the treatment of anxiety, depression or psychotic conditions
INVENTOR(S): Satow, Philip Maxwell
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005233010	A1	20051020	US 2005-108476	20050418
WO 2005102366	A2	20051103	WO 2005-US13134	20050418

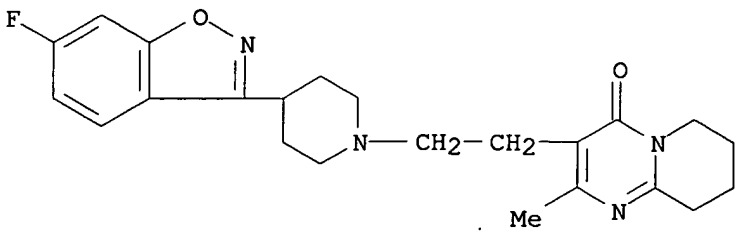
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-563347P P 20040419

AB The invention discloses combination therapies for treating anxiety, depression or psychotic conditions using a lithium salt and a psychoactive drug selected from a serotonin reuptake inhibitor, a 5HT2 receptor antagonist, an anticonvulsant, a norepinephrine reuptake inhibitor, an α-adrenoreceptor antagonist, an NK-3 antagonist, an NK-1 receptor antagonist, a PDE4 inhibitor, a neuropeptide Y5 Receptor antagonist, a D4 receptor antagonist, a 5HT1A receptor antagonist, a 5HT1D receptor antagonist, a CRF antagonist, a monoamine oxidase inhibitor, a sedative-hypnotic drug, and an atypical antipsychotic.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lithium salt combination with psychoactive drug for treatment of anxiety, depression or psychotic conditions)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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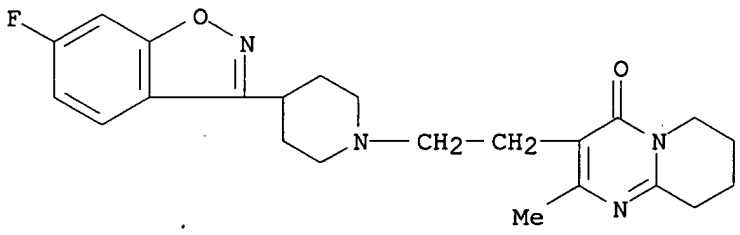
L20 ANSWER 3 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:735332 CAPLUS
DOCUMENT NUMBER: 143:199900
TITLE: Composition comprising salts or hydrates or polymorphs of idazoxan or its derivatives
INVENTOR(S): Bougaret, Joel; Avan, Jean-Louis; Segonds, Roland
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 722,451.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005176798	A1	20050811	US 2004-974675	20041028
FR 2861299	A1	20050429	FR 2003-12626	20031028
US 2005090537	A1	20050428	US 2003-722451	20031128
PRIORITY APPLN. INFO.:			FR 2003-12626 A	20031028
			US 2003-722451 A2	20031128

AB The present invention discloses a pharmaceutical composition comprising idazoxan or derivs. and their therapeutically acceptable salts, racemates, optically active isomers and polymorphs. Thus, a tablet was prepared comprising idazoxan hydrochloride 20%, microcryst. cellulose 10%, glyceryl behenate 5%, colloidal silica 0.1% and lactose monohydrate to 100%. The addition of idazoxan to the treatment with fluphenazine in patients with schizophrenia to control extrapyramidal symptoms led to significant reduction in the symptoms in comparison with fluphenazine monotherapy.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with; composition comprising salts or hydrates or polymorphs of idazoxan or its derivs.)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



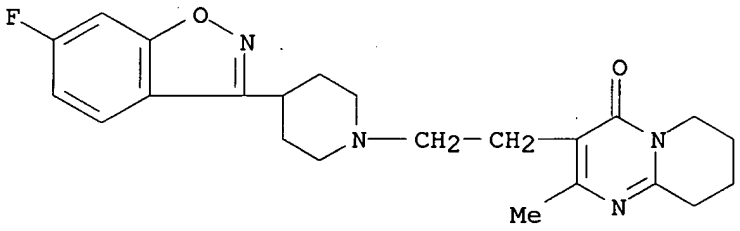
L20 ANSWER 4 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:698363 CAPLUS
DOCUMENT NUMBER: 143:146721
TITLE: Dopamine D2-like receptor agonists for treatment of psychotic symptoms, and screening methods
INVENTOR(S): Hammer, Ronald P., Jr.; Culm-Merdek, Kerry E.; Byrnes, John J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005171139	A1	20050804	US 2004-961101	20041007
PRIORITY APPLN. INFO.:			US 2003-509772P P	20031007

AB The invention provides compns. and methods for treating a human diagnosed as having, or at risk for developing, a psychotic symptom by administering a full agonist of a dopamine D2-like receptor to the human. The agonist can be known or identified by screening methods described herein.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dopamine D2-like receptor agonists for treatment of psychotic symptoms, and screening methods)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 5 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:698356 CAPLUS
DOCUMENT NUMBER: 143:179645
TITLE: Compositions containing atypical antipsychotics and azabicyclic compounds for treating CNS disorders
INVENTOR(S): Brodney, Michael A.; Howard, Harry R.
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005171086	A1	20050804	US 2005-48013	20050128
WO 2005082370	A1	20050909	WO 2005-IB106	20050117

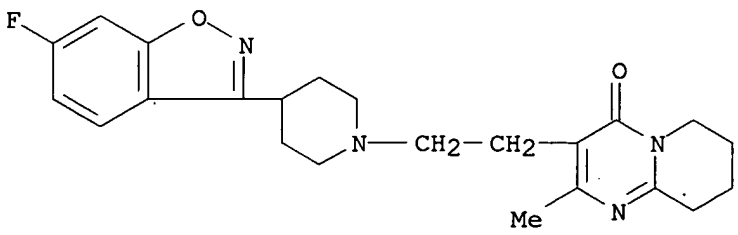
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-539939P P 20040129
OTHER SOURCE(S): MARPAT 143:179645

AB Disclosed is an aminomethylpyridyloxymethyl/benzisoxazole substituted azabicyclic compound, a pharmaceutical composition comprising same, and a method of treating one or more CNS or other disorders, including concurrent treatment of disorders such as schizophrenia and depression. For example, capsules for Parkinson's disease contained ziprasidone hydrochloride 200, benzisoxazole substituted azabicyclic compd 20, Methocel E3 222, lactose monohydrate 222, Aerosil 10, SLS 10 mg.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination chemotherapy containing atypical antipsychotics and azabicyclic compds for treating CNS disorders)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 6 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:696704 CAPLUS
DOCUMENT NUMBER: 143:179618
TITLE: Polymer-based long-term delivery formulations for treatment of nervous system disorders
INVENTOR(S): Siegel, Steven
PATENT ASSIGNEE(S): University of Pennsylvania, USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070332	A1	20050804	WO 2005-US884	20050112

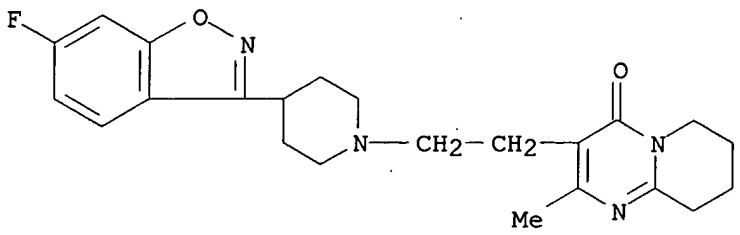
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-535908P P 20040112
US 2004-616322P P 20041006

AB The present invention provides a method, a kit and compns. for long-term release of a drug at a constant therapeutically effective level for nervous system disorders where adherence to therapeutic regimen is problematic, in particular, to the therapy of psychotic disorders. For example, implants of poly(lactide-glycolide) (75:25, 85:15, 90:10, 95:5 and 100:0, resp.) with 40% haloperidol were prepared and effects of long-term haloperidol exposure on cortical anatomy in primates (Macaca fascicularis), as a control for antipsychotic exposure in post mortem human schizophrenia studies were evaluated. Haloperidol release was measured over a total of 443 days. Average serum concentration during the first 224 days was 10.5 ± 1.5 ng/mL. During the subsequent 176 days, serum haloperidol level was sustained at lower concentration before the end of release. Mean concentration during this period was 4.0 ± 0.4 ng/mL. During the last 45 days, release follows 1st order decay release with a mean serum level of 1.2 ± 0.3 ng/mL.

IT **106266-06-2**, Risperidone
RL: DEV (Device component use); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biodegradable polymers for long-term delivery of drugs for treatment of nervous system disorders)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:612120 CAPLUS
DOCUMENT NUMBER: 143:139163
TITLE: Combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders
INVENTOR(S): Romano, Steven Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063296	A2	20050714	WO 2004-IB4174	20041215
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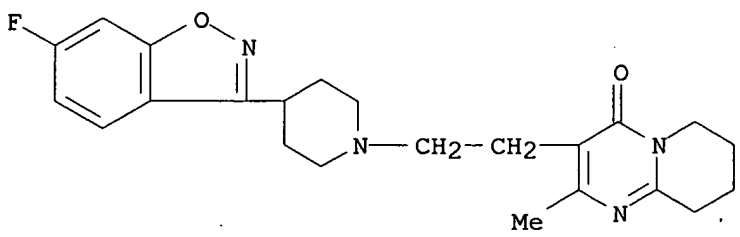
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005215571	A1	20050929	US 2004-18100	20041220
PRIORITY APPLN. INFO.:			US 2003-532082P P	20031223

OTHER SOURCE(S): MARPAT 143:139163

AB This invention relates to combinations of an atypical antipsychotic, and a nicotinic receptor agonist or antagonist, kits containing such combinations, pharmaceutical compns. comprising such combinations, and methods of using such combinations to treat patients suffering from cognitive impairment disorders or psychotic disorders or conditions. A composition was prepared by combining ziprasidone with the nicotinic agonist varenicline tartrate.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 8 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:612094 CAPLUS
DOCUMENT NUMBER: 143:133403
TITLE: Amino-substituted diaryl[a,d]cycloheptene analogs as muscarinic agonists, their preparation and use in the treatment of neuropsychiatric disorders
INVENTOR(S): Ek, Fredrik; Olsson, Roger; Ohlsson, Joergen
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063254	A2	20050714	WO 2004-US43224	20041221
WO 2005063254	A3	20050915		
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US 2005192268	A1	20050901	US 2004-19555	20041221
PRIORITY APPLN. INFO.:			US 2003-531927P P	20031222
			US 2004-548090P P	20040224
			US 2004-548604P P	20040227

OTHER SOURCE(S): MARPAT 143:133403
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

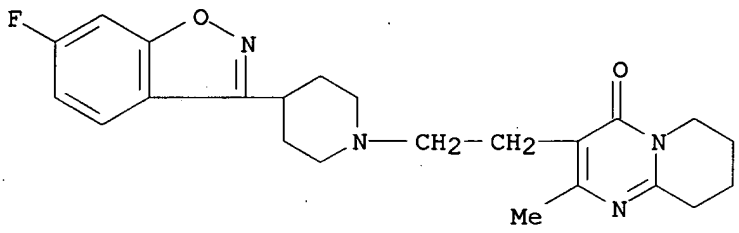
AB The invention relates to a group of novel amino-substituted dibenzazepines I, benzazepines II and related clozapine analogs, which are agonists of muscarinic receptors. In compds. I and II, W is N, CH, O, or S; Y is N, O, or CH; R1, R6, and R7 are independently absent or selected from H, halo, amino, (un)substituted C1-20 alkyl, (un)substituted C3-8 cycloalkyl, (un)substituted aryl, etc., or R1R6 is -CH2CH2-; each R2, R3, R4, and R5 is independently selected from H, halo, (un)substituted C1-6 alkyl, (un)substituted C1-6 alkoxy, cyano, etc., or R2 and R3, or R3 and R4, or R4 and R5 taken together, along with the ring carbons to which they are attached, **form a** 5- or 6-membered cycloalkyl, heterocyclyl or heteroaryl ring, or a 6-membered aryl ring; Z is (un)substituted NH, O, S, or CH2; and R8 and R9 are independently selected from H, halo, (un)substituted C1-6 alkyl, (un)substituted C1-6 alkoxy, cyano, etc., or R8 and R9 taken together, along with the ring carbons to which they are attached, **form a** 5- or 6-membered cycloalkyl, heterocyclyl or heteroaryl ring, or a 6-membered aryl ring;

including pharmaceutically acceptable salts, esters, amides or prodrugs of these, provided that compound I is not clozapine or N-desmethylclozapine. The invention also relates to the preparation of I, preparation of a combinatorial library of compds. I, pharmaceutical compns. containing compound I with a physiol. acceptable carrier, diluent, or excipient, optionally including a neuropsychiatric agent as well as to the use of the compns. for treating neuropsychiatric disorders. Substitution of 4-chloro-2-fluoronitrobenzene with 2-amino-5-chlorobenzoic acid followed by reduction of the nitro group, ring-closing coupling, and condensation with piperazine gave dibenzodiazepine III. The compds. of the invention express efficacy (eff) at muscarinic M1 receptors in the range of -11 to 92 and potency (expressed as pEC50) of 5.5 to 7.2; the compds. had eff at M2 receptors of -14 to 187 and pEC50 of 5.4 to 6.6.

IT **106266-06-2,** Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino-substituted diarylcycloheptene analogs as muscarinic agonists and methods of treatment of neuropsychiatric disorders)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 9 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:517391 CAPLUS
DOCUMENT NUMBER: 143:1320
TITLE: Clozapine and cocaine effects on dopamine and serotonin release in nucleus accumbens during psychostimulant behavior and withdrawal
INVENTOR(S): Broderick, Patricia A.
PATENT ASSIGNEE(S): The Research Foundation of the City University of New York, USA
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053619	A2	20050616	WO 2004-US40756	20041206

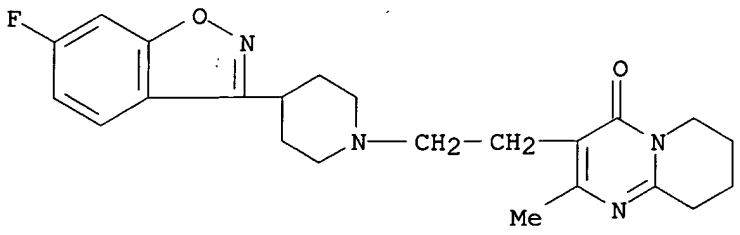
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-526833P P 20031204

AB The invention provides methods of treating cocaine-induced **psychosis** by administering an atypical antipsychotic compound in an amount sufficient to increase serotonin concentration in the nucleus accumbens of a mammal. According to the invention, atypical antipsychotic compds. include, without limitation, clozapine, risperidone, olanzapine, quetiapine, ziprasidone, sertindole, ketanserin, aripiprazole, haloperidol, flupentixol, thioridazine, loxapine, fluspirilene, and sulpiride. The invention further provides methods for microvoltammetric imaging of changes in neurotransmitter concns. in vivo and in real time comprising contacting the cell, cells, tissue, tissues, or organ of interest with a BRODERICK PROBE® sensor, applying a potential to said BRODERICK PROBE® sensor, and monitoring a temporally and spacially resolved recording using neuromol. imaging (NMI) and electrochem. circuits such as, for example, voltammetry. In one embodiment of the invention, neuromol. imaging may be performed before, during or after cocaine administration and/or cocaine-induced **psychosis**.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clozapine and cocaine effects on dopamine and serotonin release in nucleus accumbens during psychostimulant behavior and withdrawal)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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L20 ANSWER 10 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:474939 CAPLUS
DOCUMENT NUMBER: 143:1317
TITLE: Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists
INVENTOR(S): Buntinx, Erik
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119253	A1	20050602	US 2003-725965	20031202
US 2005119248	A1	20050602	US 2004-752423	20040106
US 2005119249	A1	20050602	US 2004-803793	20040318
US 2005203130	A1	20050915	US 2004-984683	20041109
WO 2005053796	A1	20050616	WO 2004-BE172	20041202

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

CA 2003-2451798	A	20031202
EP 2003-447279	A	20031202
US 2003-725965	A2	20031202
EP 2004-447001	A	20040105
US 2004-752423	A2	20040106
CA 2004-2461248	A	20040318
EP 2004-447066	A	20040318
US 2004-803793	A2	20040318
EP 2004-25035	A	20041021
JP 2004-349085	A	20041104
US 2004-984683	A	20041109
CA 2004-2487529	A	20041115

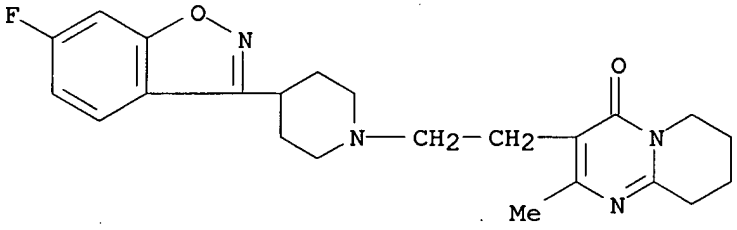
AB The present invention relates to methods of treating the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds. The

combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT **106266-06-2**, RISPERIDone
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 11 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:474936 CAPLUS
DOCUMENT NUMBER: 143:1315
TITLE: Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists
INVENTOR(S): Buntinx, Erik
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 725,965.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119248	A1	20050602	US 2004-752423	20040106
US 2005119253	A1	20050602	US 2003-725965	20031202
US 2005119249	A1	20050602	US 2004-803793	20040318
US 2005203130	A1	20050915	US 2004-984683	20041109
WO 2005053796	A1	20050616	WO 2004-BE172	20041202

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-725965	A2	20031202
CA 2003-2451798	A	20031202
EP 2003-447279	A	20031202
EP 2004-447001	A	20040105
US 2004-752423	A2	20040106
CA 2004-2461248	A	20040318
EP 2004-447066	A	20040318
US 2004-803793	A2	20040318
EP 2004-25035	A	20041021
JP 2004-349085	A	20041104
US 2004-984683	A	20041109
CA 2004-2487529	A	20041115

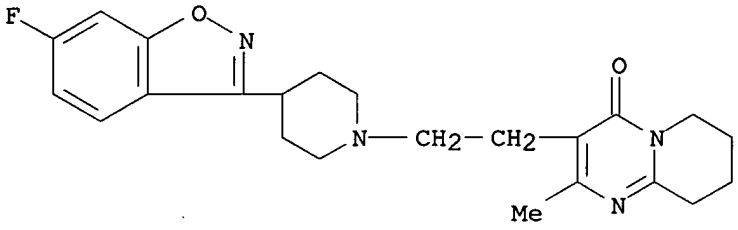
AB The present invention relates to methods of treating of the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same

chemical or biol. compound or in two different chemical and/or biol. compds. The combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, an NK1 antagonist, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT **106266-06-2**, RISPERIDone
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 12 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:471959 CAPLUS
DOCUMENT NUMBER: 143:1313
TITLE: Use of cyclooxygenase-2 selective inhibitors and combinations with neuroleptics for the treatment of schizophrenic disorders
INVENTOR(S): Hagan, James; Routledge, Carol
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049034	A2	20050602	WO 2004-EP13076	20041117
WO 2005049034	A3	20050922		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

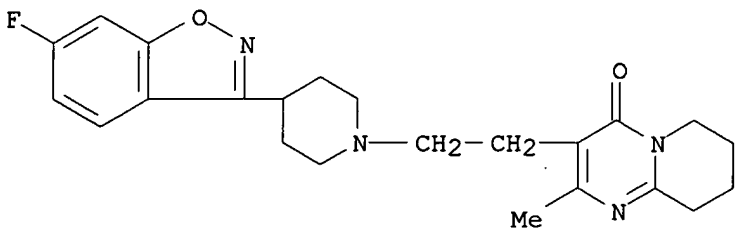
PRIORITY APPLN. INFO.: GB 2003-26967 A 20031119
GB 2003-27937 A 20031202

OTHER SOURCE(S): MARPAT 143:1313

AB The invention discloses the use of compds. which are cyclooxygenase-2 (COX-2) inhibitors, and pharmaceutically acceptable salts and solvates thereof, for the treatment of schizophrenic disorders. Schizophrenic disorders of the invention are to be intended schizophrenia, delusional disorders, affective disorders, autism or tic disorders, schizophreniform disorders, in particular chronic schizophrenic **psychoses** and schizoaffective **psychoses**, temporary acute psychotic disorders. Moreover, the invention discloses the use of a pyrimidine derivative known as a COX-2 inhibitor in combination with a neuroleptic drug for the treatment of schizophrenic disorders. Compound preparation is described.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase-2 inhibitors and combinations with neuroleptics for treatment of schizophrenic disorders)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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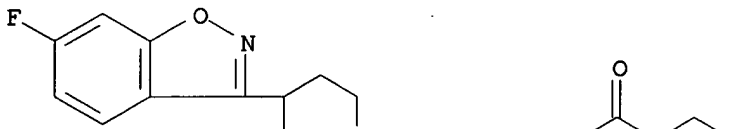
L20 ANSWER 13 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:452034 CAPLUS
DOCUMENT NUMBER: 143:379048
TITLE: GPCR antitarget modeling: Pharmacophore models for biogenic amine binding GPCRs to avoid GPCR-mediated side effects
AUTHOR(S): Klabunde, Thomas; Evers, Andreas
CORPORATE SOURCE: Scientific and Medical Affairs, Drug Design - A Company of the Sanofi-Aventis Group, Aventis Pharma Deutschland GmbH, Frankfurt am Main, 65926, Germany
SOURCE: ChemBioChem (2005), 6(5), 876-889
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB G protein-coupled receptors (GPCRs) **form a** large protein family that plays an important role in many physiol. and pathophysiol. processes. However, the central role that the biogenic amine binding GPCRs and their ligands play in cell signaling poses a risk in new drug candidates that reveal side affinities towards these receptor sites. These candidates have the potential to interfere with the physiol. signaling processes and to cause undersired effects in preclin. or clin. studies. Here, we present 3D cross-chemotype pharmacophore models for three biogenic amine antitargets: the α1A adrenergic, the 5-HT2A serotonin, and the D2 dopamine receptors. These pharmacophores describe the key chemical features present within these biogenic amine antagonists and rationalize the biogenic amine side affinities found for numerous new drug candidates. First applications of the α1A adrenergic receptor model reveal that these in silico tools can be used to guide the chemical optimization towards development candidates with fewer α1A-mediated side effects (for example, orthostatic hypotension) and, thus, with an improved clin. safety profile.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR studies and pharmacophore models for biogenic amine binding GPCRs to avoid GPCR-mediated side effects)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:371484 CAPLUS
DOCUMENT NUMBER: 142:404283
TITLE: Receptor internalization-based assay for screening antipsychotic drugs
INVENTOR(S): Panicker, Mitradas Madhav; Bhattacharyya, Samarjit
PATENT ASSIGNEE(S): National Centre for Biological Sciences, India
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005038455	A1	20050428	WO 2004-IB3364	20041015

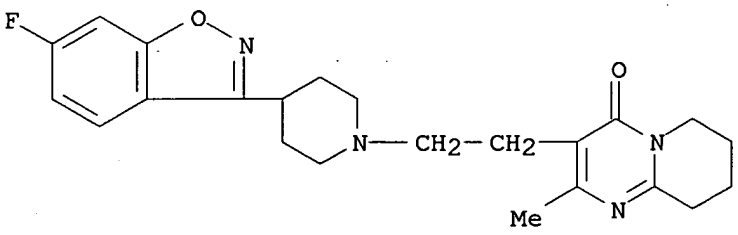
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2003-CH840 A 20031017

AB An assay method suitable for screening antipsychotic drugs is disclosed, wherein the drugs may be selected based on the differential internalization of the 5HT2A receptor in neuronal and non-neuronal cell lines. The assay allows the prediction of extrapyramidal symptoms that may be induced by an antipsychotic agent without having to carry out in vivo expts.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); BIOL (Biological study)
(receptor internalization-based assay for screening antipsychotic drugs)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 15 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:369131 CAPLUS
DOCUMENT NUMBER: 142:417199
TITLE: Pharmaceutical composition based on idazoxan, salts, hydrates or polymorphs
INVENTOR(S): Bougaret, Joel; Avan, Jean-Louis; Segonds, Roland
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090537	A1	20050428	US 2003-722451	20031128
FR 2861299	A1	20050429	FR 2003-12626	20031028
WO 2005041956	A1	20050512	WO 2004-FR2773	20041028
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005176798	A1	20050811	US 2004-974675	20041028

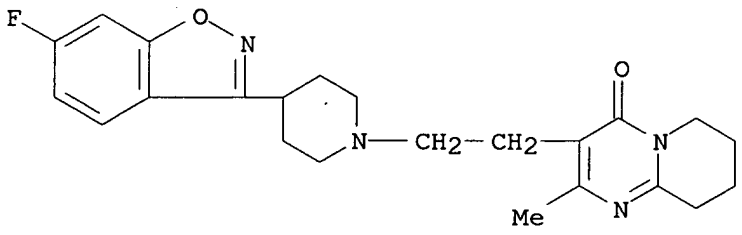
PRIORITY APPLN. INFO.: FR 2003-12626 A 20031028
US 2003-722451 A2 20031128

AB A pharmaceutical composition comprises an idazoxan salt or idazoxan hydrate 5, microcryst. cellulose 10, lubricant 5, colloidal silica 0.1, and lactose monohydrate qs to 100%. Crystallog. anal. by powder x-ray **diffraction** was carried out on idazoxan polymorphs.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition based on idazoxan or salts or hydrates or polymorphs)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



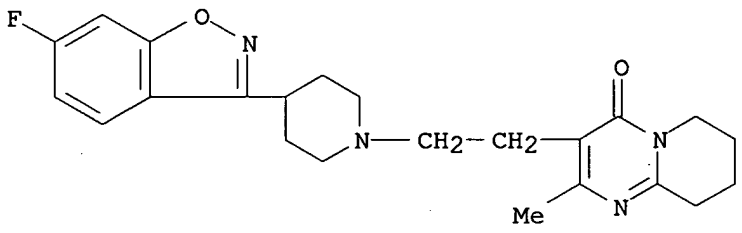
L20 ANSWER 16 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:349001 CAPLUS
DOCUMENT NUMBER: 142:386016
TITLE: Use of N-desmethylclozapine to treat human neuropsychiatric disease
INVENTOR(S): Weiner, David M.; Brann, Mark R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S Ser. No. 761,787.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005085463	A1	20050421	US 2004-913117		20040805
US 2004224942	A1	20041111	US 2004-761787		20040121
PRIORITY APPLN. INFO.:			US 2003-442690P	P	20030123
			US 2004-761787	A2	20040121

AB Disclosed herein is a method to treat neuropsychiatric diseases including **psychosis**, affective disorders, dementia, neuropathic pain, and glaucoma. Treatment is carried out by administering a therapeutically effective amount of N-desmethylclozapine to a patient suffering from a neuropsychiatric disease.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of N-desmethylclozapine to treat human neuropsychiatric disease)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

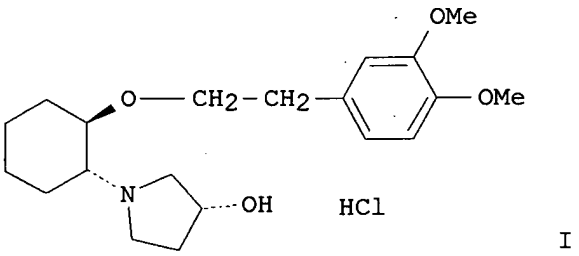


L20 ANSWER 17 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:182514 CAPLUS
DOCUMENT NUMBER: 142:274013
TITLE: Ion channel-modulating compounds for the prevention and treatment of arrhythmias
INVENTOR(S): Fedida, David; Beatch, Gregory N.; Ezrin, Alan M.; Orth, Peter; Hesketh, Christian
PATENT ASSIGNEE(S): Cardiome Pharma Corp., Can.
SOURCE: PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018635	A2	20050303	WO 2004-US25889	20040809
WO 2005018635	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005038256	A1	20050217	US 2004-862157	20040604
WO 2005016242	A2	20050224	WO 2004-US18050	20040604
WO 2005016242	C1	20050630		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005070552	A1	20050331	US 2004-914864	20040809

PRIORITY APPLN. INFO.:	US 2003-493392P	P	20030807
	US 2003-516486P	P	20031031
	US 2004-544941P	P	20040213
	US 2003-476083P	P	20030604
	US 2003-475884P	P	20030605
	US 2003-475912P	P	20030605
	US 2003-476447P	P	20030605
	US 2003-489659P	P	20030723

OTHER SOURCE(S): MARPAT 142:274013
GI



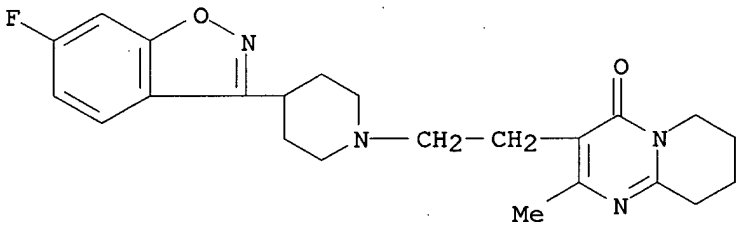
I

AB The invention discloses methods, compns., dosing regimes, and routes of administration for the treatment or prevention of arrhythmias. In these methods, early afterdepolarizations and prolongation of QT interval may be reduced or eliminated by administering ion channel-modulating compds. to a subject in need thereof. The ion channel modulating compds. may be cycloalkylamine ether compds., particularly cyclohexylamine ether compds. Compds. of the invention include e.g. I. Also described are compns. of ion channel-modulating compds. and drugs which induce early afterdepolarizations, prolongation of QT interval and/or Torsades de Pointes.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ion channel-modulating compds. for prevention and treatment of arrhythmias)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 18 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:136538 CAPLUS
DOCUMENT NUMBER: 142:212383
TITLE: Combination therapy including AMPA receptor potentiators for the treatment of cognitive disorders or **psychoses**
INVENTOR(S): Bleakman, David
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013961	A1	20050217	WO 2004-US17439	20040702

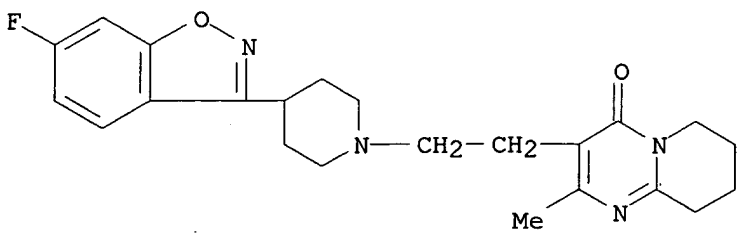
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-487966P P 20030717
US 2003-487967P P 20030717

AB The invention provides a method for treating a patient suffering from or susceptible to **psychosis**, comprising administering an effective amount of a first component which is an atypical antipsychotic and an effective amount of a second component which is an AMPA receptor potentiator, and the pharmaceutical compns. thereof. The invention also provides a method for treating a patient suffering from or susceptible to a cognitive disorder, comprising administering an effective amount of a first component which is a drug useful in treating a cognitive disorder and an effective amount of a second component which is an AMPA receptor potentiator, and the pharmaceutical compns. thereof.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy including AMPA receptor potentiators for treatment of cognitive disorders or **psychoses**)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 19 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:589 CAPLUS
DOCUMENT NUMBER: 142:79960
TITLE: New psychiatric drug formulation with an antipsychotic or antidepressant and an histamine H3 receptor antagonist for the prevention of psychotropic adverse effects
INVENTOR(S): Schwartz, Jean Charles; Rousseau Lecomte, Jeanne Marie
PATENT ASSIGNEE(S): Bioprojet, Fr.
SOURCE: Fr. Demande, 32 pp.
CODEN: FRXXBL
DOCUMENT TYPE: **Patent**
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2856596	A1	20041231	FR 2003-7836	20030627
WO 2005000315	A1	20050106	WO 2004-FR1628	20040625

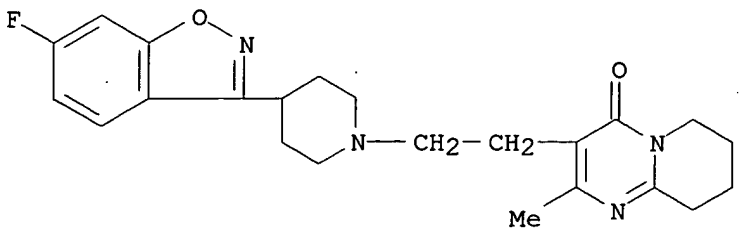
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2003-7836 A 20030627
OTHER SOURCE(S): MARPAT 142:79960

AB The invention relates to a drug formulation with an antipsychotic or an antidepressant, which causes adverse effects like body weight gain or sedation when administered, and an histamine H3 receptor antagonist or inverse agonist. The histamine H3 receptor antagonist or inverse agonist should be present in the formulation in therapeutically effective quantities to ensure at least one of the three following effects on the adverse effects induced by the antipsychotic or the antidepressant: suppression or limitation of weight gain, suppression or limitation of the decrease in vigilance, enhancement of the pro-cognitive effect of the treatment.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as antipsychotic or antidepressant; new psychiatric drug formulation with an antipsychotic or antidepressant and an histamine H3 receptor antagonist for prevention of psychotropic adverse effects)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 20 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1033542 CAPLUS
DOCUMENT NUMBER: 142:17977
TITLE: Compns. with dopamine agonists and antagonists modulating the suppressive activity of regulatory T cells (Treg) on effector T cells (Teff) and therapeutic uses thereof for the treatment of neurodegenerative disorders
INVENTOR(S): Eisenbach-Schwartz, Michal; Kipnis, Jonathan
PATENT ASSIGNEE(S): Yeda Research and Development Co. Ltd., Israel
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103263	A2	20041202	WO 2004-IL442	20040523

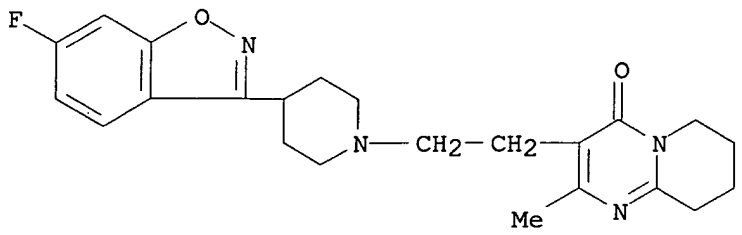
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-472410P P 20030522

AB An agent selected from: (i) dopamine; (ii) a dopamine precursor; (iii) an agonist of the dopamine receptor type 1 family (D1-R agonist); (iv) an antagonist of the dopamine receptor type 2 family (D2-R antagonist); (v) a combination of (i) and (ii); or (vi) a combination of (i), (ii) or (iii) with (iv), down-regulates the suppressive activity of CD4+CD25+ regulatory T cells (Treg) on CD4+CD25- effector T cells (Teff) and is useful in methods and compns. for treating a neurodegenerative condition, disorder or disease other than Parkinson's disease.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. with dopamine agonists and antagonists modulating the suppressive activity of regulatory T cells (Treg) on effector T cells (Teff) and therapeutic uses thereof)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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L20 ANSWER 21 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1019878 CAPLUS
DOCUMENT NUMBER: 142:731
TITLE: Use of secretin in treatments of disorders associated with the amygdala
INVENTOR(S): Yurgelun-Todd, Deborah A.; Renshaw, Perry F.
PATENT ASSIGNEE(S): The McLean Hospital Corporation, USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100899	A2	20041125	WO 2004-US15282	20040513

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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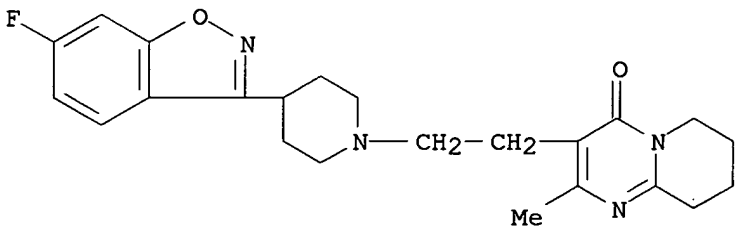
PRIORITY APPLN. INFO.: US 2003-470177P P 20030513

AB The invention provides methods for treating disorders associated with the amygdala. The methods of treatment are based on the administration of a therapeutically effective amount of secretin to an individual suffering from a disorder associated with the amygdala, e.g., bipolar disorder or a substance use disorder.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of secretin in treatments of disorders associated with amygdala)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

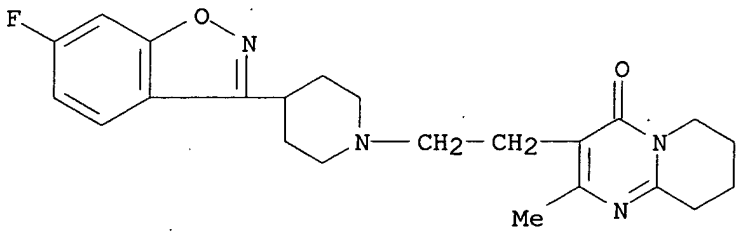


L20 ANSWER 22 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1015909 CAPLUS
DOCUMENT NUMBER: 142:11552
TITLE: Therapeutic combinations of atypical antipsychotics with GABA modulators and/or anticonvulsant drugs
INVENTOR(S): Romano, Steven Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100992	A2	20041125	WO 2004-IB1517	20040503
WO 2004100992	A3	20050120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005004106	A1	20050106	US 2004-845826	20040514
PRIORITY APPLN. INFO.:			US 2003-471188P P	20030516

AB This invention relates to combinations of (i) an atypical antipsychotic, and (ii) a GABA modulator, a benzodiazepine, and/or an anticonvulsant drug, kits containing such combinations, pharmaceutical compns. comprising such combinations, and methods of using such combinations to treat patients suffering from treatment-resistant anxiety disorders, psychotic disorders or conditions, or mood disorders or conditions. For example, a composition could be prepared by combining ziprasidone with a GABA modulator, i.e., (a) gabapentin, (b) pregabalin, or (c) lamotrigine, in a pharmaceutically acceptable carrier. The composition contains resp. amts. of ziprasidone and gabapentin, pregabalin or lamotrigine to deliver, on a daily basis about 20 to 160 mg ziprasidone, and about (a) 100 to 400 mg gabapentin; (b) 1 to 500 mg pregabalin; or (c) 2 to 200 mg lamotrigine. The composition could be administered to a patient for the treatment of schizophrenia on a daily, twice daily, three times daily, or four times daily basis.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of atypical antipsychotics with GABA modulators and/or anticonvulsant drugs for treatment of psychiatric conditions)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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L20 ANSWER 23 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927203 CAPLUS
DOCUMENT NUMBER: 141:400904
TITLE: Risperidone monohydrochloride
INVENTOR(S): Bartl, Jiri; Gieling, Reinerus Gerardus
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

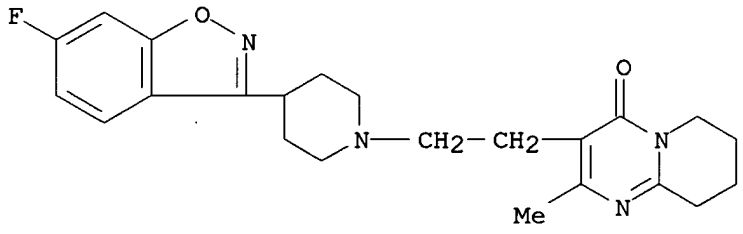
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094415	A1	20041104	WO 2004-EP4129	20040415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004266790	A1	20041230	US 2004-825683	20040416
US 2004266791	A1	20041230	US 2004-825684	20040416

PRIORITY APPLN. INFO.: US 2003-464364P P 20030422

AB Hydrochloride salts of risperidone have been found to have useful properties. A preferred form is crystalline risperidone monohydrochloride hemipentahydrate. The monohydrochloride salts can be used in pharmaceutical compns. and methods such as for use in treating psychotic disorders.

IT **106266-06-2**, Risperidone
RL: RCT (Reactant); RACT (Reactant or reagent)
(risperidone monohydrochloride)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



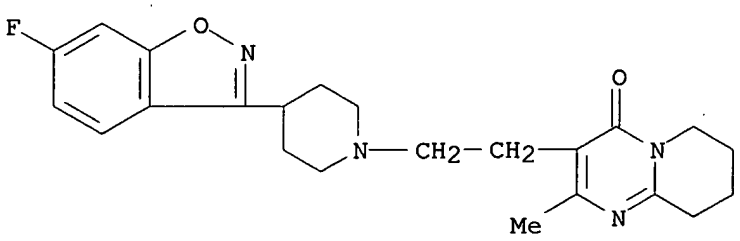
L20 ANSWER 24 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927202 CAPLUS
DOCUMENT NUMBER: 141:400903
TITLE: Water soluble salts of risperidone
INVENTOR(S): Gieling, Reinerus Gerardus; Laurant, Desiree
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094414	A1	20041104	WO 2004-EP4128	20040415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004266790	A1	20041230	US 2004-825683	20040416
US 2004266791	A1	20041230	US 2004-825684	20040416
PRIORITY APPLN. INFO.:			US 2003-464364P P	20030422

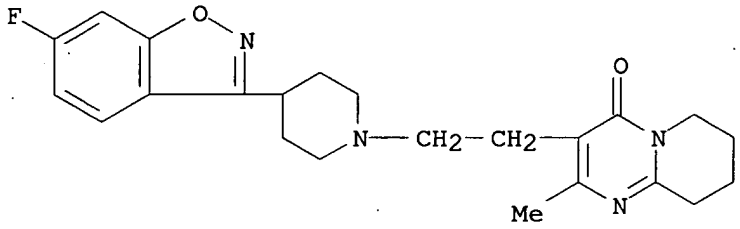
AB The invention relates to a salt of risperidone in solid state having a water solubility of at least 10 mg/mL, to risperidone dihydrochloride, risperidone hydrogen maleate, risperidone hemitartrate and risperidone (L)-hemimalate, to a process for making a solid-state water soluble salt of risperidone, which comprises: contacting a risperidone donor with a suitable acid in an organic solvent to **form a** water soluble risperidone salt; and precipitating said risperidone salt from said solvent, and
to the use for treating psychotic disorders in a mammal.

IT **106266-06-2**, Risperidone
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(water soluble salts of risperidone)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



IT **106266-06-2DP**, Risperidone, salts
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(water soluble salts of risperidone)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



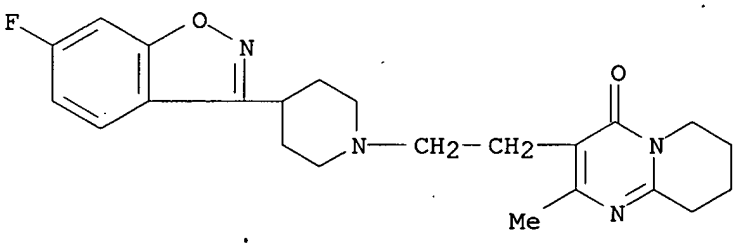
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 25 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:878157 CAPLUS
DOCUMENT NUMBER: 141:337648
TITLE: Process for the preparation of risperidone
INVENTOR(S): Reddy, Reguri Buchi; Ramesh, Chakka; Reddy, Tamma Ranga; Kumar, Kandirelli Venkata Kiran
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SOURCE: U.S. Pat. Appl. Publ., 7 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004209898	A1	20041021	US 2004-761803	20040121
PRIORITY APPLN. INFO.:			IN 2003-MA62 A	20030121

AB The present invention is directed to a process for the preparation of the EPCRS (European current reference standard) form of risperidone. The present invention also embodies a process for the preparation of EPCRS crystalline form of Risperidone which comprises, heating the Risperidone in an organic solvent(s) followed by subsequent cooling and isolation to get desired polymorph of risperidone (Formula I). 1. Thus, 90.0 mL of toluene was added to 10.0 g of risperidone and the reaction solution was heated to reflux, the solution was then treated with 1.0 g of carbon and filtered. The reaction solution was then slowly added to a flask containing 80.0 mL of iso octane at a temperature of 25-35°. and stirred for 1-2 h. The reaction solution was then filtered and the precipitate was washed with 10.0 mL of iso-octane and subsequently dried to afford **form-A** of risperidone (yield 8.1 g, 81%).

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(process for preparation of risperidone)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



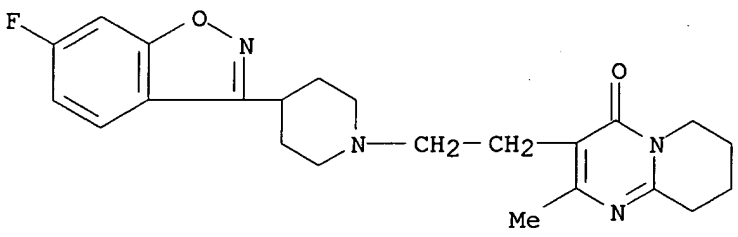
L20 ANSWER 26 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:780544 CAPLUS
DOCUMENT NUMBER: 141:301421
TITLE: Improved bioavailability and improved delivery of alkaline drugs
INVENTOR(S): Yu, Ruey J.; Van Scott, Eugene J.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080468	A1	20040923	WO 2004-US6699	20040305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004214215	A1	20041028	US 2004-792273	20040304
PRIORITY APPLN. INFO.:			US 2003-452557P	P 20030307
			US 2004-792273	A 20040304

OTHER SOURCE(S): MARPAT 141:301421

AB Embodiments of the invention relate to a composition, a process of making the composition, and to the use of the composition The compns. include a mol. complex formed between an alkaline pharmaceutical and at least one selected from a hydroxyacid, a polyhydroxy acid, a related acid, a lactone, or combinations thereof. The compns. provide improved bioavailability and improved delivery of the drug into the cutaneous tissues. For example, diphenhydramine hydrochloride 29 g (0.1 mol) was dissolved in water (50 mL) and 5N sodium hydroxide (20 mL) was slowly added to generate diphenhydramine as a free base as shown by the formation of oily ppts. and the change from pH 5.5 to 9.4. Gluconolactone 18 g (0.1 mol) was added to **form a** mol. complex between the diphenhydramine free base and gluconic acid/gluconolactone as shown by the disappearance of the oily ppts. and the change from pH 9.4 to 7.4. The solution thus obtained contained 0.1 mol diphenhydramine in mol. complex with 0.1 mol gluconic acid/gluconolactone. This concentrated stock solution was used for various forms of topical formulations including oil-in-water creams, lotions, gels and solns.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(improved bioavailability and improved delivery of alkaline drugs using hydroxy acids)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 27 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:681199 CAPLUS
DOCUMENT NUMBER: 141:195307
TITLE: Polymeric formulations for drug delivery
INVENTOR(S): Domb, Abraham J.
PATENT ASSIGNEE(S): Efrat Biopolymers Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Pat. Appl. 2004 57,970.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004161464	A1	20040819	US 2004-763876	20040123
WO 2002044232	A2	20020606	WO 2001-IL1103	20011127
WO 2002044232	C1	20021003		
WO 2002044232	A3	20030123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

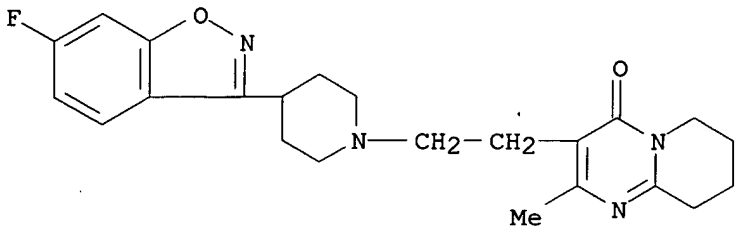
US 2004057970	A1	20040325	US 2003-433143		20030530
PRIORITY APPLN. INFO.:			IL 2000-140017	A	20001130
			WO 2001-IL1103	W	20011127
			US 2003-442799P	P	20030123
			US 2003-433143	A2	20030530

AB Poly(ester-anhydrides) or polyesters formed from ricinoleic acid and natural fatty diacids and their method of preparation and its use for delivering bioactive agents including small drug mols., peptides and proteins, DNA and DNA complexes with cationic lipids or polymers or nano- and microparticles loaded with bioactive agents are disclosed herein. The drug delivery compns. are administered to a patient in a liquid form, increase in viscosity in vivo to **form a** drug depot or implant, and are able to release the incorporated bioactive agent for weeks. In the preferred embodiment, the drug delivery formulations are administered by injection. In one embodiment, the compns. are suitable for local or regional delivery of drugs to diseased sites, such as treating solid tumors and bone infections. In a preferred embodiment, the drug delivery compns. are suitable for site-specific chemotherapy for the treatment of solid tumors including: squamous cell carcinoma (SCC) of the head and neck, prostate cancer, and sarcomas for intratumoral injection or insertion. For example, insulin powder was mixed with sebacic acid-ricinoleic acid copolymer and added in a buffer solution A constant release of insulin for more than 30 days was obtained.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(poly(ester-anhydrides) as drug carriers for forming depots by injection)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 28 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:633461 CAPLUS
DOCUMENT NUMBER: 141:167815
TITLE: Use of N-desmethylclozapine to treat human neuropsychiatric disease
INVENTOR(S): Weiner, David M.; Brann, Mark R.
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064753	A2	20040805	WO 2004-US1509	20040121
WO 2004064753	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
CA 2512043	AA	20040805	CA 2004-2512043	20040121
EP 1589974	A2	20051102	EP 2004-704073	20040121
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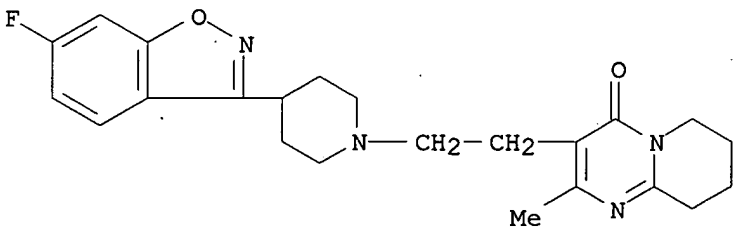
PRIORITY APPLN. INFO.: US 2003-442690P P 20030123
WO 2004-US1509 W 20040121

AB Disclosed herein is a method to treat neuropsychiatric diseases including **psychosis**, affective disorders, dementia, neuropathic pain, and glaucoma. Treatment is carried out by administering a therapeutically effective amount of N-desmethylclozapine to a patient suffering from a neuropsychiatric disease.

IT **106266-06-2**, Risperdal
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(desmethylclozapine to treat human neuropsychiatric disease)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 29 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:633448 CAPLUS
DOCUMENT NUMBER: 141:167814
TITLE: Selective serotonin 2A/2C receptor inverse agonists as therapeutics for neurodegenerative diseases
INVENTOR(S): Weiner, David M.; Davis, Robert E.; Brann, Mark R.
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064738	A2	20040805	WO 2004-US1234	20040115
WO 2004064738	A3	20041125		
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CA 2512639	AA	20040805	CA 2004-2512639	20040115
US 2004213816	A1	20041028	US 2004-759561	20040115
EP 1587789	A2	20051026	EP 2004-702584	20040115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

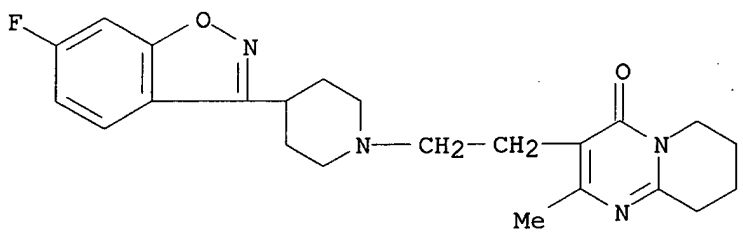
PRIORITY APPLN. INFO.:

US 2003-441406P	P	20030116
US 2003-479346P	P	20030617
WO 2004-US1234	W	20040115

AB Behavioral pharmacol. data with the compound of formula (I), a novel and selective 5HT2A/2C receptor inverse agonist, demonstrate in vivo efficacy in models of **psychosis** and dyskinesias. This includes activity in reversing MK-801 induced locomotor behaviors, suggesting that this compound may be an efficacious anti-psychotic, and activity in an MPTP primate model of dyskinesias, suggesting efficacy as an anti-dyskinesia agent. These data support the hypothesis that 5HT2A/2C receptor inverse agonism may confer antipsychotic and anti-dyskinetic efficacy in humans, and indicate a use of the compound of formula (I) and related agents as novel therapeutics for Parkinson's Disease, related human neurodegenerative diseases, and **psychosis**.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin 2A/2C receptor inverse agonists as therapeutics for neurodegenerative diseases)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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L20 ANSWER 30 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:569860 CAPLUS
DOCUMENT NUMBER: 141:123661
TITLE: Method of increasing neutrophil production using 2,3-benzodiazepines
INVENTOR(S): Harris, Herbert W.; Kucharik, Robert F.
PATENT ASSIGNEE(S): Vela Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of U.S. Ser. No. 309,527.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138210	A1	20040715	US 2003-728286	20031202
US 2004106601	A1	20040603	US 2002-309527	20021203
PRIORITY APPLN. INFO.:			US 2002-309527 A2	20021203
OTHER SOURCE(S):	MARPAT 141:123661			

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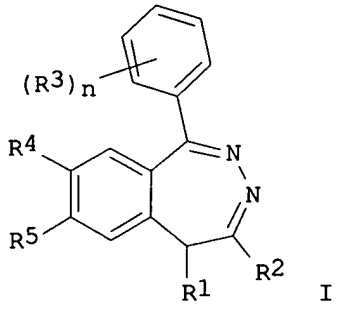


I

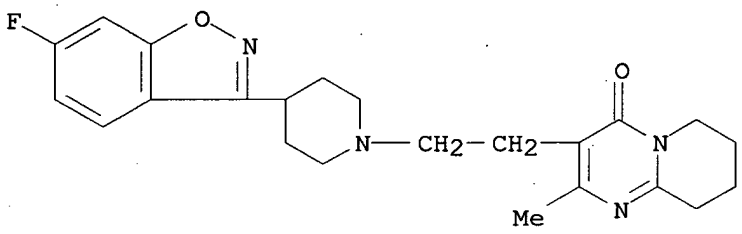
AB Claimed is a method of increasing the absolute neutrophil count in an individual, comprising administering to said individual an effective amount of at least one compound according to formula (I) [R1 = C1-7 hydrocarbyl, C2-6 heteroalkyl; R2 = H, C1-7 hydrocarbyl; wherein R1 and R2 may combine to **form a** carbocyclic or heterocyclic 5- or 6-membered ring; R3 is independently selected from the group consisting of C1-6 alkoxy, OH, acyloxy, SH, C1-3 alkylthio, NH2, C1-6 alkylamino, di(C1-6 alkyl)amino, acylamino, NO2 and halogen; n = 1, 2 or 3; R4 and R5 are independently selected from the group consisting of C1-6 alkoxy, OH, acyloxy, SH, C1-3 alkylthio, NH2, acylamino, and halogen; wherein, R4 and R5 may combine to **form a** 5, 6 or 7-membered heterocyclic ring] or pharmaceutically-acceptable salts thereof. Also claimed is a method of treating an individual afflicted with neutropenia or preventing neutropenia in an individual who is at risk of developing neutropenia, comprising administering to said individual an effective amount of at least one compound I. The neutropenia treated is a side effect of exposure of an individual to ionizing radiation, in particular in therapeutic radiation therapy or the neutropenia developed is associated with immunodeficiency, in particular cancer or virus such as immunodeficiency virus. Thus, 4.41 g (10 mmol) 1-(3,4-dimethoxyphenyl)-3-methyl-4-ethyl-

6,7-dimethoxyisobenzopyrilium chloride hydrochloride was dissolved in 35 mL MeOH at 40°, cooled to 20-25°, treated with a solution of hydrazine hydrate (0.75 g, 15 mmol) in 5 mL MeOH, allowed to react while monitoring by HPLC and when complete, evaporated to dryness, triturated with cold water (3 mL), filtered, dried to yield the crude 1-(3,4-dimethoxyphenyl)-4-methyl-5-ethyl-7-hydroxy-8-methoxy-5H-2,3-benzodiazepine which was subsequently triturated with hot EtOAc to give the pure product. (R)-1-(3,4-dimethoxyphenyl)-4-methyl-5-ethyl-7,8-dimethoxy-5H-2,3-benzo diazepine [(R)-tofisopam] significantly increased the neutrophil levels in a dose-dependently manner, e.g. by 29, 47, and 63% at 100, 200, 400 mg/kg/day, resp., for 15 days in female CD(SD)IGS BR rats.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of benzodiazepines for increasing neutrophil production to prevent or treat neutropenia developed as side effect of exposure to ionizing radiation in therapeutic radiation therapy.)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



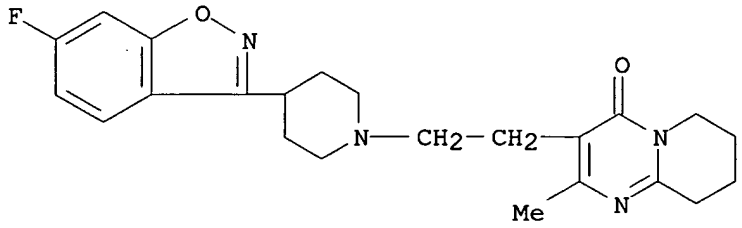
L20 ANSWER 31 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453015 CAPLUS
DOCUMENT NUMBER: 141:17632
TITLE: Methods and agents elevating cAMP and calcium ion for increasing neurogenesis
INVENTOR(S): Bertilsson, Goran; Erlandsson, Rikard; Frisen, Jonas; Haegestrand, Anders; Heidrich, Jessica; Hellstrom, Kristina; Haggblad, Johan; Jansson, Katarina; Kortesmaa, Jarkko; Lindquist, Per; Lundh, Hanna; McGuire, Jacqueline; Mercer, Alex; Njberg, Karl; Ossoinak, Amina; Patrone, Cesare; Ronnholm, Harriet; Zachrisson, Olof; Wikstrom, Lilian
PATENT ASSIGNEE(S): Neuronova AB, Swed.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004045592	A2	20040603	WO 2003-IB5311	20031120
WO 2004045592	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506850	AA	20040603	CA 2003-2506850	20031120
EP 1583541	A2	20051012	EP 2003-772495	20031120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2005081619	A2	20050909	WO 2004-IB4451	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:		
US 2002-427912P	P	20021120
US 2003-718071	A	20031120
WO 2003-IB5311	W	20031120
US 2004-850055	A	20040519

AB The invention discloses methods for promoting neurogenesis by contacting neuronal tissue with intracellular cAMP-elevating agents and intracellular calcium ion-elevating agents. Agents for promoting neurogenesis are also disclosed.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cAMP-elevating and calcium ion-elevating compds. for increasing neurogenesis)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 32 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:451630 CAPLUS
DOCUMENT NUMBER: 141:23557
TITLE: Preparation of (R)-2,3-benzodiazepines for the treatment of neutropenia.
INVENTOR(S): Harris, Herbert W.; Kucharik, Robert F.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106601	A1	20040603	US 2002-309527	20021203
US 2004138210	A1	20040715	US 2003-728286	20031202
WO 2004050615	A2	20040617	WO 2003-US38634	20031203
WO 2004050615	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

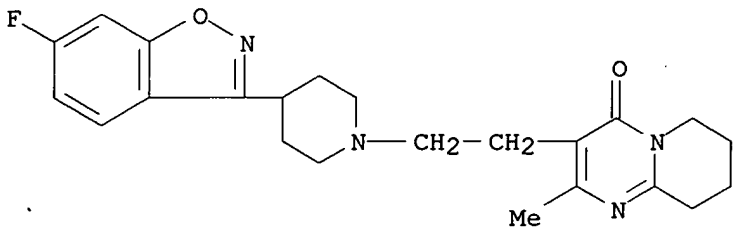
PRIORITY APPLN. INFO.: US 2002-309527 A2 20021203
OTHER SOURCE(S): MARPAT 141:23557
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = alkylhydrocarbyl, heteroalkyl; R2 = H, hydrocarbyl, wherein R1 and R2 may combine to **form a** carbocyclic or heterocyclic 5- or 6-membered ring; X = (R3)n; R3 = O-alkyl, OH, O-acyl, etc.; n = 1-3; R4, R5 = O-alkyl, OH, O-acyl, etc., wherein R4 and R5 may combine to **form a** 5-, 6-, 7-membered heterocyclic ring] and their pharmaceutically acceptable salts were prepared For example, condensation-cyclization of diketone II, e.g., prepared from 3-methoxy-4-hydroxybenzoic acid in 7-steps, and hydrazine hydrate afforded racemic benzodiazepine III. In a 16-day study of neutrophil production in rats, one example of compound I, e.g., R-tofisopam, significantly increased neutrophil levels in a dose-dependant manner. Compds. I are claimed useful for increasing the production of neutrophils.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments with; preparation of benzodiazepines for the treatment of neutropenia.)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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L20 ANSWER 33 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:433684 CAPLUS
DOCUMENT NUMBER: 140:429037
TITLE: High viscosity liquid controlled drug delivery system and medical or surgical device
INVENTOR(S): Gibson, John W.; Miller, Stacey S.; Middleton, John C.; Tipton, Arthur J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp., Cont.-in-part of U.S. Ser. No. 699,002.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

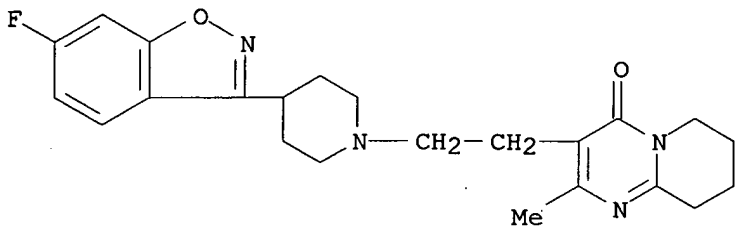
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004101557	A1	20040527	US 2002-316441	20021210
US 5747058	A	19980505	US 1995-474337	19950607
EP 1525858	A1	20050427	EP 2005-75143	19960607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6413536	B1	20020702	US 1999-385107	19990827
WO 2004052336	A2	20040624	WO 2003-US39311	20031210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

US 1995-474337	A2 19950607
US 1995-478450	B2 19950607
US 1997-944022	A2 19970915
US 1999-385107	A3 19990827
US 2000-699002	A2 20001026
EP 1996-921521	A3 19960607
US 2002-316441	A 20021210

AB The present invention relates to novel nonpolymeric compds. and compns. that form liquid, high viscosity materials suitable for the delivery of biol. active substances in a controlled fashion, and for use as medical or surgical devices. The materials can optionally be diluted with a solvent to **form a** material of lower viscosity, rendering the material easy to administer. This solvent may be water insol. or water soluble, where the water soluble solvent rapidly diffuses or migrates away from the material in vivo, leaving a higher viscosity liquid material. 1,6-Hexanediol lactate ε-hydroxycaproic acid produced in was dissolved in N-methylpyrrolidone at a weight ratio of 70:30. Bupivacaine base (10%) was then added to this mixture Drops weighing approx. 100 mg were precipitated into 40 mL buffer. At 4 h, around 4.1 weight% of the bupivacaine contained in the precipitated drop had been released. At 24 h, around 8.6 weight% of the bupivacaine had been released.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(high viscosity liquid controlled drug delivery system and medical or surgical device)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 34 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:101019 CAPLUS
DOCUMENT NUMBER: 140:157473
TITLE: Antipsychotic combination therapies and compositions of an alpha-2 adrenergic receptor antagonist and an atypical antipsychotic neuroleptic
INVENTOR(S): Pickar, David; Wadenberg, Marie-Louise; Svensson, Torgny
PATENT ASSIGNEE(S): Potomac, Pharma Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011031	A1	20040205	WO 2003-US23440	20030728
WO 2004011031	C2	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494109	AA	20040205	CA 2003-2494109	20030728
US 2004127489	A1	20040701	US 2003-629123	20030728
EP 1545618	A1	20050629	EP 2003-771917	20030728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

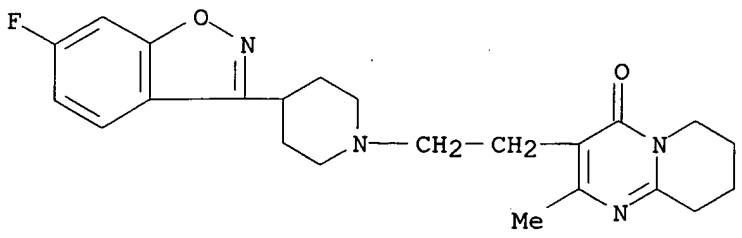
PRIORITY APPLN. INFO.:

US 2002-398718P	P	20020729
US 2002-398719P	P	20020729
US 2002-398720P	P	20020729
US 2002-402542P	P	20020812
US 2002-433781P	P	20021217
US 2002-433782P	P	20021217
US 2002-433785P	P	20021217
WO 2003-US23440	W	20030728

AB The invention provides novel antipsychotic therapies and compns. useful therein and provides methods for identifying new candidate mols. for the treatment of **psychosis** based on the proportional binding affinities for α2 adrenergic and D2 dopamine receptors.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antipsychotic combination therapies and compns. of α2 adrenergic receptor antagonist and atypical neuroleptic)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 35 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:100981 CAPLUS
DOCUMENT NUMBER: 140:151976
TITLE: Methods and dosage forms for controlled delivery of paliperidone
INVENTOR(S): Yam, Noymi V.; Reyes, Iran; Davar, Nipun; Ayer, Atul D.; Lee, Julie
PATENT ASSIGNEE(S): Alza Corporation, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010981	A1	20040205	WO 2003-US23433	20030728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494234	AA	20040205	CA 2003-2494234	20030728
EP 1539115	A1	20050615	EP 2003-771910	20030728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013139	A	20050705	BR 2003-13139	20030728
JP 2005535682	T2	20051124	JP 2004-524886	20030728
NO 2005000956	A	20050427	NO 2005-956	20050222
ZA 2005001641	A	20050606	ZA 2005-1641	20050224
PRIORITY APPLN. INFO.:			US 2002-399590P P	20020729
			US 2002-406005P P	20020826
			WO 2003-US23433 W	20030728

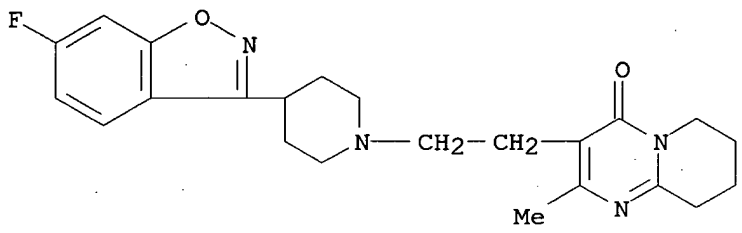
AB Dosage forms and methods for providing a substantially ascending rate of release of paliperidone are provided. The sustained release dosage forms provide therapeutically effective average steady-state plasma paliperidone concns. when administered once per day. This once-a-day dosing regimen results in only one peak plasma paliperidone concentration occurrence in each 24 h period. In addition, the peak plasma paliperidone concentration occurs at a later time following dose administration and exhibits a lesser magnitude than the peak plasma paliperidone concentration that occurs following administration of paliperidone in an immediate-release dosage form. An oral dosage form comprises: (a) a capsule-shaped tablet core comprising a plurality of layers, at least one layer containing about 50% to 60% of an active agent, about 5% to 15% of a structural polymer carrier, and about 15% to 40% of solubilizing surfactant, and at least one other layer comprising a suitable fluid-expendable polymer, (b) a semi-permeable membrane surrounding the tablet core to **form a** compartment having an osmotic gradient to drive fluid from an external fluid environment into the compartment, and (c) an orifice formed through the

semi-permeable membrane into the tablet core to permit the active agent to be released into the external fluid environment. For example, a trilayer tablet formulation, designed as an osmotic device to deliver 1.9 mg of paliperidone in an ascending delivery pattern from two drug-containing cores was prepared First core contained 1% paliperidone, 73.45% polyethylene oxide, 20% NaCl, 5% HPMC, 0.05% butylated hydroxytoluene (antioxidant), and 0.5% stearic acid (lubricant). Sec. drug core contained 2.8% paliperidone, 91.65% PEO, 5% HPMC, 0.05% BHT, and 0.5% stearic acid. Third, push composition comprised 73.7% PEO, 20% NaCl, 5% polyvinylpyrrolidone, 1% ferric oxide, 0.05% BHT, and 0.25% Mg stearate. The semi-permeable wall comprised 99% cellulose acetate and 1% polyethylene glycol. The dosage form comprised two passageways, 0.6 mm on the center of the drug side.

IT **106266-06-2**, Risperidone
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled delivery system for paliperidone or risperidone containing polymer carrier and solubilizing surfactant)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 36 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80688 CAPLUS
DOCUMENT NUMBER: 140:111428
TITLE: Preparation of antipsychotic risperidone
INVENTOR(S): Meenakshisunderam, Sivakumaran; Rama, Shankar; Chetan, Pandit
PATENT ASSIGNEE(S): Aurobindo Pharma Ltd., India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009591	A1	20040129	WO 2003-IN207	20030602

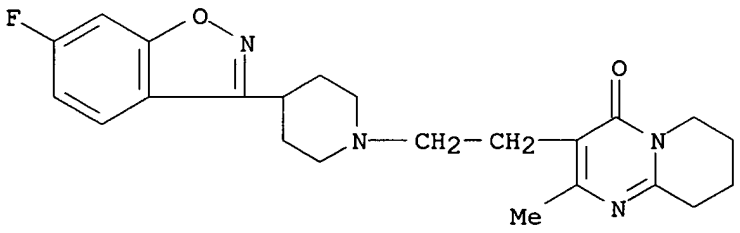
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2002-MA545 A 20020722
OTHER SOURCE(S): CASREACT 140:111428

AB The title compound is prepared by reaction of 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido-[1,2-a]pyrimidin-4-one with 4-(2,4-difluorobenzoyl)piperidine oxime to form oxime; and in situ cyclization of oxime to form risperidone in solvent acetonitrile, N,N-dimetylformamide or Me iso-Bu ketone.

IT **106266-06-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic risperidone)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

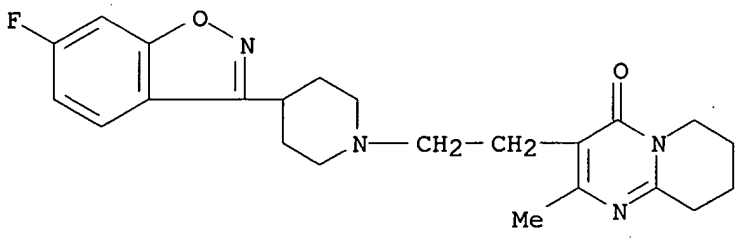
L20 ANSWER 37 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:1007869 CAPLUS
DOCUMENT NUMBER: 140:47562
TITLE: Injectable microdispersions for medical applications and drug delivery
INVENTOR(S): Nathan, Aruna; Rosenblatt, Joel; Arnold, Steven C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236310	A1	20031225	US 2002-178970	20020625
EP 1374905	A1	20040102	EP 2003-253966	20030624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2433244	AA	20031225	CA 2003-2433244	20030625
CN 1481781	A	20040317	CN 2003-154507	20030625
PRIORITY APPLN. INFO.:			US 2002-178970 A	20020625

AB The present invention is directed to microdispersions and pharmaceutical compns. containing a synthetic, bioabsorbable, biocompatible liquid polymer that is the reaction product of a polybasic acid or derivative thereof, a polyol and a fatty acid, the liquid polymer having a m.p. <40°, as determined by DSC, and a synthetic, bioabsorbable, biocompatible polymeric wax comprising the reaction product of a polybasic acid or derivative thereof, a fatty acid and a polyol, the polymeric wax having a m.p. <70°. Glyceryl monolinoleate was allowed to react with succinic anhydride at 140° and the temperature was raised to 200° and kept at that temperature for 3 h. The mixture was allowed to cool to room temperature to give a polymer as a pale yellow, viscous liquid The polymer was dried in an oven at 40° for 48-72 h. The drug release from the polymer microdispersions in 15 days was 58%.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injectable microdispersions for medical applications and drug delivery)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 38 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:950850 CAPLUS
DOCUMENT NUMBER: 140:19846
TITLE: Pharmacologically active salts
INVENTOR(S): Larsen, Claus Selch
PATENT ASSIGNEE(S): Danmarks Farmaceutiske Universitet, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099293	A1	20031204	WO 2003-DK343	20030522

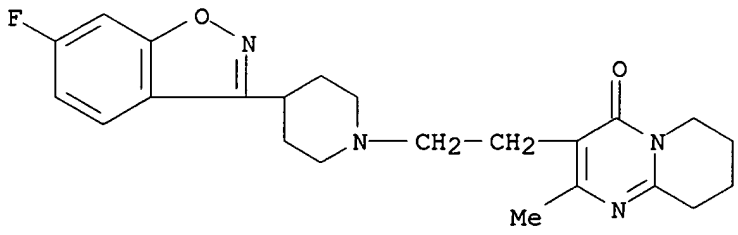
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2002-798 A 20020523

AB Novel salts formed between 2 active drug substances, wherein the first drug substance is an NSAID drug substance containing a carboxylic acid group and the second drug substance contains an amine group and is a local anesthetic or selected from the group consisting of nonopioid analgesics, antipsychotics, antidepressants, narcotic antagonists and local anesthetics. Such salts that are poorly soluble in tissue fluids are feasible for injectable prolonged release formulations, where the NSAID addnl. to minimize pain and tissue reaction at the site of administration. Thus, a salt was prepared by the reaction of the free base, bupivacaine with diflunisal in acetone. The solubility and dissoln. profiles of the salt were determined

IT **106266-06-2**, Risperidone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pharmacol. active salts)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

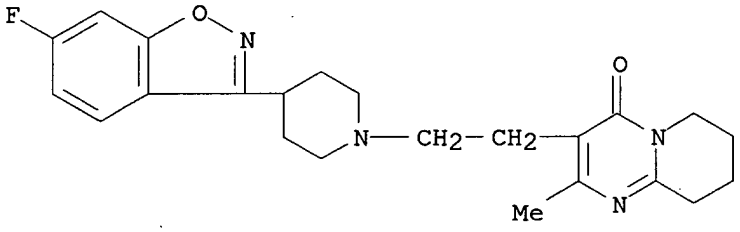
L20 ANSWER 39 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:678486 CAPLUS
DOCUMENT NUMBER: 139:191463
TITLE: Glucocorticoid blocking agents for increasing blood-brain barrier permeability
INVENTOR(S): Schatzberg, Alan F.; Lindley, Steven; Belanoff, Joseph K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003162695	A1	20030828	US 2002-87227	20020227
US 2005124533	A1	20050609	US 2004-949739	20040924
PRIORITY APPLN. INFO.:			US 2002-87227	B1 20020227

AB Glucocorticoid blockers, including glucocorticoid receptor antagonists, are effective to prevent glucocorticoid-induced decrease in permeability of the blood-brain barrier and to increase the permeability of the blood-brain barrier. Administration of glucocorticoid blockers, including glucocorticoid receptor antagonists, concomitant with administration of drugs for treating diseases of the central nervous system increases delivery of such drugs into the central nervous system. Corticosterone decreased blood-brain barrier permeability of haloperidol and clozapine in rats.

IT **106266-06-2**, Risperidone
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(glucocorticoid blocking agents for increasing blood-brain barrier permeability of drugs)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 40 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:633455 CAPLUS
DOCUMENT NUMBER: 139:159958
TITLE: Valproate compound-atypical antipsychotic agent combination therapy for treatment of schizophrenia
INVENTOR(S): Sommerville, Kenneth W.; Gilbert, Adrienne L.; Tracy, Katherine A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

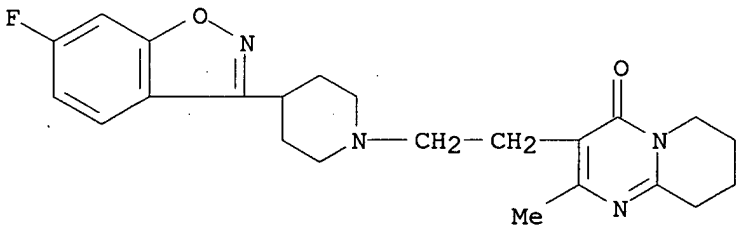
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066039	A1	20030814	WO 2003-US2540	20030129
W: CA, JP, MX				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2475839	AA	20030814	CA 2003-2475839	20030129
EP 1480629	A1	20041201	EP 2003-737557	20030129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-71733 A 20020208
WO 2003-US2540 W 20030129

AB The invention discloses a treatment for schizophrenia. It has been discovered that schizophrenia will respond to the combination of an atypical antipsychotic, e.g. olanzapine, and a valproate compound, e.g. divalproex sodium. This combination is especially useful for alleviating the acute symptoms of schizophrenia. The invention also extends to new formulations containing an antipsychotic in combination with a valproate compound

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(valproate compound-atypical antipsychotic agent combination therapy for treatment of schizophrenia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 41 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:532347 CAPLUS
DOCUMENT NUMBER: 139:79173
TITLE: Methods and compositions using a cyclooxygenase 2 (COX-2) inhibitor for the treatment of psychiatric disorders
INVENTOR(S): Muller, Norbert
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

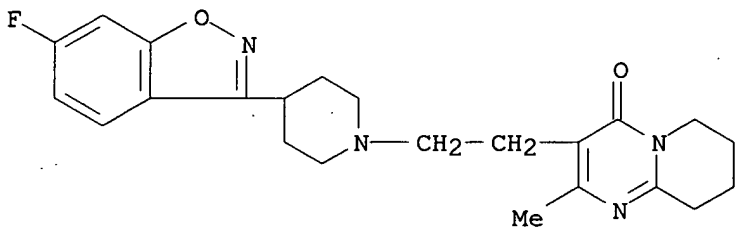
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003130334	A1	20030710	US 2002-157969		20020531
PRIORITY APPLN. INFO.:			DE 2001-10129328	A	20010619
			US 2002-364904P	P	20020314

OTHER SOURCE(S): MARPAT 139:79173

AB A method for the prevention, treatment, or inhibition of a psychiatric disorder, in particular schizophrenia, is described which comprises administering a COX-2 inhibitor, or prodrug thereof, to a subject. Moreover, a method for the prevention, treatment, or inhibition of a psychiatric disorder, in particular schizophrenia or a depressive disorder, is disclosed, comprising administering to a subject a COX-2 inhibitor or prodrug thereof in combination with a neuroleptic drug or an antidepressant. Compns. and kits that are suitable for the practice of the method are also described.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase 2 inhibitor for treatment of psychiatric disorders, and use with other agents)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

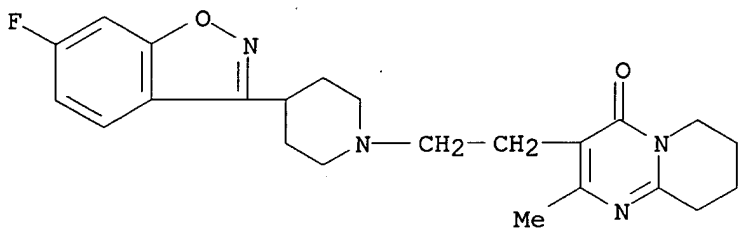


L20 ANSWER 42 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:977588 CAPLUS
DOCUMENT NUMBER: 138:33362
TITLE: Use of cyclooxygenase 2 (COX-2) inhibitors for the treatment of schizophrenia, delusional disorders, affective disorders, autism, or tic disorders
INVENTOR(S): Muller, Norbert
PATENT ASSIGNEE(S): Germany
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002102297	A2	20021227	WO 2002-EP6013		20020531
WO 2002102297	A3	20030501			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
DE 10129320	A1	20030410	DE 2001-10129320		20010619
CA 2448025	AA	20021227	CA 2002-2448025		20020531
EP 1397145	A2	20040317	EP 2002-738138		20020531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004534066	T2	20041111	JP 2003-504886		20020531
US 2004204469	A1	20041014	US 2004-480600		20040205
PRIORITY APPLN. INFO.:			DE 2001-10129320	A	20010619
			US 2002-364904P	P	20020314
			WO 2002-EP6013	W	20020531

OTHER SOURCE(S): MARPAT 138:33362
AB The invention discloses the use of a COX-2 inhibitor for the treatment of psychiatric disorders, e.g. schizophrenia, delusional disorders, affective disorders, autism or tic disorders, in particular chronic schizophrenic **psychoses** and schizoaffective **psychoses**, temporary acute psychotic disorders, depressive episodes, recurring depressive episodes, manic episodes and bipolar affective disorders. Moreover, the invention discloses the use of a COX-2 inhibitor, in particular celecoxib, in combination with a neuroleptic drug, in particular risperidone, or an antidepressant, for the treatment of psychiatric disorders such as schizophrenia, delusional disorders, affective disorders, autism or tic disorders.
IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase 2 inhibitors for treatment of psychiatric disorders, and use with other agents)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



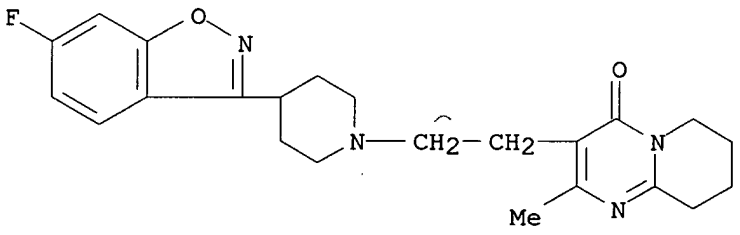
L20 ANSWER 43 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:965127 CAPLUS
DOCUMENT NUMBER: 138:29179
TITLE: Polymorphic form of 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one for pharmaceuticals
INVENTOR(S): Pfeiffer, Inigo; Whittle, Robert R.; Stowell, Grayson Walker; Whittall, Linda B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002193386	A1	20021219	US 2002-109086	20020328
PRIORITY APPLN. INFO.:			US 2002-109086	20020328

AB A novel polymorphic form of risperidone (3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one) is useful in pharmaceutical compns., either in pure form or in combination with other forms of risperidone. To 350 mL acetone was added approx. 1 g risperidone. The mixture was stirred sufficiently to dissolve the risperidone in the acetone at room temperature The final solution was filtered and allowed to crystallize by evaporation of the solvent at ambient conditions, protected from dust and vibration. After evaporation of the solvent, risperidone crystals obtained were further dried under vacuum at room temperature to give the pure **Form A**. Tablets contained risperidone 4, microcryst. cellulose 400, fumed SiO2 10, and stearic acid 5 mg.

IT **106266-06-2**, Risperidone
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymorphic form of risperidone for pharmaceuticals)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 44 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:849447 CAPLUS
DOCUMENT NUMBER: 137:333167
TITLE: Treatment of psychotic disorders using co-therapy with anticonvulsant derivatives and atypical antipsychotics
INVENTOR(S): Fenton, Wayne S.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

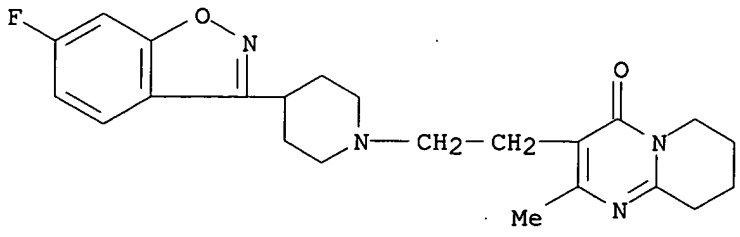
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002087590	A1	20021107	WO 2002-US12997		20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2445528	AA	20021107	CA 2002-2445528		20020423
US 2003109546	A1	20030612	US 2002-131277		20020423
EP 1404342	A1	20040407	EP 2002-766807		20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004527553	T2	20040909	JP 2002-584935		20020423
PRIORITY APPLN. INFO.:			US 2001-286765P	P	20010426
			US 2001-301661P	P	20010628
			WO 2002-US12997	W	20020423

OTHER SOURCE(S): MARPAT 137:333167

AB Treatment of psychotic disorders (e.g. schizophrenia; schizophreniform and schizoaffective disorders) comprises co-therapy with an anticonvulsant derivative (e.g. topiramate) and atypical antipsychotic (e.g. olanzapine).

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticonvulsant derivative-atypical antipsychotic co-therapy for psychotic disorders)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 45 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:754995 CAPLUS
DOCUMENT NUMBER: 137:268473
TITLE: Porous drug matrices and methods of manufacture thereof
INVENTOR(S): Straub, Julie; Altreuter, David; Bernstein, Howard; Chickering, Donald E.; Khattak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U. S. 6,395,300.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002142050	A1	20021003	US 2002-53929		20020122
US 6395300	B1	20020528	US 1999-433486		19991104
US 6645528	B1	20031111	US 2000-694407		20001023
US 6932983	B1	20050823	US 2000-706045		20001103
ZA 2001010347	A	20030730	ZA 2001-10347		20011218
US 2005048116	A1	20050303	US 2004-924642		20040824
US 2005058710	A1	20050317	US 2004-928886		20040827
PRIORITY APPLN. INFO.:			US 1999-136323P	P	19990527
			US 1999-158659P	P	19991008
			US 1999-433486	A2	19991104
			US 2002-53929	A3	20020122

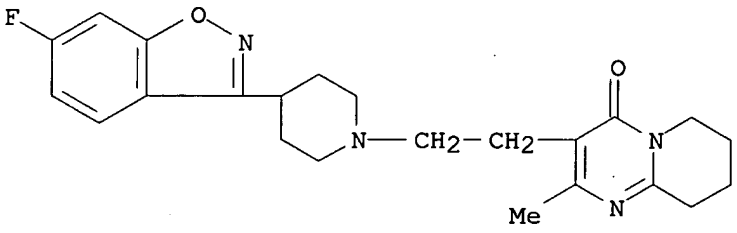
AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to **form a** drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or second solution and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystallization, and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in crystalline form by inhibiting crystal growth or to stabilize the drug in amorphous form by preventing crystallization The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A solution of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the organic solution (phase ratio 1:10) and homogenized for 5 min at 16,000 RPM.

The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

IT **106266-06-2,** Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(porous drug matrixes and methods of manufacture thereof)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 46 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:754219 CAPLUS
DOCUMENT NUMBER: 137:273219
TITLE: Anti-**psychosis** combination containing a modulator of 5-HT2A receptor
INVENTOR(S): Behan, Dominic P.; Chalmers, Derek T.; Menzaghi, Frederique
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076464	A1	20021003	WO 2002-US9086	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002156068	A1	20021024	US 2002-104602	20020322
PRIORITY APPLN. INFO.:			US 2001-278516P P	20010322

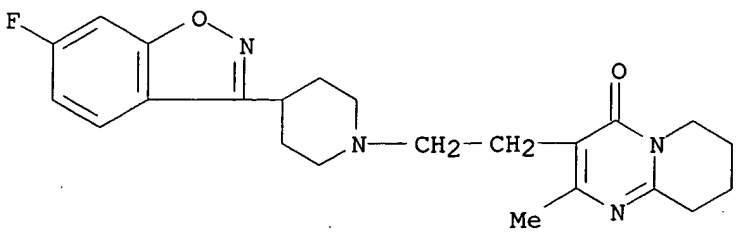
OTHER SOURCE(S): MARPAT 137:273219

AB This invention relates to methods of reducing hyperlocomotor activity and stereotypy by administering a composition comprising a modulator of the 5-HT2A receptor with a neuroleptic agent used for treating **psychoses**, such as Haloperidol. The invention further relates to compns. comprising a modulator of the 5-HT2A receptor with a neuroleptic agent. For example, a 5-HT2A receptor modulator N-[3-(4-bromo-2-methylpyrazol-3-yl)phenyl][(4-chlorophenyl)amino]carboxamide (AR 116081) potentiated the effect of the neuroleptic haloperidol in a model of **psychosis** in rats. Thus, in combination, modulators of the 5-HT2A receptor, preferably AR116081, and neuroleptics, preferably haloperidol, preferably at a low dosage, will reverse the hyperactivity in the rat model, thereby potentially reducing the side effects usually associated with neuroleptics (e.g., extrapyramidal motor syndrome and tardive dyskinesia).

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-**psychosis** combination containing modulator of 5-HT2A receptor)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 47 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:674788 CAPLUS
DOCUMENT NUMBER: 137:195595
TITLE: Atypical antipsychotic-antidepressant combination for treatment of depression, obsessive compulsive disorder, and **psychosis**
INVENTOR(S): Howard, Harry R., Jr.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

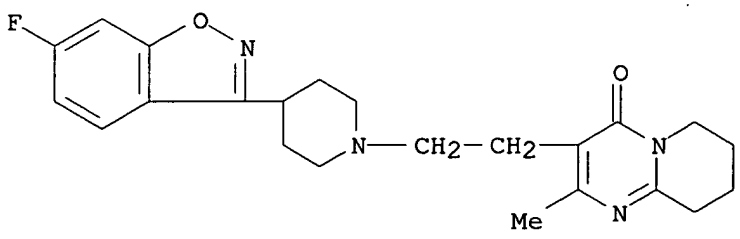
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002123490	A1	20020905	US 2001-10651	20011206
EP 1238676	A1	20020911	EP 2002-251153	20020220
EP 1238676	B1	20040519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 267021	E	20040615	AT 2002-251153	20020220
PT 1238676	T	20040831	PT 2002-251153	20020220
ES 2217239	T3	20041101	ES 2002-2251153	20020220
CA 2373596	AA	20020901	CA 2002-2373596	20020227
JP 2002308801	A2	20021023	JP 2002-50579	20020227

PRIORITY APPLN. INFO.: US 2001-272619P P 20010301
OTHER SOURCE(S): MARPAT 137:195595

AB The invention provides a method for treating depression, obsessive compulsive disorder, and **psychosis** in a mammal, including a human, by administering to the mammal an atypical antipsychotic in combination with an antidepressant agent with improvement in efficiency. It also provides pharmaceutical compns. containing a pharmaceutically acceptable carrier, an atypical antipsychotic, and a serotonin reuptake inhibitor.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(atypical antipsychotic-antidepressant combination for treatment of depression, obsessive compulsive disorder, and **psychosis**)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 48 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:521465 CAPLUS
DOCUMENT NUMBER: 137:98994
TITLE: Pharmaceuticals containing a combination of norepinephrine reuptake inhibitors and neuroleptics
INVENTOR(S): Wong, Erik Ho Fong; Gallen, Christopher C.; Svensson, Torgny
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA; Pharmacia AB
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

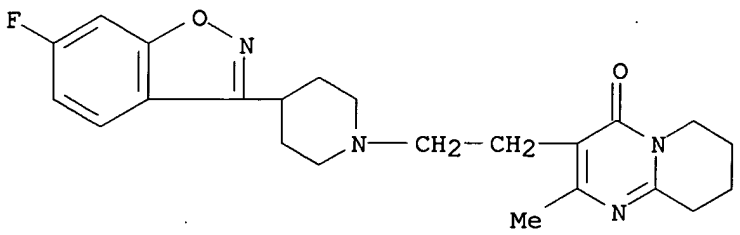
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053140	A2	20020711	WO 2001-US45871	20011227
WO 2002053140	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431041	AA	20020711	CA 2001-2431041	20011227
EP 1353675	A2	20031022	EP 2001-991997	20011227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517112	T2	20040610	JP 2002-554091	20011227
NZ 526801	A	20050729	NZ 2001-526801	20011227
US 2002156067	A1	20021024	US 2001-35100	20011228
US 6964962	B2	20051115		

PRIORITY APPLN. INFO.: US 2001-259286P P 20010102
WO 2001-US45871 W 20011227

AB A composition comprising: (a) a pharmaceutically effective amount of one or more norepinephrine reuptake inhibitors or a salt; and (b) 1 or more neuroleptics is provided. The composition is useful in treating disorders or diseases of the central nervous system, and particularly useful in treating schizophrenia. A pharmaceutical composition was prepared by combining reboxetine with a neuroleptic in an acceptable carrier. The composition contains 0.01-10 mg rebexetine and 25-300 mg clozapine.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals containing combination of norepinephrine reuptake inhibitors and neuroleptics)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



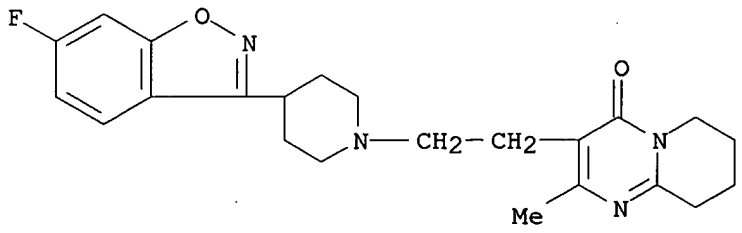
L20 ANSWER 49 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:251938 CAPLUS
DOCUMENT NUMBER: 136:241694
TITLE: Administration of carvedilol to mitigate tardive movement disorders, **psychosis**, mania, and depression
INVENTOR(S): Swartz, Conrad M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6365618	B1	20020402	US 2000-737290	20001213

PRIORITY APPLN. INFO.: US 2000-737290 20001213

AB The compound carvedilol has the chemical formula: n-1-(carbazol-4-yloxy)-3-[[2-(o-methyoxyphenoxy)ethyl]amino]-2-propanol. It exists in the form of optical isomers R- and S-carvedilol, and as mixts. of these isomers. It, or one of its optical isomers, is administered, preferably orally, several times per day in tablets of 3-25 mg for the treatment, prevention and clin. arrest of movement disorders associated with medications which block dopamine receptors, including many antipsychotic and antiemetic medications. Such movement disorders include tardive dyskinesia, tardive dystonia, and tardive akathisia. The compound carvedilol is also administered to improve the treatment of mental disorders in which dopamine-blocking medications are used, such as manic episodes, major depressive episodes, and **psychoses** such as schizophrenia and schizoaffective disorder. Several examples of cases are described in which carvedilol administration successfully treated manifestations of tardive dyskinesia in patients receiving a variety of antipsychotics and other drugs such as risperidone, olanzapine, clozapine and haloperidol.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(administration of carvedilol to mitigate tardive movement disorders, **psychosis**, mania, and depression)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

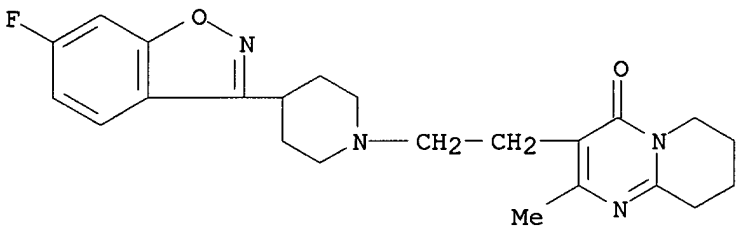
L20 ANSWER 50 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:153684 CAPLUS
DOCUMENT NUMBER: 136:194261
TITLE: Therapeutic combinations of (S)-2-(benzylamino-methyl)-2,3,8,9,-tetrahydro 7H-1,4-dioxino{2,3-e}indol-8-one and neuroleptics for the treatment or prevention of psychotic disorders
INVENTOR(S): Marquis, Karen L.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6350773	B1	20020226	US 2000-728994	20001204
PRIORITY APPLN. INFO.:			US 1999-240908P P	19991210

AB Therapeutic combinations useful in the treatment or prevention of psychotic disorders, to pharmaceutical compns. containing said combinations, and to their use in the treatment or prophylaxis of prevention disorders are provided. The effect of (S)-2-(benzylamino-methyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one on haloperidol-induced catalepsy in rats at 60 min after drug treatment was studied. A dose-dependent decrease in time spent in catalepsy position was observed A minimal ED of 0.3 mg/kg and an ED50 (dose producing 50% reduction in maximal response) of 0.08 mg/kg were calculated from these results.

IT **106266-06-2**, Risperidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic combinations of benzylaminotetrahydrodioxinoindolone and neuroleptics for treatment or prevention of psychotic disorders)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicant

L20 ANSWER 51 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:142681 CAPLUS
DOCUMENT NUMBER: 136:183834
TITLE: Preparation of risperidone from 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one and 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole in acetonitrile, isopropanol, methyl ethyl ketone, or isobutanol.
INVENTOR(S): Krochmal, Barnaba; Diller, Dov; Dolitzky, Ben-Zion
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014286	A1	20020221	WO 2001-US25387	20010814
WO 2002014286	C2	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419314	AA	20020221	CA 2001-2419314	20010814
AU 2001084880	A5	20020225	AU 2001-84880	20010814
US 2002115673	A1	20020822	US 2001-929808	20010814
US 6750341	B2	20040615		
EP 1317434	A1	20030611	EP 2001-963971	20010814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506622	T2	20040304	JP 2002-519429	20010814
NZ 524554	A	20050729	NZ 2001-524554	20010814
ZA 2003001200	A	20040225	ZA 2003-1200	20030213
US 2004229905	A1	20041118	US 2003-669272	20030923
PRIORITY APPLN. INFO.:			US 2000-225361P P	20000814
			US 2000-243263P P	20001025
			US 2001-929808 A3	20010814
			WO 2001-US25387 W	20010814

OTHER SOURCE(S): CASREACT 136:183834

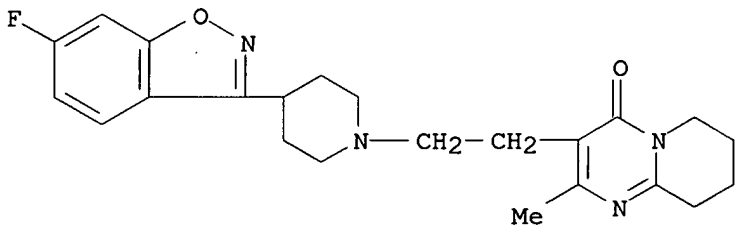
AB The title process is claimed. The present invention is directed to preparation of novel crystal forms of risperidone, designated **Form A**, **Form B** and **Form E**. Thus, 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole, Na2CO3, and KI were refluxed 9 h in Me2CHOH to give after recrystn. 60% risperidone of 99.7% purity. This was recrystd. from CHCl3/cyclohexane to give risperidone **Form B**.

IT **106266-06-2P**, Risperidone
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)
(Form A, Form B, Form E; preparation of risperidone from 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-Me-4H-pyrido[1,2-a]pyrimidin-4-one and 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole in acetonitrile, isopropanol, Me Et ketone, or isobutanol)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicant

L20 ANSWER 52 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:122966 CAPLUS
DOCUMENT NUMBER: 136:167385
TITLE: Preparation of novel polymorphic forms of risperidone
INVENTOR(S): Krochmal, Barnaba; Diller, Dov; Dolitzky, Ben-Zion; Aronhime, Judith
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012200	A1	20020214	WO 2001-US24912	20010808
WO 2002012200	C2	20030403		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084763	A5	20020218	AU 2001-84763	20010808
US 2002115672	A1	20020822	US 2001-925360	20010808
ZA 2003001200	A	20040225	ZA 2003-1200	20030213
US 2004229905	A1	20041118	US 2003-669272	20030923

PRIORITY APPLN. INFO.:

US 2000-223779P	P	20000808
US 2000-225361P	P	20000814
US 2000-243263P	P	20001025
WO 2001-US24912	W	20010808
US 2001-929808	A3	20010814

OTHER SOURCE(S): CASREACT 136:167385

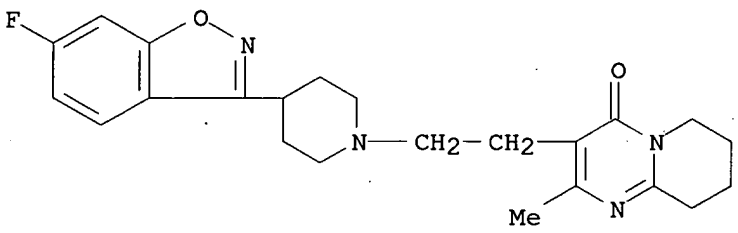
AB The present invention is directed to the novel polymorphic forms of risperidone (I), designated **form A, form B** and **form E,** and methods for their preparation The present invention also relates to processes for making risperidone. Pharmaceutical compns. containing the new forms of risperidone and methods of using them are also disclosed. Risperidone (risperdal) is an antipsychotic agent belonging to a new chemical class. It is now found that the synthesis of risperidone from 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole (II) and 3-(2-chloroethyl)-6,7,8,9-tetrahydro-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (III) can be carried out in acetonitrile and isopropanol, without using DMF, to give an improved and higher yield of about 75%. The present method eliminates the difficult step of removing DMF from the crude risperidone. The crude risperidone can be efficiently crystallized in high yield from an alc., for example, isopropanol, butanol, ethanol, or methanol, without the need of using the DMF, which is harmful to humans and is a very difficult solvent to remove. Each polymorphic form obtained is characterized by x-ray powder **diffraction** pattern. Thus, Isopropanol (20 mL), III (2.63 g), II (2.17 g), sodium carbonate (3.18 g), and potassium iodide (66 mg) were added to a 100 mL

round bottom flask, and stirred with a magnetic stir bar. The flask was placed in an oil bath at 80° and allowed to reflux for 9 h and then cooled in an ice bath. The content was filtered and the filter cake was washed in the filter with a small amount of isopropanol and then slurried 3 times in 20 mL of water and filtered to give, after drying, 3 g I in 73 % yield. The slurry was recrystd. by dissolving in 37 mL of boiling isopropanol, filtered hot and allowed to cool and filtered to give I with a purity of 99.7 % in an overall yield of 60%. I (5.0 g) was dissolved in methanol (45 mL), followed by adding water (70 mL) to the solution until a cloudy dispersion was formed. The suspension was filtered to give I filtrate which contained **form B** polymorph. Further heating of the filtrate overnight at 80° under reduced pressure produced I **form A** polymorph, which was confirmed by PXRD anal.

IT **106266-06-2P**, Risperidone
RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of novel polymorphic forms of risperidone as antipsychotic agent by alkylation of fluoropiperidinylbenzisoxazole with (chloroethyl)tetrahydromethylpyridopyrimidinone followed by recrystn.)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 53 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:885707 CAPLUS
DOCUMENT NUMBER: 136:11194
TITLE: Preparation of injectable suspensions having improved injectability
INVENTOR(S): Ramstack, J. Michael; Riley, M. Gary I.; Zale, Stephen E.; Hotz, Joyce M.; Johnson, Olufunmi L.
PATENT ASSIGNEE(S): Alkermes Controlled Therapeutics Inc. I, USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001091720	A2	20011206	WO 2001-US12652	20010419
WO 2001091720	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6495164	B1	20021217	US 2000-577875	20000525
CA 2406536	AA	20011206	CA 2001-2406536	20010419
EP 1283699	A2	20030219	EP 2001-928628	20010419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011060	A	20030415	BR 2001-11060	20010419
NZ 522335	A	20030829	NZ 2001-522335	20010419
JP 2003534366	T2	20031118	JP 2001-587736	20010419
US 2003113380	A1	20030619	US 2002-259949	20020930
US 6667061	B2	20031223		
NO 2002005164	A	20021125	NO 2002-5164	20021028
BG 107288	A	20030630	BG 2002-107288	20021119
US 2004208938	A1	20041021	US 2003-681142	20031009

PRIORITY APPLN. INFO.:
US 2000-577875 A 20000525
WO 2001-US12652 W 20010419
US 2002-259949 A3 20020930

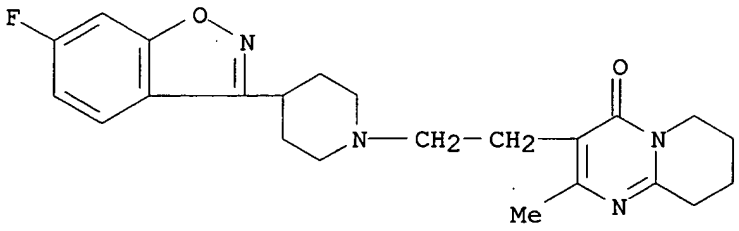
AB Injectable compns. include microparticles in an aqueous injection vehicle having a viscosity of at least 20 cp at 20°. The increased viscosity of the injection vehicle that constitutes the fluid phase of the suspension significantly reduces in vivo injectability failures. The injectable compns. can be made by mixing dry microparticles with an aqueous injection vehicle to **form a** suspension, and then mixing the suspension with a viscosity enhancing agent to increase the viscosity of the fluid phase of the suspension to the desired level for improved injectability. A drug solution was prepared by dissolving 400 g risperidone in 1267 g benzyl alc. to **form a** 24% drug solution A polymer solution was formed by dissolving 600 g of poly(glycolide-lactide) in 3000 g Et acetate to **form a** 16.7% polymer solution The drug solution and the polymer solution were combined to

form a first, discontinuous phase. The second, continuous phase was prepared by preparing a 30-L solution of 1% PVA, the PVA acting as an emulsifier. The 2 phases were combined by using a static mixer. A total flow rate of 3 L/min generally provided microparticle size distributions with a mass median diameter in the range of about 80-90 μ.

IT **106266-06-2,** Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of injectable suspensions having improved injectability)

RN 106266-06-2 CAPLUS

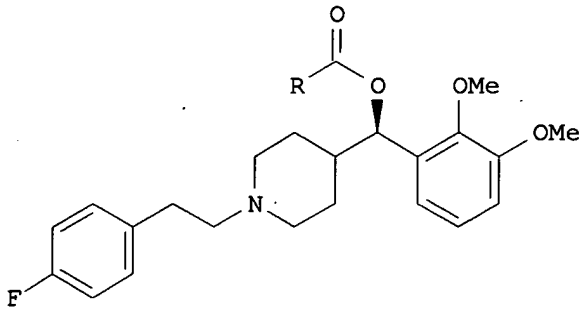
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 54 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:868197 CAPLUS
DOCUMENT NUMBER: 136:669
TITLE: Use of (+)-α -(2,3-dimethoxyphenyl)-1-[2-(4-fluorophenyl)ethyl]-4-piperidinemethanol or its prodrug in the treatment of symptoms of dementia and dopamine induced **psychosis**
INVENTOR(S): Scheyer, Richard; Sorensen, Stephen; Hitchcock, Janice
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001089498	A2	20011129	WO 2001-US16653	20010523
WO 2001089498	A3	20020510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002099076	A1	20020725	US 2001-861980	20010518
CA 2410554	AA	20011129	CA 2001-2410554	20010523
BR 2001011102	A	20030311	BR 2001-11102	20010523
EP 1289527	A2	20030312	EP 2001-939312	20010523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535058	T2	20031125	JP 2001-585743	20010523
NZ 522659	A	20040625	NZ 2001-522659	20010523
US 2003036553	A1	20030220	US 2002-217843	20020813
NO 2002005630	A	20030124	NO 2002-5630	20021122
US 2004204457	A1	20041014	US 2004-838035	20040503
PRIORITY APPLN. INFO.:			US 2000-206943P	P 20000525
			US 2001-861980	B1 20010518
			WO 2001-US16653	W 20010523
			US 2002-217843	B1 20020813

OTHER SOURCE(S): MARPAT 136:669
GI



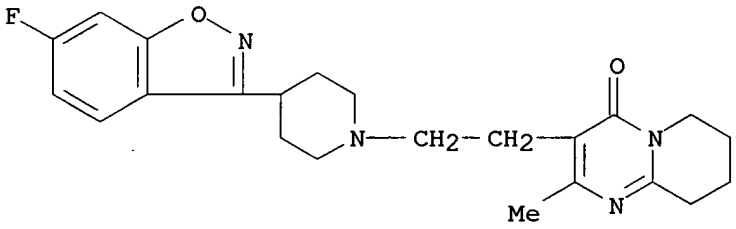
I

AB The invention is directed to use of (+)-α -(2,3-Dimethoxyphenyl)-1-[2-(4-fluorophenyl)ethyl]-4-piperidinemethanol or its prodrug (I)(R=C1-20) in treating patients for symptoms of dementia and dopamine induced **psychosis**.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
((+)-α-(2,3-dimethoxyphenyl)-1-[2-(4-fluorophenyl)ethyl]-4-piperidinemethanol or prodrug in treatment of symptoms of dementia and dopamine induced **psychosis**)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



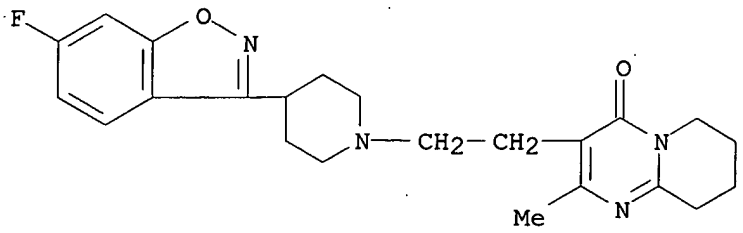
L20 ANSWER 55 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:525912 CAPLUS
DOCUMENT NUMBER: 135:112000
TITLE: Osmotic device containing venlafaxine and an anti-psychotic agent
INVENTOR(S): Faour, Joaquina; Vergez, Juan A.
PATENT ASSIGNEE(S): Laboratorios Phoenix U.S.A., Inc., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051041	A1	20010719	WO 2001-US580	20010108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001048943	A1	20011206	US 2000-728276	20001130
US 6572890	B2	20030603		
CA 2396156	AA	20010719	CA 2001-2396156	20010108
EP 1246614	A1	20021009	EP 2001-901877	20010108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003219483	A1	20031127	US 2003-377173	20030226
PRIORITY APPLN. INFO.:			US 2000-175822P P	20000113
			US 2000-728276 A	20001130
			WO 2001-US580 W	20010108

AB The present invention provides an osmotic device containing controlled release venlafaxine in the core in combination with an anti-psychotic agent in a rapid release external coat. A wide range of anti-psychotic agents can be used in this device. Particular embodiments of the invention provide osmotic devices having predetd. release profiles. One embodiment of the osmotic device includes an external coat that has been spray-coated rather compression-coated onto the device. The device with spray-coated external core is smaller and easier to swallow than the similar device having a compression-coated external coat. The device is useful for the treatment of depression anxiety or **psychosis** related disorders. Thus, a core formulation contained venlafaxine 10-500, osmagent 17-250, binder 7.5-50, plasticizer (low mol. weight) 0.1-25, glidant 0.1-6, plasticizer (high mol. weight) 2.5-30, and lubricant 1-7.5 mg. Water soluble polymers were used in the coating formulations.

IT **106266-06-2**, RIsperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(osmotic device containing venlafaxine and anti-psychotic agent)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

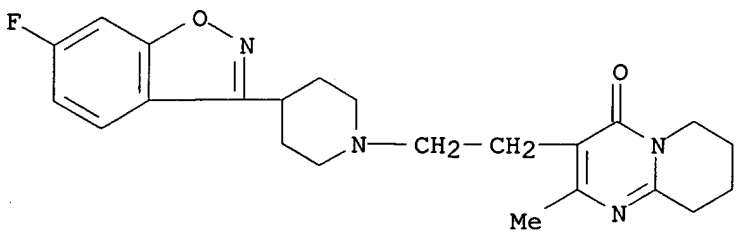
L20 ANSWER 56 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:525911 CAPLUS
DOCUMENT NUMBER: 135:111999
TITLE: Osmotic device containing alprazolam and an antipsychotic agent
INVENTOR(S): Faour, Joaquina; Vergez, Juan A.
PATENT ASSIGNEE(S): Laboratorios Phoenix U.S.A., Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051040	A1	20010719	WO 2001-US637	20010109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002051807	A1	20020502	US 2001-756497	20010108
US 6599532	B2	20030729		
CA 2396214	AA	20010719	CA 2001-2396214	20010109
PRIORITY APPLN. INFO.:			US 2000-175827P P	20000113
			WO 2001-US637 W	20010109

AB The present invention provides an osmotic device containing controlled release alprazolam in the core optionally in combination with an anti-psychotic agent, in a rapid release external coat. A wide range of anti-psychotic agents can be used in this device. Particular embodiments of the invention provide osmotic devices having predetd. release profiles. One preferred embodiment of the osmotic device includes an external coat that has been spray coated rather than compression coated onto the device. The device with spray coated external coat is smaller and easier to swallow than the similar device having a compression coated external coat. The device is useful for the treatment of depression, anxiety or **psychosis** related disorders. Thus, osmotic-release tablets contained alprazolam 2.000, Polysorbate-20 2.800, microcryst. cellulose 116.800, NaCl 228.000, Povidone 60.000, PEG 160.000, HPMC-2208 14.000, colloidal SiO2 7.600, and Mg. The coating formulation also contained risperidone 5.000 mg.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(osmotic device containing alprazolam and antipsychotic agent)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



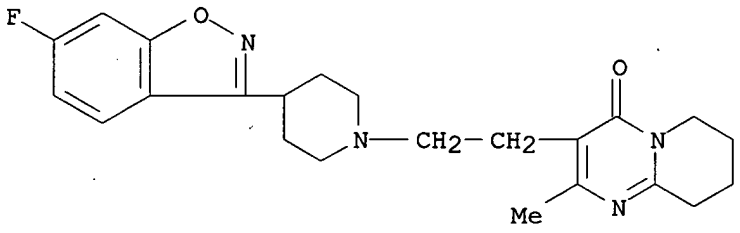
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 57 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:236382 CAPLUS
DOCUMENT NUMBER: 135:190237
TITLE: Clozapine and risperidone treatment of **psychosis** in Parkinson's disease
AUTHOR(S): Ellis, Terry; Cudkowicz, Merit E.; Sexton, Paula M.; Growdon, John H.
CORPORATE SOURCE: Department of Neurology, Massachusetts General Hospital, Boston, MA, 02114, USA
SOURCE: Journal of Neuropsychiatry and Clinical Neurosciences (2000), 12(3), 364-369
CODEN: JNCNE7; ISSN: 0895-0172
PUBLISHER: American Psychiatric Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors compared efficacy and safety of risperidone and clozapine for the treatment of **psychosis** in a double-blind trial with 10 subjects with Parkinson's disease (PD) and **psychosis**. Mean improvement in the Brief Psychiatric Rating Scale **psychosis** score was similar in the clozapine and the risperidone groups (P=0.23). Although the mean motor Unified Parkinson's Disease Rating Scale score worsened in the risperidone group and improved in the clozapine group, this difference did not reach statistical significance. One subject on clozapine developed neutropenia. In subjects with PD, risperidone may be considered as an alternative to clozapine because it is as effective for the treatment of **psychoses** without the hematol., antimuscarinic, and seizure side effects. However, risperidone may worsen extrapyramidal symptoms more than clozapine and therefore must be used with caution.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(clozapine and risperidone treatment of **psychosis** in Parkinson's disease)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



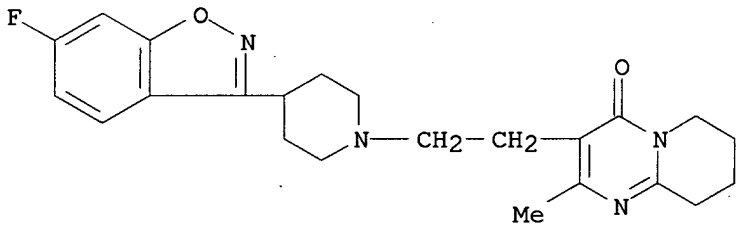
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 58 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:82838 CAPLUS
DOCUMENT NUMBER: 135:131527
TITLE: Antipsychotic treatment of **psychosis** and agitation in the elderly
AUTHOR(S): Daniel, David G.
CORPORATE SOURCE: Department of Psychiatry and Behavioral Sciences, George Washington University, Washington, DC, USA
SOURCE: Journal of Clinical Psychiatry (2000), 61(Suppl. 14), 49-52
CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 33 refs. Agitated, aggressive behavior and **psychosis** are common manifestations of Alzheimer's disease that frequently lead to institutionalization. The usefulness of conventional neuroleptic treatment in this population is limited by narrow therapeutic windows because of limited efficacy and high sensitivity to side effects. More recently, investigational clin. trials have suggested potential utility for atypical antipsychotics such as risperidone, olanzapine, and quetiapine in treatment of behaviorally disturbed individuals and for the psychotic manifestations of dementia.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antipsychotic treatment of **psychosis** and agitation in elderly humans)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

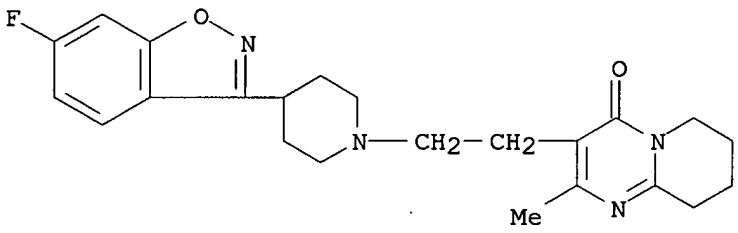


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 59 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:82835 CAPLUS
DOCUMENT NUMBER: 135:131524
TITLE: Atypical antipsychotic medications in the psychiatric emergency service
AUTHOR(S): Currier, Glenn W.
CORPORATE SOURCE: University of Rochester School of Medicine, Rochester, NY, 14642-8409, USA
SOURCE: Journal of Clinical Psychiatry (2000), 61(Suppl. 14), 21-26
CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 27 refs. The physiol. and psychol. impact of drugs administered in the emergency treatment of **psychosis** endures much longer than the patient's brief stay in the psychiatric emergency service (PES). Although newer antipsychotic agents with improved efficacy and side effect profiles are now available and generally recommended as first-line treatment for **psychosis**, the slow titration schedules and lack of i.m. prepns. for these drugs often lead to the preferential use, and perhaps overuse, of conventional antipsychotics in emergency situations. A recent survey found that most medical directors of psychiatric emergency programs would prefer to administer an oral atypical agent if such an agent were found to be effective, safe, reliable, and practical to use. Preliminary results have shown the atypical antipsychotic risperidone to have efficacy equal to that of the conventional agent haloperidol in a direct comparison in the PES; further study is required, however, to determine the appropriateness of the use of risperidone and the other atypical antipsychotics in the emergency treatment of **psychosis**.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(atypical antipsychotic medications in psychiatric emergency service)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

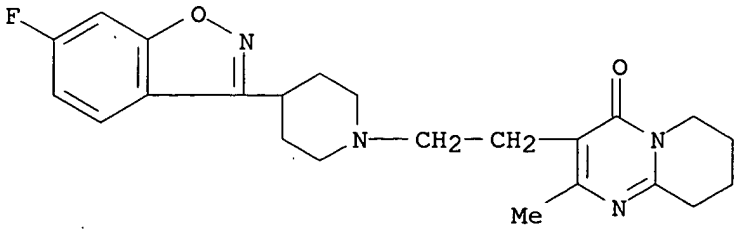


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 60 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:31985 CAPLUS
DOCUMENT NUMBER: 135:116994
TITLE: Use of the dopamine agonists bromocriptine and cabergoline in the management of risperidone-induced hyperprolactinemia in patients with psychotic disorders
AUTHOR(S): Tollin, S. R.
CORPORATE SOURCE: Department of Medicine, Division of Endocrinology and Metabolism, Winthrop University Hospital and the State University of New York at Stony Brook School of Medicine, Mineola, NY, USA
SOURCE: Journal of Endocrinological Investigation (2000), 23(11), 765-770
CODEN: JEIND7; ISSN: 0391-4097
PUBLISHER: Editrice Kurtis s.r.l.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Risperidone is a novel antipsychotic agent that blocks both dopaminergic and serotonergic receptors. In several reports, clin. significant hyperprolactinemia has been reported in patients on this agent. However, the optimal management of risperidone-induced hyperprolactinemia has not been clarified. We reviewed the records of 5 patients with psychotic disorders who were evaluated for risperidone-induced hyperprolactinemia. There were 4 females and 1 male patient, aged 30-45 yr. All patients had significant hyperprolactinemia, with prolactin (PRL) levels ranging from 65.5 to 209 μg/l. All but 1 of these patients had manifestations of hypogonadism. In these 4 patients, risperidone therapy was continued and the dopamine agonists bromocriptine or cabergoline were added. In 3 out of 4 patients, such addnl. therapy reduced the PRL level and alleviated hypogonadism. None of the patients treated with these agents had a worsening of **psychosis**. We conclude that risperidone can cause clin. significant hyperprolactinemia in patients treated with this drug. If risperidone therapy must be continued in such patients, addition of the dopamine agonists bromocriptine or cabergoline may successfully alleviate hyperprolactinemia and the associated manifestations without worsening psychotic symptoms.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(use of dopamine agonists bromocriptine and cabergoline in management of risperidone-induced hyperprolactinemia in humans with psychotic disorders)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

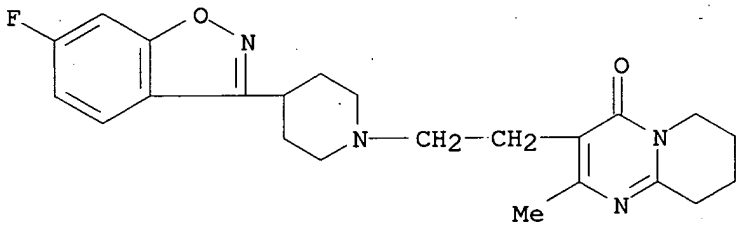


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

L20 ANSWER 61 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:875608 CAPLUS
DOCUMENT NUMBER: 135:86973
TITLE: Dream features in psychiatric patients on multiple psychoactive drugs
AUTHOR(S): Kalra, Ravinder; Natu, M. V.; Deswal, R. S.; Agarwal, A. K.
CORPORATE SOURCE: Department of Pharmacology, Christian Medical College and Hospital, Ludhiana, 141008, India
SOURCE: Human Psychopharmacology (2000), 15(7), 525-528
CODEN: HUPSEC; ISSN: 0885-6222
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An investigation into dreams was conducted in 100 subjects involving 50 psychiatric in-patients and fifty normal volunteers with the help of a questionnaire. The dream patterns of the two groups were compared. Results revealed that dreams of the patients were different from normal individuals even before the onset of psychiatric illness. The dreams in normal subjects were mostly related to present life situations whereas, the dreams of the patients were less frequent in this respect. On the other hand, frightening dreams, repetitive dreams and vision of snakes in the dreams were more frequent in patients. These differences became more conspicuous with the onset of illness. The dreams were suppressed in 78% patients after the institution of drug therapy. Most of the patients were getting three to four psychoactive drugs which alter the sleep pattern and may therefore affect the dreaming process. Thus, the qual. changes in dreams of a person may serve as an early warning for an impending future illness. The suppressant effect of psychoactive drugs on dreams demands further investigations.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dream features in psychiatric patients on multiple psychoactive drugs)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 62 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:861473 CAPLUS
DOCUMENT NUMBER: 134:32972
TITLE: Porous drug matrixes containing polymers and sugars and methods of their manufacture
INVENTOR(S): Straub, Julie; Bernstein, Howard; Chickering, Donald E., III; Khatak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere, Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072827	A2	20001207	WO 2000-US14578	20000525
WO 2000072827	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6395300	B1	20020528	US 1999-433486	19991104
CA 2371836	AA	20001207	CA 2000-2371836	20000525
EP 1180020	A2	20020220	EP 2000-939365	20000525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010984	A	20020430	BR 2000-10984	20000525
JP 2003500438	T2	20030107	JP 2000-620939	20000525
NZ 516083	A	20030829	NZ 2000-516083	20000525
AU 768022	B2	20031127	AU 2000-54459	20000525
US 2002041896	A1	20020411	US 2001-798824	20010302
US 6610317	B2	20030826		
NO 2001005753	A	20020128	NO 2001-5753	20011126
ZA 2001010347	A	20030730	ZA 2001-10347	20011218

PRIORITY APPLN. INFO.:		
US 1999-136323P	P	19990527
US 1999-158659P	P	19991008
US 1999-433486	A	19991104
US 2000-186310P	P	20000302
WO 2000-US14578	W	20000525

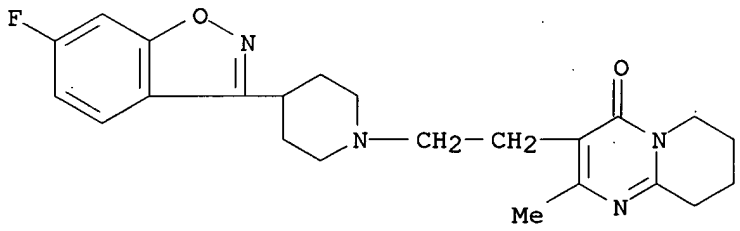
AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to **form a** drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a

volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded organic solution was prepared by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aqueous solution was prepared by dissolving 3.27 g of NH4HCO3 and 0.91 g of PEG 3350 in 1.82 mL of water. The aqueous and organic solns. were homogenized and resulting emulsion was spray dried. A suspension of the porous nifedipine drug matrix was prepared in 5% dextrose solution at a concentration of 2.5 mg/mL. A bolus injection of the suspension was tolerated when administrated to dogs.

IT **106266-06-2**, Risperidone
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

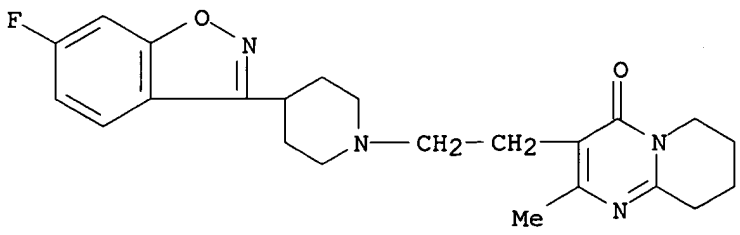


L20 ANSWER 63 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:807491 CAPLUS
DOCUMENT NUMBER: 135:14176
TITLE: Risperidone, haloperidol, and olanzapine for the treatment of behavioral disturbances in nursing home patients: A retrospective analysis
AUTHOR(S): Frenchman, I. Barton
CORPORATE SOURCE: R. Ph. Consulting, Union, NJ, 07083, USA
SOURCE: Current Therapeutic Research (2000), 61(10), 742-750
CODEN: CTCEA9; ISSN: 0011-393X
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This retrospective chart review assessed the efficacy and tolerability of risperidone, haloperidol, and olanzapine for the treatment of behavioral disturbances in nursing home patients with a psychiatric disorder. A review of .apprx.10,000 patient charts from 61 nursing homes in New Jersey identified 202 patients treated for 1 to 12 mo with risperidone (n = 105), haloperidol (n = 77), or olanzapine (n = 20). The primary target symptoms were behavioral (hitting, screaming, pacing) and psychiatric (hallucinations, paranoia, delusions). Improvement in frequency and severity of behavioral symptoms was measured based on physicians' progress notes, psychiatric consultation reports, and nurses' summaries and behavioral monitoring forms. The most common diagnosis was dementia (n = 123), followed by schizophrenia (n = 32) and organic **psychosis** (n = 19). Among patients with dementia, behavioral symptoms improved in 55 of 65 (85%) patients treated with risperidone (1.1 mg/d), 18 of 42 (43%) treated with haloperidol (1.1 mg/d), and 7 of 16 (44%) treated with olanzapine (6.7 mg/d). Overall improvement in the target behavioral symptoms was seen in more patients treated with risperidone (80%) than in those treated with haloperidol (53%) or olanzapine (50%). Adverse effects were seen in 27 of 105 (26%) risperidone-treated patients, 26 of 77 (34%) haloperidol-treated patients, and 13 of 20 (65%) olanzapine-treated patients. The apparent efficacy of risperidone in treating behavioral disturbances in these patients, and its more favorable safety profile, support its use as first-line therapy when antipsychotic medication is necessary.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone, haloperidol, and olanzapine for treatment of behavioral disturbances in nursing home patients)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



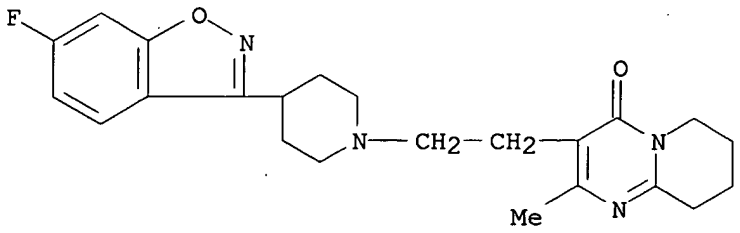
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 64 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:773299 CAPLUS
DOCUMENT NUMBER: 134:361240
TITLE: The effects of olanzapine, risperidone, and haloperidol on plasma prolactin levels in patients with schizophrenia
AUTHOR(S): David, Stacy R.; Taylor, Cindy C.; Kinon, Bruce J.; Breier, Alan
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, USA
SOURCE: Clinical Therapeutics (2000), 22(9), 1085-1096
CODEN: CLTHDG; ISSN: 0149-2918
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB There is relatively little comparative information on elevations in plasma prolactin level (PRL) with conventional vs. novel antipsychotic agents. This paper examines the comparative effects on PRL of olanzapine, risperidone, and haloperidol based on data from 3 multicenter, double-blind, randomized clin. trials. Magnitude of response, dose dependency, time course, effects of sex and age, and response to switching from haloperidol to olanzapine are assessed. The effects of olanzapine, risperidone, and haloperidol on PRL were assessed in patients with schizophrenia or related **psychoses** participating in 3 double-blind clin. trials: (1) a 6-wk acute trial comparing olanzapine 5 to 20 mg/d (n = 1336) and haloperidol 5 to 20 mg/d (n = 660), with a 1-yr, open-label olanzapine extension for responders; (2) a 54-wk study comparing olanzapine 5 to 20 mg/d (n = 21), risperidone 4 to 10 mg/d (n = 21), and haloperidol 5 to 20 mg/d (n = 23) in early illness; and (3) a 28-wk study comparing olanzapine 10 to 20 mg/d (n = 172) and risperidone 4 to 12 mg/d (n = 167). PRL elevations were significantly greater with risperidone than with either olanzapine or haloperidol in study 2, and significantly greater than with olanzapine in study 3 (all, $P < 0.001$). PRL elevations were significantly greater with haloperidol than with olanzapine in study 1 ($P < 0.001$). A dose-response relationship was not consistently confirmed with any of the drug treatments. Risperidone-associated PRL elevations peaked relatively early in treatment. In haloperidol- and risperidone-treated patients, the mean change in PRL was greater in women than in men. PRL decreased significantly when treatment was switched from haloperidol to olanzapine. This side-by-side anal. of 3 independent studies suggests that with the 3 antipsychotic drugs studied, PRL is elevated moderately by olanzapine (mean change, 1-4 ng/mL), intermediately by haloperidol (mean change, ≈17 ng/mL), and strongly by risperidone (mean change, 45-80 ng/mL). No consistent dose-response relationship was. Observed, and the time course and sex-dependency of the response differed between the 3 agents. Patients with haloperidol-induced hyperprolactinemia may benefit from a switch to olanzapine. Long-term studies examining the health consequences of chronic hyperprolactinemia during antipsychotic treatment are needed.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of olanzapine, risperidone, and haloperidol on plasma prolactin levels in patients with schizophrenia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



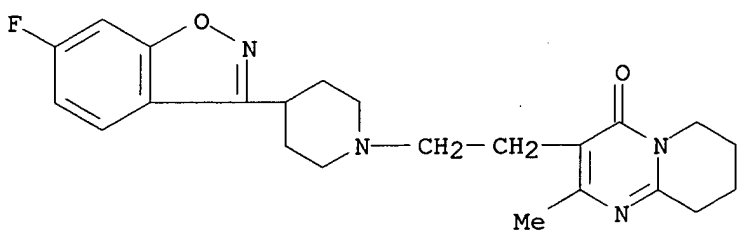
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 65 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:728392 CAPLUS
DOCUMENT NUMBER: 134:459
TITLE: Inverse agonist activity of atypical antipsychotic drugs at human 5-hydroxytryptamine2C receptors
AUTHOR(S): Herrick-Davis, Katharine; Grinde, Ellinor; Teitler, Milt
CORPORATE SOURCE: Center for Neuropharmacology and Neuroscience, Albany Medical College, Albany, NY, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2000), 295(1), 226-232
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Clozapine is the prototype atypical antipsychotic drug, producing little or no extrapyramidal side effects, while improving neg. symptoms of **psychosis**. Clozapine's high affinity for serotonin receptors has been hypothesized to confer the unique antipsychotic properties of this drug. Recently, we demonstrated that both typical and atypical antipsychotic drugs are inverse agonists at constitutively active 5-hydroxytryptamine2A (5-HT2A) receptors. To determine whether inverse agonist activity at 5-HT2C receptors plays a role in antipsychotic efficacy, typical and atypical antipsychotic drugs were tested for inhibition of basal inositol phosphate production in mammalian cells expressing rat or human 5-HT2C receptors. Atypical antipsychotic drugs (sertindole, clozapine, olanzapine, ziprasidone, risperidone, zotepine, tiospirone, fluperlapine, tenilapine) displayed potent inverse agonist activity at rat and human 5-HT2C receptors. Typical antipsychotic drugs (chlorpromazine, loxapine, thioridazine, prochlorperazine, perphenazine, mesoridazine, trifluperidol, fluphenazine, spiperone, haloperidol, pimozide, penfluridol, thiothixene) were devoid of inverse agonist activity, with the exception of loxapine. We review the evidence that loxapine has unique properties characteristic of both atypical and typical antipsychotic drugs. Several typical antipsychotic drugs (chlorpromazine, thioridazine, spiperone, thiothixene) displayed neutral antagonist activity by reversing clozapine inverse agonism. These data suggest that 5-HT2C inverse agonist activity is associated with atypical antipsychotic drugs with moderate to high affinity for 5-HT2C receptors, and imply that effects of atypical antipsychotic drugs on the 5-HT2C receptor may play a role in their unique clin. properties. These data also imply that dysfunction of brain 5-HT2C receptor systems may be one of the factors involved in the etiol. of **psychosis**.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inverse agonist activity of atypical antipsychotic drugs at human 5-hydroxytryptamine2C receptors)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



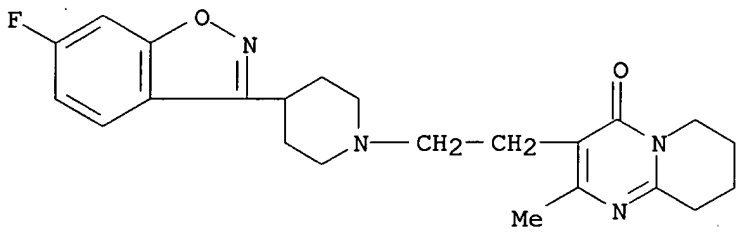
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 66 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:704064 CAPLUS
DOCUMENT NUMBER: 134:216655
TITLE: A risk-benefit assessment of risperidone for the treatment of behavioural and psychological symptoms in dementia
AUTHOR(S): Żaudig, Michael
CORPORATE SOURCE: Windach Institute and Hospital of Neurobehavioural Research and Therapy (WINTR), Psychosomatic Hospital, Windach, Germany
SOURCE: Drug Safety (2000), 23(3), 183-195
CODEN: DRSAEA; ISSN: 0114-5916
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 98 refs. The importance of behavioral and psychol. symptoms in dementia (BPSD) is increasingly being recognized. Symptoms such as verbal and phys. aggression, agitation, sleep disturbances and wandering are common, cause great distress to caregivers and are likely to lead to institutionalization of patients. At present, these symptoms are also more amenable to treatment compared with the progressive intellectual decline caused by dementing illnesses. The care of individuals with BPSD involves a broad range of psychosocial treatments for the patient and his or her family. If pharmacotherapy is deemed necessary to manage BPSD, a careful balance must be struck between the benefits of symptom control and the inherent risks associated with most psychotropic agents in the elderly. Elderly patients in general, and patients with dementia in particular, are more sensitive to medication adverse effects, including anticholinergic effects, orthostatic hypotension, sedation, parkinsonism, tardive dyskinesia and cognitive impairment than younger patients with dementia or individuals without dementia. To date, treatment of symptoms of aggression and **psychosis** has relied on the empirical use of antidepressants, anxiolytics, typical antipsychotics (neuroleptics) and other agents. Treatment-limiting adverse effects are frequently reported with all of these agents. However, it is the typical antipsychotics and the atypical antipsychotic clozapine that are associated with the greatest risk of adverse effects in the elderly. The present review highlights the issues that limit the use of older psychotropic agents in the elderly, and presents an assessment of the available evidence concerning the efficacy, safety and tolerability of the atypical antipsychotic risperidone, in the treatment of BPSD in elderly patients with dementia. The extensive clin. development program for risperidone has shown the drug to be effective and well tolerated in many fragile patients. As a result of its efficacy and safety profile, risperidone can be used for the treatment of behavioral and psychol. symptoms in patients with dementia. Risperidone therefore represents a significant addition to the armamentarium for BPSD. While efforts continue in the development of treatment for the cognitive decline associated with dementia, treatment is now available for the noncognitive symptoms. By treating the latter, risperidone has the potential to be of substantial benefit to patients with dementia, their carers and the costs of healthcare.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risk-benefit assessment of risperidone for the treatment of behavioral and psychol. symptoms in dementia)
RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



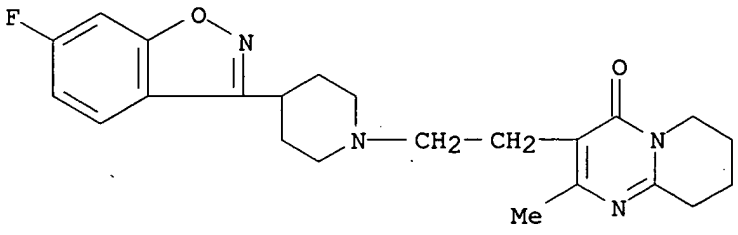
REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 67 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:517598 CAPLUS
DOCUMENT NUMBER: 133:275806
TITLE: Effects of psychopharmacotherapy on phenotypic expression of cytochrome P450 2D6 in patients genotyped for CYP2D6 mutations
AUTHOR(S): Zelenkova, O.; Hadasova, E.; Ceskova, E.; Vojtiskova, M.; Hyksova, M.
CORPORATE SOURCE: Department of Pharmacology, Faculty of Medicine, Masaryk University, Brno, Czech Rep.
SOURCE: Human Psychopharmacology (2000), 15(4), 303-305
CODEN: HUPSEC; ISSN: 0885-6222
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The CYP2D6 metabolic status in case of genetic (homozygous) PMs can predetermine to a large extent the pharmacokinetics of polymorphically metabolized psychotropics, on the other hand, some psychotropics have been recognized as inhibitors of CYP2D6 and may alter CYP2D6 metabolic capacity in EMs (i.e. wild types or heterozygous) during psychopharmacotherapy. Of 20 randomly selected in-patients with diagnosis of schizophrenia, schizo-affective **psychosis**, and affective mood disorders, 8 patients were previously treated with CYP2D6 substrate drugs for at least 1 mo before hospitalization. Another 12 patients were either therapy free or treated with psychotropics not known as substrates of CYP2D6 (lithium, carbamazepine, primidon) with wash out of 1 mo minimally before administration to the hospital. Genotyping for CYP2D6 mutations in exons 3, 4, 5 and for deletion of entire CYP2D6 gene was performed with PCR. After a 28 day period of treatment with various CYP2D6-controlled psychotropics, the occurrence of phenotypical PMs showed some changes in both subgroups of patients. However, no direct correlation between genotype and phenotype was found in the tested subjects, particularly in the group of patients with previous therapy. Phenotypically detected PM patients were found in both heterozygously mutated and nonmutated subgroups of studied subjects. Both previous and current therapy with psychotropic drugs appeared to be a more important and decisive factor for the patient's CYP2D6 metabolic capacity than the genetic background itself.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(effects of psychopharmacotherapy on phenotypic expression of cytochrome P 450 2D6 in patients genotyped for CYP2D6 mutations)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



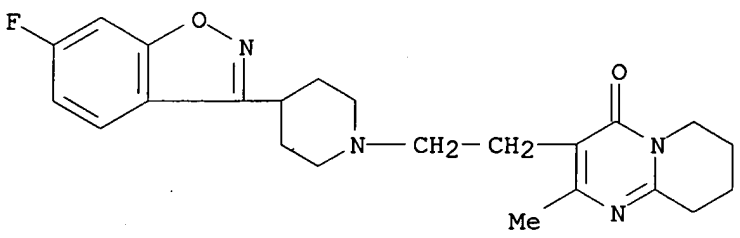
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 68 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:509856 CAPLUS
DOCUMENT NUMBER: 133:232204
TITLE: Risperidone: A review of its use in the management of the behavioural and psychological symptoms of dementia
AUTHOR(S): Bhana, Nila; Spencer, Caroline M.
CORPORATE SOURCE: Adis International Limited, Auckland, N. Z.
SOURCE: Drugs & Aging (2000), 16(6), 451-471
CODEN: DRAGE6; ISSN: 1170-229X
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 74 refs. Risperidone is a benzisoxazole derivative which has proven efficacy against the pos. and neg. symptoms of schizophrenia. It has more recently been investigated and shown efficacy as a treatment for the behavioral and psychol. symptoms associated with dementia in the elderly. Risperidone has pharmacol. properties resembling those of the atypical antipsychotic clozapine and an improved tolerability profile compared with the conventional antipsychotic haloperidol. Risperidone has antagonistic activity primarily at serotonin 5-HT2A and dopamine D2 receptors. In the first 2 large, well controlled trials of an antipsychotic agent used in the treatment of elderly patients with Alzheimer's dementia, vascular dementia or mixed dementia, risperidone 1 mg/day was at least as effective as haloperidol and superior to placebo, as assessed by the rating scales for global behavior, aggression and **psychosis**. In extension phases of the 2 trials, clin. benefits were maintained for treatment periods of up to 1 yr, with an incidence rate of tardive dyskinesia (2.6%) one-tenth of that seen with conventional antipsychotics. Risperidone, administered at a low dosage of 1 mg/day was associated with fewer extrapyramidal symptoms compared with haloperidol in elderly patients. Risperidone was well tolerated with no clin. relevant abnormalities in laboratory tests, vital signs or ECG results. The efficacy of risperidone has been demonstrated in the treatment of the behavioral and psychol. symptoms associated with dementia in the elderly. Preliminary results from 1-yr extension studies confirm the favorable efficacy and tolerability profile of risperidone 1 mg/day. Although head to head studies with other atypical antipsychotic agents are required and the long term use of the drug requires clarification, risperidone represents a generally well tolerated and effective treatment in the management of dementia-associated behavioral and psychol. symptoms in the elderly.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(risperidone use in the management of the behavioral and psychol. symptoms of dementia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



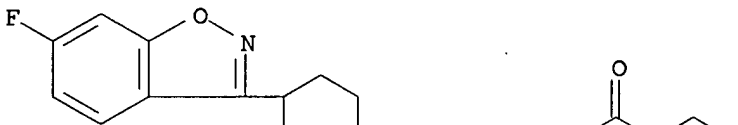
REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 69 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:507994 CAPLUS
DOCUMENT NUMBER: 133:232679
TITLE: Risperidone compared to haloperidol in cannabis-induced psychotic disorder: a double blind randomized controlled trial
AUTHOR(S): Berk, M.; Brook, S.; Nur, F.
CORPORATE SOURCE: University of the Witwatersrand Medical School, Parktown, 2193, S. Afr.
SOURCE: International Journal of Psychiatry in Clinical Practice (2000), 4(2), 139-142
CODEN: IJPCFZ; ISSN: 1365-1501
PUBLISHER: Martin Dunitz Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Few controlled data exist on the treatment of substance-induced psychotic disorders. Our aim was to investigate the effects of risperidone and haloperidol in 30 patients who met DSM-IV criteria for cannabis-induced psychotic disorder. These patients were randomly allocated to receive either risperidone or haloperidol in a 4-wk randomized controlled double-blind clin. trial. There were no significant outcome differences between the two groups on any of the primary outcome measures, the Brief Psychiatric Rating Scale, Clin. Global Impression scale or the Global Assessment of Functioning Scale. No extrapyramidal side-effects (EPS), as measured by either the Simpson Angus Scale or the Barnes Akathisia Scale, emerged in the risperidone group; this was however not statistically different to the haloperidol group due to the low rate of EPS in that group. There were no significant differences between the two groups on the secondary outcome measures, use of lorazepam or biperiden. Risperidone appears to be as effective as haloperidol in the treatment of cannabis-induced psychotic disorder.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone compared to haloperidol in cannabis-induced psychotic disorder)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 70 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:277835 CAPLUS
DOCUMENT NUMBER: 132:298845
TITLE: Therapy for improving cognition
INVENTOR(S): De Nijs, Paul Leonce Irma; Parys, Wim Louis Julien
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 7 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000023057	A2	20000427	WO 1999-EP7804		19991012
WO 2000023057	A3	20000727			
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2345767	AA	20000427	CA 1999-2345767		19991012
AU 9964727	A1	20000508	AU 1999-64727		19991012
BR 9914419	A	20010626	BR 1999-14419		19991012
EP 1121131	A2	20010808	EP 1999-952580		19991012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200101082	T2	20010921	TR 2001-200101082		19991012
EE 200100136	A	20020617	EE 2001-136		19991012
JP 2002527469	T2	20020827	JP 2000-576832		19991012
BG 105302	A	20011130	BG 2001-105302		20010301
NO 2001001403	A	20010320	NO 2001-1403		20010320
HR 2001000262	A1	20020630	HR 2001-262		20010410
ZA 2001003081	A	20020712	ZA 2001-3081		20010412
PRIORITY APPLN. INFO.:			EP 1998-203454	A	19981016
			WO 1999-EP7804	W	19991012

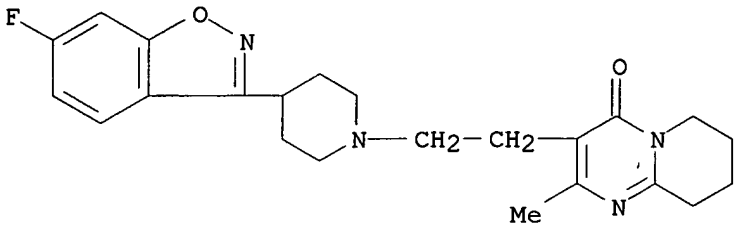
AB The present invention is concerned with pharmaceutical compns. comprising a carrier and as first active ingredient an atypical antipsychotic agent (I) and as second active ingredient an acetylcholinesterase inhibitor (II), each in an amount producing a therapeutically beneficial effect in patients suffering from **psychosis**, or Alzheimer's disease or related dementias. The therapeutically beneficial effect can be a synergistic effect on the cognitive functioning of patients suffering from Alzheimer's disease or related dementias or the prevention of the further deterioration of cognition in the patients, or the reduction of adverse effects associated with one of the active ingredients by the other of the active ingredients. Preferred compns. comprise risperidone as the atypical antipsychotic and galantamine as the acetylcholinesterase inhibitor.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutics for improving cognition containing antipsychotic agent and acetylcholinesterase inhibitor)

RN 106266-06-2 CAPLUS

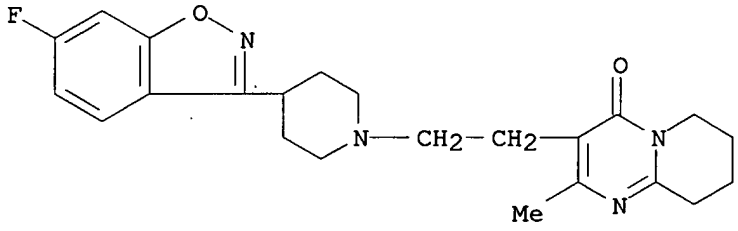
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 71 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:243330 CAPLUS
DOCUMENT NUMBER: 132:260034
TITLE: The efficacy of atypical antipsychotics in the treatment of depressive symptoms, hostility, and suicidality in patients with schizophrenia
AUTHOR(S): Keck, Paul E., Jr.; Strakowski, Stephen M.; McElroy, Susan L.
CORPORATE SOURCE: Biological Psychiatry and Psychotic Disorders Research Programs, Department of Psychiatry, University of Cincinnati College of Medicine, Cincinnati, OH, 45267-0559, USA
SOURCE: Journal of Clinical Psychiatry (2000), 61(Suppl. 3), 4-9
CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 80 refs. Depressive symptoms and syndromal depression commonly occur in patients with schizophrenia. Schizophrenia is also associated with aggression directed at self and others. For this article, the available literature regarding the efficacy of clozapine, risperidone, olanzapine, quetiapine, and ziprasidone in the treatment of depression, hostility, and suicidality in patients with schizophrenia was reviewed. These studies suggest that atypical antipsychotics may exert therapeutic effects on depression and hostility as well as **psychosis** and that clozapine and olanzapine may reduce suicidality in patients with schizophrenia. These therapeutic actions appear to represent addnl. advantages of atypical antipsychotics compared with standard agents.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy of atypical antipsychotics in treatment of depressive symptoms, hostility, and suicidality in patients with schizophrenia)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 72 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:241564 CAPLUS
DOCUMENT NUMBER: 132:288780
TITLE: Methods of identifying inverse agonists of the serotonin 2a receptor, therapeutic and diagnostic methods, and test kit
INVENTOR(S): Weiner, David; Brann, Mark R.
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020636	A1	20000413	WO 1999-US21439	19991007
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6358698	B1	20020319	US 1999-413626	19991006
AU 9963912	A1	20000426	AU 1999-63912	19991007
US 2005148018	A1	20050707	US 2005-73313	20050304
US 2005244862	A1	20051103	US 2005-83173	20050316

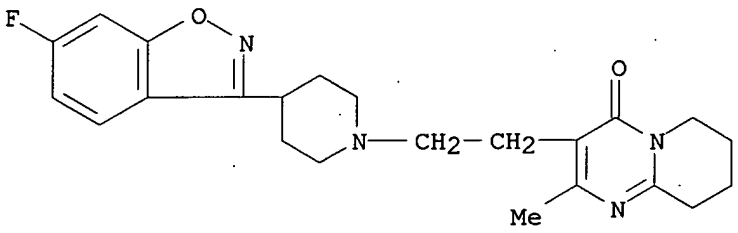
PRIORITY APPLN. INFO.:

US 1998-103317P	P	19981007
US 1999-413626	A1	19991006
WO 1999-US21439	W	19991007
US 2002-130812	A1	20021106

AB A method for identifying compds. which act as inverse agonists of the 5-HT2A receptor comprises contacting a constitutively active 5-HT2A receptor with at least one test compound and determining any decrease in the level of basal activity of the receptor. The inverse agonists may be used in the treatment of schizophrenia and related **psychoses**.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin 2a receptor inverse agonist identification, therapeutic and diagnostic methods, and test kit)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



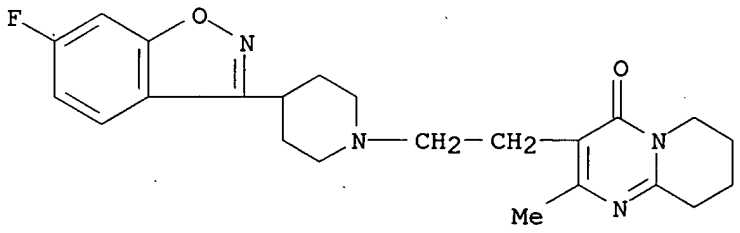
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 73 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:173864 CAPLUS
DOCUMENT NUMBER: 132:330032
TITLE: New dopamine receptor, D2Longer, with unique TG splice site, in human brain
AUTHOR(S): Seeman, P.; Nam, D.; Ulpian, C.; Liu, I. S. C.; Tallerico, T.
CORPORATE SOURCE: Department of Pharmacology, University of Toronto, Toronto, ON, Can.
SOURCE: Molecular Brain Research (2000), 76(1), 132-141
CODEN: MBREE4; ISSN: 0169-328X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Brain dopamine receptor agonists alleviate the signs of Parkinson's disease, while dopamine receptor antagonists alleviate hallucinations and delusions in **psychosis**. The dopamine type 2 receptor (or D2) is blocked by antipsychotic drugs, including even the "atypical" drugs such as clozapine or remoxipride, in direct relation to their clin. potencies. Compared to the long form of the D2 receptor (D2Long), the short form (D2Short) may be three times more sensitive to benzamide antipsychotic drugs. Hence, it is essential to identify addnl. variants of dopamine receptors for which more selective antipsychotic drugs can be found. Although no family linkage has been found between the D2 receptor and schizophrenia, there can be brain region abnormalities in the RNA transcript expression of dopamine receptors. Therefore, to identify variant dopamine D2 receptors, the authors searched for mutations in the RNA transcripts for the dopamine D2 receptor in the striatum of post-mortem brains from individuals who died with **psychosis**, including schizophrenia. A new splice variant of the D2 receptor, D2Longer, with a unique TG splice site, was found in one control brain and in two psychotic brains.

IT **106266-06-2**, Risperidone
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(sequence and function of dopamine receptor D2Longer isoform from brain of psychotic and normal humans)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



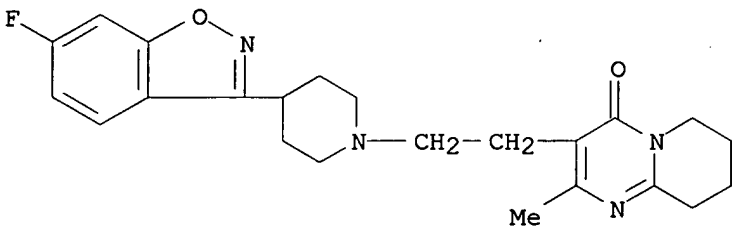
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 74 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:71034 CAPLUS
DOCUMENT NUMBER: 132:102313
TITLE: Antipsychotic agents in patients with dementia
AUTHOR(S): Defilippi, Jennifer L.; Crismon, M. Lynn
CORPORATE SOURCE: Central Texas Veterans Health Care System, Austin, TX, USA
SOURCE: Pharmacotherapy (2000), 20(1), 23-33
CODEN: PHPYDQ; ISSN: 0277-0008
PUBLISHER: Pharmacotherapy Publications
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with .apprx.43 refs. We conducted a MEDLINE search to obtain data on various antipsychotics administered to patients with dementia and **psychosis** or behavioral symptoms. Addnl. unpublished data from conference proceedings and unpublished clin. trials were provided by Janssen Pharmaceutica, Eli Lilly and Company, and Zeneca Pharmaceuticals. All clin. trials that evaluated traditional typical or atypical antipsychotics in patients with dementia were reviewed for efficacy and safety data. Consensus guidelines published in 1994 or later were considered. After reviewing clin. trials and expert opinions, we devised an algorithm for optimal treatment of these patients. Although data are limited and do not conclusively show superiority of one agent over another, based on clin. experience and side effect profiles, risperidone is considered to be the drug of choice for treating patients with dementia and **psychosis**. Alternative treatment options in an algorithmic format also are recommended.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antipsychotic agents in treatment of humans with dementia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



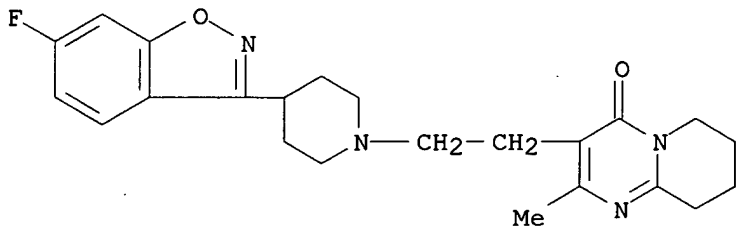
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 75 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:707421 CAPLUS
DOCUMENT NUMBER: 132:189550
TITLE: Partial generalization of (-)DOM to fluvoxamine in the rat: implications for SSRI-induced mania and **psychosis**
AUTHOR(S): Winter, Jerrold C.; Fiorella, David J.; Helsley, Scott E.; Rabin, Richard A.
CORPORATE SOURCE: Department of Pharmacology and Toxicology, School of Medicine and Biomedical Sciences, State University of New York at Buffalo, Buffalo, NY, 14214-3000, USA
SOURCE: International Journal of Neuropsychopharmacology (1999), 2(3), 165-172
CODEN: IJNUFB; ISSN: 1461-1457
PUBLISHER: Cambridge University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recent reports have implicated selective serotonin-reuptake inhibitors in the induction of **psychosis** and mania when SSRIs are given in combination with neuroleptics. We hypothesize that the partial substitution of fluvoxamine for the hallucinogen, (-)DOM, in the rat provides evidence for a 5-HT2-mediated effect of fluvoxamine which may in turn account for the adverse effects observed in humans. Male Fischer-344 rats were trained with (-)DOM (0.56 mg/kg) as a discriminative stimulus using standard operant procedures. Tests of generalization were then conducted with fluvoxamine either alone or in combination with the 5-HT1A antagonist, WAY-100635, the 5-HT2 antagonist, pirenperone, and the neuroleptics, fluphenazine, chlorpromazine, thioridazine, loxapine, risperidone, and clozapine. In rats trained with (-)DOM, fluvoxamine at a dose of 20 mg/kg yielded a maximum 58% (-)DOM-appropriate response. This partial generalization was potentiated by treatment with WAY-100635 and antagonized by pirenperone, loxapine, risperidone, and clozapine. The present data are compatible with a 5-HT2-mediated effect of fluvoxamine which may play a role in SSRI-induced mania and **psychosis**. It is predicted by the results of this study that the probability of these adverse effects will be increased by the concurrent use of antagonists at 5-HT1A receptors and decreased by neuroleptics with antagonistic activity at 5-HT2 receptors.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(partial generalization of (-)DOM to fluvoxamine in rat and the effect of WAY-100635, pirenperone, and antipsychotic drugs on the actions of fluvoxamine)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



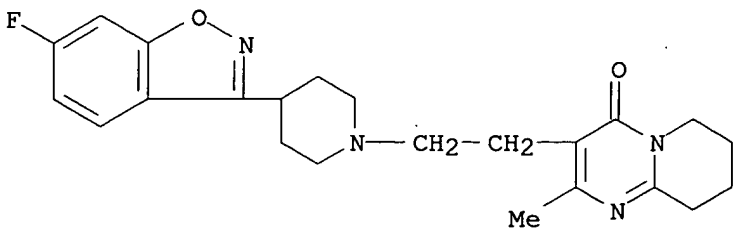
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 76 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:567255 CAPLUS
DOCUMENT NUMBER: 131:165226
TITLE: The course of depressive symptoms in predicting relapse in schizophrenia: a double-blind, randomized comparison of olanzapine and risperidone
AUTHOR(S): Tollefson, Gary D.; Anderen, Scott W.; Tran, Pierre V.
CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, USA
SOURCE: Biological Psychiatry (1999), 46(3), 365-373
CODEN: BIPCBF; ISSN: 0006-3223
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Background: depressive symptoms are common during the course of schizophrenia and may carry prognostic relevance. Methods: from a 28-wk prospective, double-blind, randomized study of olanzapine and risperidone, a post hoc evaluation of changes in the Pos. and Neg. Syndrome Scale (PANSS) depression cluster (PDC) and the subsequent risk of relapse were analyzed by logistic regression. Results: olanzapine was associated with a significantly higher categorical rate of improvement on the PANSS depression cluster (≥7 points) ($p < .05$). Although the baseline severity of depressive symptoms was not a significant predictor of relapse, the degree of acute (8-wk) mood improvement on the PANSS depression cluster (but neither neg. or pos. symptom changes) was related to the probability of a subsequent psychotic relapse. Acute mood improvement with olanzapine was inversely related to a nonsignificantly lower risk of relapse. However, an opposite and significant relationship was observed among risperidone-treated subjects. Risperidone-treated subjects with a greater degree of acute mood change were both 3.58 times more likely to relapse than their risperidone counterparts who had experienced less mood improvement ($p = .008$) and 8.55 times more likely than olanzapine-treated subjects who had similar mood improvements ($p = .001$). Conclusions: these data suggest the underlying pharmacol. differences between the two drugs may bestow different rates of longer-term mood stabilization and relapse prevention. In a second series of analyses, worsening on the PANSS depression cluster in the 4 wk or less preceding a clin. relapse was a significant prodromal predictor of relapse among all subjects. As a whole, subjects with a worsening on the PDC demonstrated a 1.77 times higher risk of a relapse during the subsequent 4 wk ($p-.001$). Among this mood-worsening stratum risperidone-treated patients were 3.51 times more likely to relapse in those next 4 wk ($p = .005$) than their olanzapine counterparts. Future comparative drug studies in this area will further contribute to our understanding of the pathophysiol. of mood change and its relationship to **psychosis**, including clin. relapse and how newer agents may differ in their resp. delivery of long-term treatment outcomes.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(olanzapine and risperidone for treatment of depressive symptoms in schizophrenia in humans)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



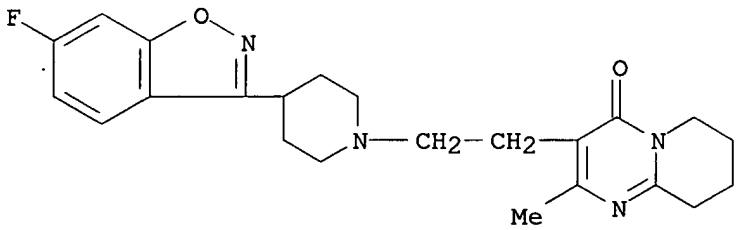
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 77 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:547965 CAPLUS
DOCUMENT NUMBER: 131:295456
TITLE: Characterization of MK-801-induced behavior as a putative rat model of **psychosis**
AUTHOR(S): Andine, Peter; Widermark, Nina; Axelsson, Rolf; Nyberg, Gosta; Olofsson, Ulla; Martensson, Erik; Sandberg, Mats
CORPORATE SOURCE: Institute of Clinical Neuroscience, Department of Psychiatry, Sahlgrenska University Hospital, Goteborg, Swed.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 290(3), 1393-1408
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The objective of this study was to characterize the behavior induced by the N-methyl-D-aspartate receptor antagonist MK-801 (dizocilpine maleate) in rats as a model of **psychosis**. The temporal profile, dose dependence, age, and sex differences of the behavior are described. A gas chromatog. method for the anal. of MK-801 in plasma and brain was developed. Female rats showed 4 to 10 times more MK-801-induced behavior and displayed around 25 times higher serum and brain concns. of MK-801 than male rats. Twenty-one neuroactive compds., including a number of excitatory amino acid-active substances, were tested for the effect on MK-801-induced behavior. Neuroleptics blocked MK-801-induced behavior in a dose-dependent manner that correlated to their antipsychotic potency in humans. Adenosine receptor agonists and an N-methyl-D-aspartate receptor-associated glycine site antagonist showed putative antipsychotic effects. In conclusion, MK-801-induced behavior represents a rat excitatory amino acid hypofunction model of **psychosis** that appears to be of clin. relevance and may be of value in the search for new antipsychotic agents.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of MK-801-induced behavior as putative rat model of **psychosis** for drug evaluation)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

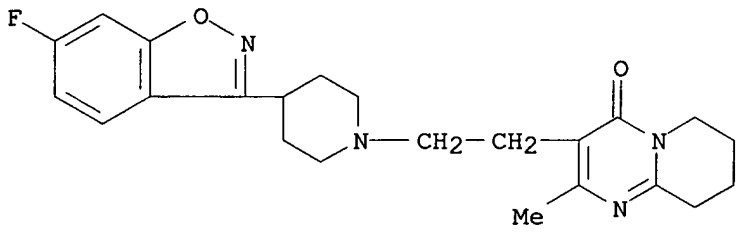


REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 78 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:537420 CAPLUS
DOCUMENT NUMBER: 131:165220
TITLE: Risperidone treatment of behavioral disturbances in outpatients with dementia
AUTHOR(S): Irizarry, Michael C.; Ghaemi, S. Nassir; Lee-Cherry, Erica R.; Gomez-Isla, Teresa; Binetti, Giuliano; Hyman, Bradley T.; Growdon, John H.
CORPORATE SOURCE: Department of Neurology, Harvard Medical School, Massachusetts General Hospital, Boston, MA, 02129, USA
SOURCE: Journal of Neuropsychiatry and Clinical Neurosciences (1999), 11(3), 336-342
CODEN: JNCNE7; ISSN: 0895-0172
PUBLISHER: American Psychiatric Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors retrospectively assessed the effectiveness and side effects of risperidone used to treat behavioral disturbances in elderly outpatients with dementia. In 41 patients treated with risperidone 1.8 ± 1.4 mg/day, there was complete suppression of the target symptom in 15%, partial response in 41%, and no response in 44%. Risperidone appeared equally effective in treating agitation and **psychosis**. New or worsening extra-pyramidal side effects (EPS) occurred in 32%, associated with longer duration of treatment and possibly with concomitant use of serotonergic anti-depressants. Risperidone was a useful adjunct in the treatment of agitation and **psychosis** in outpatients with dementia but was limited by EPS in about one-third of patients.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of risperidone treatment of behavioral disturbances in outpatients with dementia)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



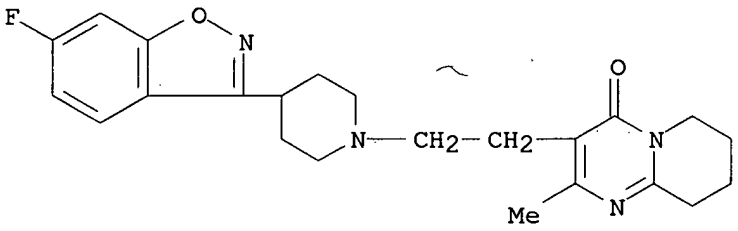
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 79 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:521912 CAPLUS
DOCUMENT NUMBER: 131:165202
TITLE: The effect of risperidone on cognitive performance in elderly psychotic and aggressive patients with dementia; a pilot study
AUTHOR(S): Orengo, C. A.; Kidwell, K.; Kunik, M. E.; Molinari, V. A.
CORPORATE SOURCE: Department of Psychiatry, Veterans Affair Medical Center, Houston, TX, 77030, USA
SOURCE: International Journal of Geriatric Psychopharmacology (1998), 1(4), 193-196
CODEN: IJGPFT; ISSN: 1364-8233
PUBLISHER: Stockton Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This pilot study examined the effects of risperidone on cognition in five elderly male patients at the Houston VA Hospital with **psychosis** and dementia. Three out of five (60%) demonstrated improvement on the Mini-Mental State Examination The group mean score (correct responses) at baseline was 12.6±11.01, which improved to 14.8±10.89 at week 4. Addnl., a clin. significant improvement was seen in two out of three patients who were able to complete Trails B. Future studies on the effect of risperidone on cognition in elderly demented patients should be randomized, controlled trails that include a larger sampling of males and females tested over a longer period of time.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of risperidone on cognitive performance in elderly psychotic and aggressive humans with dementia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 80 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:389659 CAPLUS
DOCUMENT NUMBER: 131:39089
TITLE: The role of atypical antipsychotics in the treatment of movement disorders
AUTHOR(S): Fernandez, Hubert H.; Friedman, Joseph H.
CORPORATE SOURCE: Movement Disorders Unit, Department of Neurology, Memorial Hospital of Rhode Island, Brown University School of Medicine, Pawtucket, RI, USA
SOURCE: CNS Drugs (1999), 11(6), 467-483
CODEN: CNDREF; ISSN: 1172-7047
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

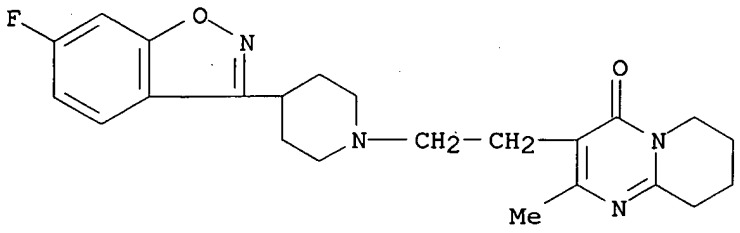
AB A review with 190 refs. An atypical antipsychotic drug is loosely defined by its ability to produce an antipsychotic effect without inducing extrapyramidal symptoms (EPS). To date, 4 atypical antipsychotics have been released in the US: clozapine, quetiapine, olanzapine and risperidone, which are listed in decreasing order of "atypicality" based on clin. and preclin. studies. While the outcome of trials with quetiapine on parkinsonian patients (considered the most stringent test of the atypicality of a drug) is awaited, clozapine remains the prototypic atypical antipsychotic drug. Disappointing reports of risperidone-induced parkinsonism raise questions about the atypical nature of this drug. Olanzapine appears to be intermediate between risperidone and clozapine in inducing EPS. Drug-induced **psychosis** in Parkinson's disease and antipsychotic-induced movement disorders in psychotic patients are the most common indications for an atypical antipsychotic in patients with movement disorders. In drug-induced **psychosis** in Parkinson's disease, the antiparkinsonians are first reduced until the **psychosis** resolves. Unfortunately, motor function is often compromised as a result. The addition of an atypical antipsychotic drug, without altering the regimen of antiparkinsonians, often controls **psychosis** without compromising motor function. Depending on the atypical antipsychotic used, the dosage required may be substantially lower than that for schizophrenic patients. No treatment strategy has been proven to be clearly superior in suppressing antipsychotic-induced movement disorders such as tardive dyskinesia, tardive akathisia and dystonia. Nonetheless, a review of the available data strongly suggests that clozapine has substantially less risk of inducing tardive dyskinesia than conventional antipsychotic agents. No case of tardive dyskinesia developing in patients who have taken clozapine as their only antipsychotic has yet been reported. Although there is evidence that clozapine may have an active therapeutic effect against pre-existing tardive dyskinesia, this remains inconclusive. Data on the use of clozapine for tremor in Parkinson's disease suggest significant benefit. Clozapine has also been reported to be useful in a variety of movement disorders including levodopa-induced dyskinesia, nocturnal akathisia and dystonia in Parkinson's disease, but the number of patients involved is small. No definitive conclusion on the role of atypical antipsychotic agents in other behavioral disorders such as depression, anxiety and sleep fragmentation in Parkinson's disease, as well as in other movement disorders, can be made until well-planned long-term double-blind trials have been performed.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(role of atypical antipsychotics in the treatment of human movement disorders)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 190 THERE ARE 190 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 81 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:246974 CAPLUS
DOCUMENT NUMBER: 130:291573
TITLE: Methods for screening drugs using a reducible substrate to predict inducibility of tardive dyskinesia
INVENTOR(S): Tsai, Guochuan; Huang, Xudong; Bush, Ashley I.
PATENT ASSIGNEE(S): The General Hospital Corporation, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918432	A1	19990415	WO 1998-US20994	19981006
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2305732	AA	19990415	CA 1998-2305732	19981006
AU 9896027	A1	19990427	AU 1998-96027	19981006
AU 746143	B2	20020418		
EP 1019716	A1	20000719	EP 1998-949779	19981006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001519529	T2	20011023	JP 2000-515175	19981006
US 6316269	B1	20011113	US 1998-166594	19981006
US 2002084230	A1	20020704	US 2001-970703	20011005

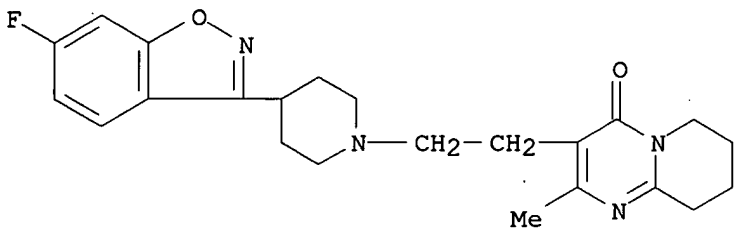
PRIORITY APPLN. INFO.:
US 1997-60962P P 19971006
US 1998-166594 A3 19981006
WO 1998-US20994 W 19981006

AB The present invention provides screening methods for identifying compds. which induce tardive dyskinesia (TD) when administered to an animal. In particular, the methods involve assaying for intermediates and end products of reactions associated with candidate compound-mediated reduction of reducible substrates. Also provided are high-throughput screening methods for determining whether compds. induce TD when administered to an animal. Further, methods are provided for treating **psychoses** comprising testing antipsychotic drugs to identify those which will not induce TD when administered to an animal and administering one or more such drugs to a patient in need thereof. Conventional antipsychotics and some other drugs were tested by incubation with Cu(II) and Fe(III) in PBS (pH 7.4) at 37° for 1 h in the presence of the indicators bathocuproine disulfonate and bathophenanthroline disulfonate. The formation of Cu(I)BC and Fe(II)-BP complexes were monitored at 483 and 536 nm, resp. The conventional antipsychotics selectively reduced copper and, to a much less degree, iron. A few of the non-antipsychotic psychotropic drugs reduced copper, but most did not reduce significant quantities of either C(II) or Fe(III).

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process)
(Cu(II) or Fe(III) reduction by; methods for screening drugs using reducible substrates to predict inducibility of tardive dyskinesia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-

1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

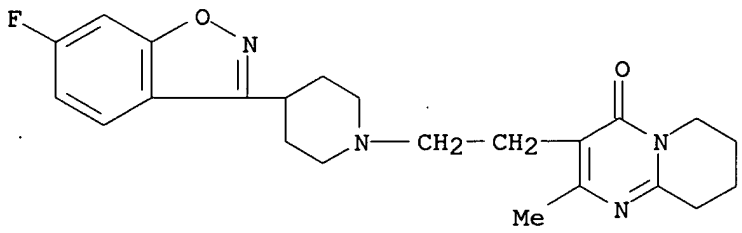


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 82 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:237730 CAPLUS
DOCUMENT NUMBER: 130:261369
TITLE: Risperidone (Risperdal): clinical experience with a new antipsychosis drug
AUTHOR(S): Keks, Nicholas A.; Culhane, Christine
CORPORATE SOURCE: Alfred Hospital, Monash University and Mental Health Research Institute of Victoria, Prahran, 3181, Australia
SOURCE: Expert Opinion on Investigational Drugs (1999), 8(4), 443-452
CODEN: EOIDER; ISSN: 1354-3784
PUBLISHER: Ashley Publications
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 59 refs. Risperidone (Risperdal) is a benzisoxazole derivative with a high affinity for serotonin 5-HT2 and dopamine D2 receptors, and some affinity for α-adrenergic, histamine H1 and dopamine D1 receptors. It has no anticholinergic effects. Early studies demonstrated risperidone to be an effective medication for psychotic symptoms, probably more so than the older neuroleptics for both pos. and neg. symptoms. At clin. EDs, risperidone causes no more extrapyramidal side-effects (EPS) than placebo; at higher doses EPS frequency increases in a dose-dependent manner. Since it became available in 1994, extensive experience with the drug supports favorable early impressions of efficacy and tolerability. Minimal sedation, relatively little weight gain and absence of anticholinergic manifestations contribute to the relative tolerability of risperidone as compared to older neuroleptics. However, risperidone is associated with hyperprolactinemia which can result in amenorrhea and sexual dysfunction. Compared to older neuroleptics, pharmacoeconomic studies have shown that use of risperidone is associated with reduced hospitalization and direct cost savings. A recent study found equivalent efficacy between risperidone and clozapine for treatment-resistant patients. Two studies comparing risperidone and olanzapine have yielded pos. but conflicting findings. The overall pos. experience with risperidone has resulted in the drug being widely recommended as a first line treatment option for **psychoses**.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone (Risperdal): clin. experience with a new antipsychosis drug in humans)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



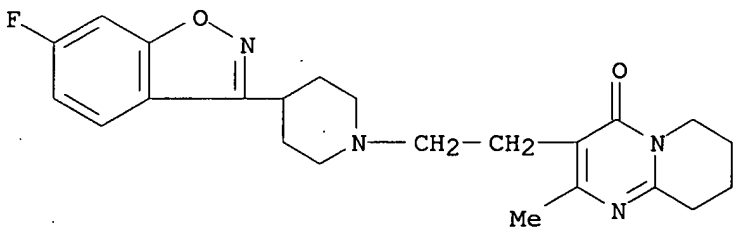
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 83 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:195334 CAPLUS
DOCUMENT NUMBER: 130:291490
TITLE: Neurologic side effects in neuroleptic-naive patients treated with haloperidol or risperidone
AUTHOR(S): Rosebush, Patricia I.; Mazurek, Michael F.
CORPORATE SOURCE: Department of Psychiatry and Behavioural Neurosciences, McMaster University Medical Centre, Hamilton, ON, Can.
SOURCE: Neurology (1999), 52(4), 782-785
CODEN: NEURAI; ISSN: 0028-3878
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: To compare the side effect profile of risperidone with that of oral haloperidol in patients with no previous exposure to antipsychotic drugs (APDs). Background: Early studies suggested that the APD risperidone may have a side effect profile comparable with that of placebo. These early studies involved patients with chronic schizophrenia and a long history of APD use. Very little information is available regarding the neurol. side effects of risperidone in patients without previous APD exposure. Methods: The authors prospectively studied 350 consecutive neuroleptic-naive patients admitted to their acute-care psychiatry service; 34 of these were treated with risperidone (mean dose, 3.2 mg/d) and 212 were treated with low-dose haloperidol (mean dose 3.7 mg/d). All patients were assessed on admission and twice weekly thereafter using rating scales for dystonia, parkinsonism, akathisia, and dyskinesia. Results: The incidence and severity of dystonia reactions, akathisia, parkinsonism, and dyskinesia were comparable in the risperidone- and haloperidol-treated groups. Conclusions: The neurol. side effect profile of low-dose risperidone is comparable with that of haloperidol in patients receiving APDs for the first time. Risperidone may not be a useful alternative to typical APDs for patients with PD and **psychosis.**

IT **106266-06-2,** Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neurol. side effects in neuroleptic-naive patients treated with haloperidol or risperidone)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

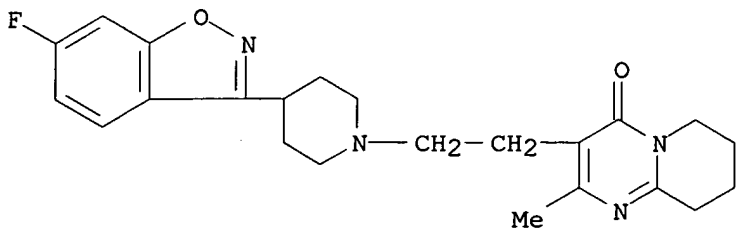


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 84 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:180183 CAPLUS
DOCUMENT NUMBER: 130:262001
TITLE: Comparison of risperidone and placebo for **psychosis** and behavioral disturbances associated with dementia: a randomized, double-blind trial
AUTHOR(S): Katz, Ira R.; Jeste, Dilip V.; Mintzer, Jacobo E.; Clyde, Christopher; Napolitano, Judy; Brecher, Martin
CORPORATE SOURCE: Department of Psychiatry, University of Pennsylvania Medical School, Philadelphia, PA, 19104, USA
SOURCE: Journal of Clinical Psychiatry (1999), 60(2), 107-115
CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We report the findings from the first large, double-blind, placebo-controlled study conducted to evaluate the efficacy and safety of risperidone in the treatment of psychotic and behavioral symptoms in institutionalized elderly patients with dementia. 625 Patients (67.8% women; mean age = 82.7 yr) with DSM-IV diagnoses of Alzheimer's disease (73%), vascular dementia (15%), or mixed dementia (12%) and significant psychotic and behavioral symptoms were included. Each patient was randomly assigned to receive placebo or 0.5 mg/day, 1 mg/day, or 2 mg/day of risperidone for 12 wk. The primary outcome measure was the Behavioral Pathol. in Alzheimer's Disease rating scale (BEHAVE-AD). The study was completed by 70% of the patients. Baseline Functional Assessment Staging scores were 6 or 7 in more than 95% of the patients, indicating severe dementia. At endpoint, significantly greater redns. in BEHAVE-AD total scores and **psychosis** and aggressiveness subscale scores were seen in patients receiving 1 and 2 mg/day of risperidone than in placebo patients ($p = .005$ and $p < .001$, resp.). At week 12, 0.5 mg/day of risperidone was superior to placebo in reducing BEHAVE-AD aggression scores ($p = .02$). More adverse events were reported by patients receiving 2 mg/day of risperidone than 1 mg/day. The most common dose-related adverse events were extrapyramidal symptoms, somnolence, and mild peripheral edema. The frequency of extrapyramidal symptoms in patients receiving 1 mg/day of risperidone was not significantly greater than in placebo patients. Risperidone significantly improved symptoms of **psychosis** and aggressive behavior in patients with severe dementia. Results show that 1 mg/day of risperidone is an appropriate dose for most elderly patients with dementia.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone treatment for **psychosis** and behavioral disturbances associated with dementia in Alzheimer's disease in humans)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



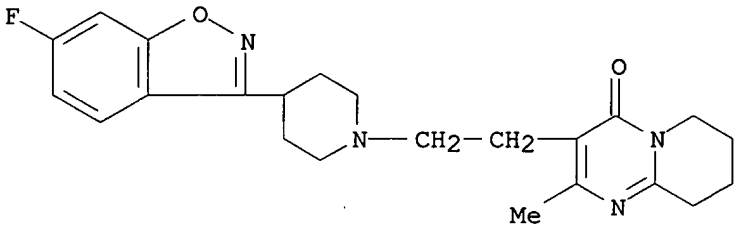
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 85 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:30417 CAPLUS
DOCUMENT NUMBER: 130:246839
TITLE: Psilocybin induces schizophrenia-like **psychosis** in humans via a serotonin-2A agonist action
AUTHOR(S): Vollenweider, Franz X.; Vollenweider-Scherpenhuyzen, Margreet F. I.; Babler, Andreas; Vogel, Helen; Hell, Daniel
CORPORATE SOURCE: Research Department, Psychiatric, University Hospital Zurich, Zurich, CH-8029, Switz.
SOURCE: NeuroReport (1998), 9(17), 3897-3902
CODEN: NERPEZ; ISSN: 0959-4965
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Psilocybin, an indoleamine hallucinogen, produces a **psychosis** -like syndrome in humans that resembles first episodes of schizophrenia. In healthy human volunteers, the psychotomimetic effects of psilocybin were blocked dose-dependently by the serotonin-2A antagonist ketanserin or the atypical antipsychotic risperidone but were increased by the dopamine antagonist and typical antipsychotic haloperidol. These data are consistent with animal studies and provide the first evidence in humans that psilocybin-induced **psychosis** is due to serotonin-2A receptor activation, independently of dopamine stimulation. Thus, serotonin-2A overactivity may be involved in the pathophysiol. of schizophrenia and serotonin-2A antagonism may contribute to therapeutic effects of antipsychotics.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(psilocybin induces schizophrenia-like **psychosis** in humans via serotonin-2A agonist action)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 86 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:21691 CAPLUS
DOCUMENT NUMBER: 130:61095
TITLE: Treatment of negative and cognitive symptoms of schizophrenia with glycine and its precursors
INVENTOR(S): Javitt, Daniel C.; Zukin, Stephen R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5854286	A	19981229	US 1996-759714	19961206
US 6162827	A	20001219	US 1998-212273	19981216
US 2002013364	A1	20020131	US 1999-320446	19990527
US 6355681	B2	20020312		
US 2002010212	A1	20020124	US 2001-956034	20010920
US 2002161048	A1	20021031	US 2002-59362	20020131

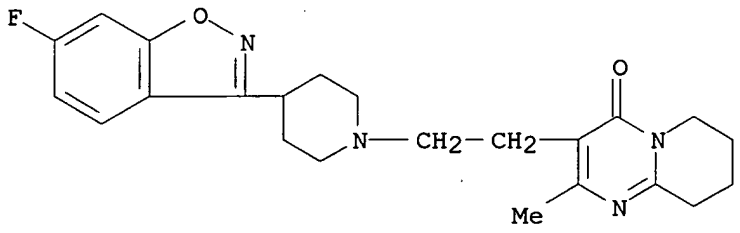
PRIORITY APPLN. INFO.:

US 1995-8361P	P	19951207
US 1996-759714	A3	19961206
US 1998-212273	A2	19981216
US 1999-320446	A1	19990527
US 1999-365889	A3	19990803

AB The amino acid glycine in an administered amount of above 0.4 g/Kg/day is used for treating symptoms of **psychosis** and of schizophrenia.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of neg. and cognitive symptoms of schizophrenia with glycine and antipsychotic drugs)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

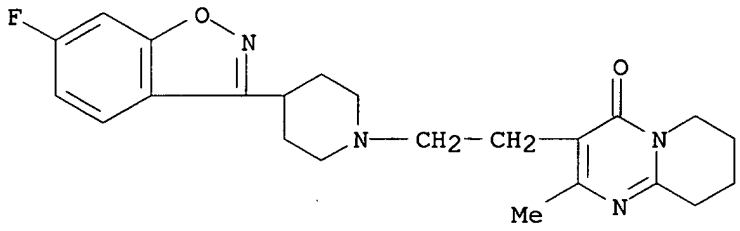
L20 ANSWER 87 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:752230 CAPLUS
DOCUMENT NUMBER: 130:10647
TITLE: Treatment of negative and cognitive symptoms of schizophrenia with glycine uptake antagonists
INVENTOR(S): Javitt, Daniel C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5837730	A	19981117	US 1996-759681	19961206
PRIORITY APPLN. INFO.:			US 1996-759681	19961206

AB A glycine uptake antagonist is administered for augmenting NMDA receptor-mediated neurotransmission and for treating symptoms of **psychosis** and of schizophrenia.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of neg. and cognitive symptoms of schizophrenia in humans with glycine uptake antagonists and glycine in relation to use with other antipsychotics)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

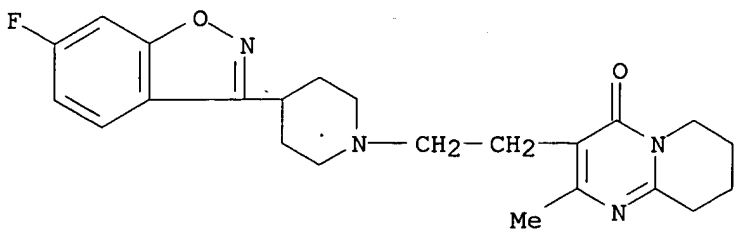


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 88 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:245320 CAPLUS
DOCUMENT NUMBER: 128:317192
TITLE: Risperidone versus haloperidol and amitriptyline in the treatment of patients with a combined psychotic and depressive syndrome
AUTHOR(S): Muller-Siecheneder, Florian; Muller, Matthias J.; Hillert, Andreas; Szegedi, Armin; Wetzel, Hermann; Benkert, Otto
CORPORATE SOURCE: Department of Psychiatry, University of Mainz, Mainz, D-55131, Germany
SOURCE: Journal of Clinical Psychopharmacology (1998), 18(2), 111-120
CODEN: JCPYDR; ISSN: 0271-0749
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In a multicenter, double-blind, parallel group trial, the efficacy of risperidone (RIS) was compared with a combination of haloperidol and amitriptyline (HAL/AMI) over 6 wk in patients with coexisting psychotic and depressive symptoms with either a schizoaffective disorder, depressive type, a major depression with psychotic features, or a nonresidual schizophrenia with major depressive symptoms according to DSM-III-R criteria. A total of 123 patients (62 RIS; 61 HAL/AMI) were included; the mean daily dosage at endpoint was 6.9 mg RIS vs. 9 mg HAL combined with 180 mg AMI. Efficacy results for those 98 patients (47 RIS; 51 HAL/AMI) who completed at least 3 wk of double-blind treatment revealed in both treatment groups large redns. in the Pos. and Neg. Syndrome Scale-derived Brief Psychiatric Rating Scale (RIS 37%; HAL/AMI 51%) and the Bech-Rafaelsen Melancholia Scale total scores (RIS 51%; HAL/AMI 70%). The redns. in the Brief Psychiatric Rating Scale and the Bech-Rafaelsen Melancholia Scale scores in the total group were significantly larger in the HAL/AMI group than in the RIS group ($p < 0.01$), mostly because of significant differences in the subgroup of patients suffering from depression with psychotic features, whereas treatment differences in the other diagnostic subgroups were not significant. The incidence of extrapyramidal side effects as assessed by the Extrapyramidal Symptom Rating Scale was slightly higher under RIS (37%) than under HAL/AMI (31%). Adverse events were reported by 66% of RIS and 75% of HAL/AMI patients. The results of this trial suggest that the therapeutic effect of HAL/AMI is superior to RIS in the total group of patients with combined psychotic and depressive symptoms. However, subgroup differences have to be considered.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone vs. haloperidol/amitriptyline treatment of humans with combined psychotic and depressive syndrome)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 89 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:204419 CAPLUS
DOCUMENT NUMBER: 128:261968
TITLE: Pharmaceutical composition containing combination of atypical antipsychotic and serotonin reuptake inhibitor for treatment of **psychoses**
INVENTOR(S): Bymaster, Franklin Porter; Perry, Kenneth Wayne; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

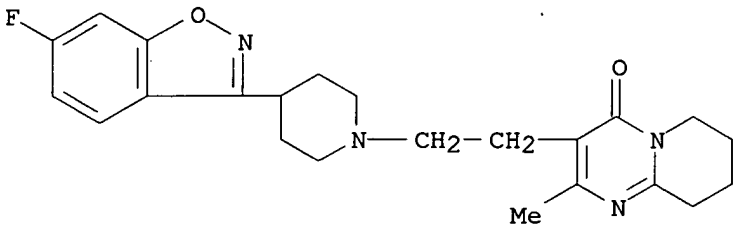
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 830864	A1	19980325	EP 1997-307375	19970922
EP 830864	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 9707967	A	19990304	ZA 1997-7967	19970904
CA 2264941	AA	19980326	CA 1997-2264941	19970909
WO 9811897	A1	19980326	WO 1997-US15874	19970909
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744112	A1	19980414	AU 1997-44112	19970909
AU 719033	B2	20000504		
BR 9711530	A	19990824	BR 1997-11530	19970909
CN 1230886	A	19991006	CN 1997-198113	19970909
NZ 334168	A	20000929	NZ 1997-334168	19970909
JP 2001503031	T2	20010306	JP 1998-514717	19970909
TW 541178	B	20030711	TW 1997-86113280	19970912
EP 1256345	A1	20021113	EP 2002-16238	19970922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO, AL				
AT 231724	E	20030215	AT 1997-307375	19970922
ES 2191152	T3	20030901	ES 1997-307375	19970922
US 6147072	A	20001114	US 1997-935872	19970923
HK 1009755	A1	20031024	HK 1998-110801	19980921
NO 9901381	A	19990322	NO 1999-1381	19990322
KR 2000048518	A	20000725	KR 1999-702422	19990322
PRIORITY APPLN. INFO.:			US 1996-26884P P	19960923
			WO 1997-US15874 W	19970909
			EP 1997-307375 A3	19970922

AB Pharmaceutical compns. containing combination of atypical antipsychotics and serotonin reuptake inhibitors are useful for the treatment of **psychoses**. Form II olanzapine (I) polymorph was prepared by heating I at 76° for 30 min in Et acetate and crystallization Hard gelatin capsules contained I 25, fluoxetin hydrochloride 20, starch 150, and magnesium stearate 10 mg.
IT **106266-06-2**, Risperidone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition containing combination of atypical antipsychotic and
serotonin reuptake inhibitor for treatment of **psychoses**)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



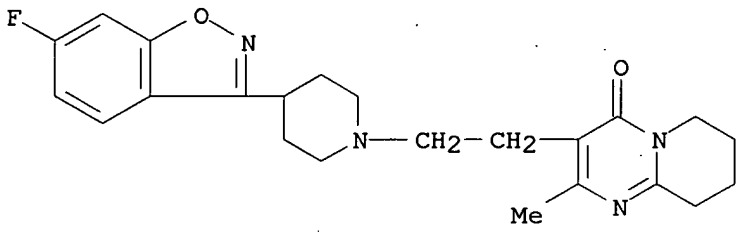
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 90 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:72960 CAPLUS
DOCUMENT NUMBER: 128:191185
TITLE: Expression and characterization of a dopamine D4R variant associated with delusional disorder
AUTHOR(S): Zenner, Marie-Therese; Nobile, Maria; Henningsen, Robert; Smeraldi, Enrico; Civelli, Olivier; Hartman, Deborah S.; Catalano, Marco
CORPORATE SOURCE: Preclinical Neuroscience, Hoffmann-La Roche, Pharmaceutical Research, Basel, 4070, Switz.
SOURCE: FEBS Letters (1998), 422(2), 146-150
CODEN: FEBLAL; ISSN: 0014-5793
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Multiple genetic polymorphisms of the human dopamine D4 receptor (hD4R) have been identified including a 12 bp repeat in exon 1 associated with a psychotic condition called delusional disorder. Competition binding assays revealed minor pharmacol. differences between the recombinant A1 (normal) and A2 (delusional) proteins with respect to quinpirole and the antipsychotic clozapine, however no functional differences were detected for receptor activation by dopamine, epinephrine, or norepinephrine. The results suggest that this polymorphism may only confer susceptibility to delusional disorder in combination with other genetic or environmental factors.

IT **106266-06-2,** Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(dopamine D4 receptor gene polymorphism association with delusional disorder in humans and effect on response to neuroleptics)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



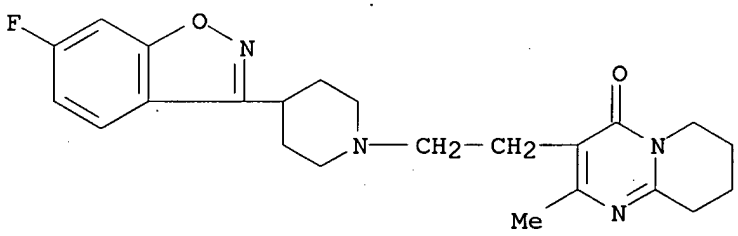
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 91 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:63335 CAPLUS
DOCUMENT NUMBER: 128:188574
TITLE: The use of risperidone for **psychosis** and agitation in demented patients with Parkinson's disease
AUTHOR(S): Workman, Richard H., Jr.; Orengo, Claudia A.; Bakey, Ayman Abdel; Molinari, Victor A.; Kunik, Mark E.
CORPORATE SOURCE: Veterans Affairs Med. Cent., Houston, TX, USA
SOURCE: Journal of Neuropsychiatry and Clinical Neurosciences (1997), 9(4), 594-597
CODEN: JNCNE7; ISSN: 0895-0172
PUBLISHER: American Psychiatric Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This pilot study investigated effectiveness and tolerability of risperidone for the treatment of **psychosis** and agitation in 9 inpatients with Parkinson's disease and dementia. Investigators found risperidone to be effective and safe, without worsening extrapyramidal symptoms or further impairing cognition.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone treatment of **psychosis** and agitation in demented humans with Parkinson's disease)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

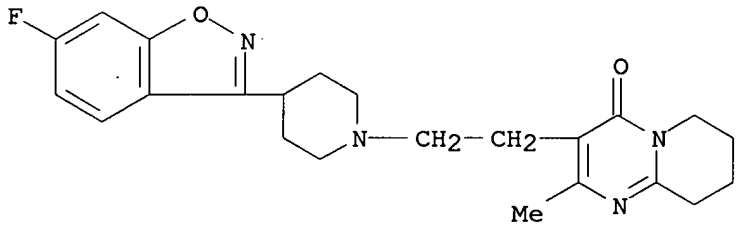
L20 ANSWER 92 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:735018 CAPLUS
DOCUMENT NUMBER: 128:29954
TITLE: Use of atypical antipsychotic agents in geriatric patients: a review
AUTHOR(S): Kumar, V.
CORPORATE SOURCE: Department of Psychiatry, University of Illinois, Chicago, IL, USA
SOURCE: International Journal of Geriatric Psychopharmacology (1997), 1(1), 15-23
CODEN: IJGPFT; ISSN: 1364-8233
PUBLISHER: Stockton
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 39 refs. The treatment of elderly patients suffering from **psychosis, psychosis** associated with Parkinson's disease, and dementia-related behavioral disturbances has been a challenge for us because of the side-effects of conventional antipsychotic medication. However, this review of the use of clozapine and risperidone in the elderly population indicates that both drugs are efficacious, but the side-effect profile of risperidone appears to be less troublesome.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(atypical antipsychotic use in geriatric humans)

RN 106266-06-2 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

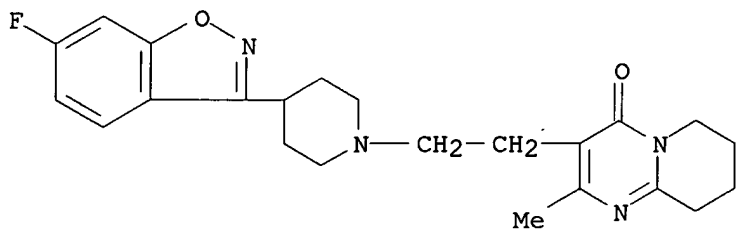


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 93 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:544171 CAPLUS
DOCUMENT NUMBER: 127:215075
TITLE: Risperidone in the elderly: a pharmaco-epidemiologic study
AUTHOR(S): Zarate, Carlos A., Jr.; Baldessarini, Ross J.; Siegel, Arthur J.; Nakamura, Ataru; McDonald, Jane; Muir-Hutchinson, Lou Ann; Cherkerzian, Tanya; Tohen, Mauricio
CORPORATE SOURCE: Pharmacoepidemiology Center, McLean Hospital, Belmont, MA, USA
SOURCE: Journal of Clinical Psychiatry (1997), 58(7), 311-317
CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The possibly limited adverse effects of risperidone encourage interest in its use in geriatric patients. Medical records of 122 hospitalized psychogeriatric patients (≥65 yr old) newly treated with risperidone were reviewed and scored for indications, doses, and effects of this novel neuroleptic. Subjects (83 women, 39 men), mean ± SD age = 76.5 ± 6.8 yr (range, 65-95), were given risperidone for agitation or **psychosis** associated with dementia (53%), a major mood disorder (29%), or other disorders (18%). Most (77%) were also medically ill and received other psychotropic (76%) or cardiovascular agents (70%). Daily doses of risperidone averaged 1.6 ± 1.1 mg (range, 0.25-8.0) (0.025 mg/kg body weight); 78% received 2.0 mg. Risperidone appeared to be effective in 85% of cases, but 18% were discontinued due to intolerability (11%) or inefficacy (7%). Adverse events occurred in 32% of the patients (36% of those discontinued). These adverse events included hypotension (29%) or symptomatic orthostasis (10%), cardiac arrest (1.6%) with fatality (0.8%), and extrapyramidal effects (11%) or delirium (1.6%). Benefits were associated with younger age and male gender, but not risperidone dose. Adverse effects were associated with cardiovascular disease and its treatment, cotreatment with an SRI antidepressant or valproate, and relatively rapid dose increases. Risperidone appeared to be effective and may be safe for many elderly psychiatric patients with comorbid medical conditions provided that doses are low and increased slowly. Particular caution is advised in the presence of cardiovascular disease or cotreatment disease or cotreatment with other psychotropic agents.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone in the elderly)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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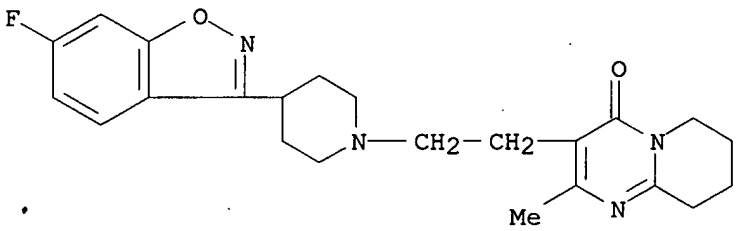
L20 ANSWER 94 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:101923 CAPLUS
DOCUMENT NUMBER: 126:196162
TITLE: Uniform solid-phase extraction procedure for toxicological drug screening in serum and urine by HPLC with photodiode-array detection
AUTHOR(S): Lai, Chi-Kong; Lee, Ting; Au, Kam-ming; Chan, Albert Yan-Wo
CORPORATE SOURCE: Dep. Pathology, Princess Margaret Hospital, Lai Chi Kok, Hong Kong
SOURCE: Clinical Chemistry (Washington, D. C.) (1997), 43(2), 312-325
CODEN: CLCHAU; ISSN: 0009-9147
PUBLISHER: American Association for Clinical Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In this HPLC-diode-array detection method for toxicol. drug screening, a mixed-mode solid-phase extraction procedure is optimized for isolation of a broad range of drugs from serum and urine. Basic, neutral, and weakly acidic drugs are uniformly recovered. The extract from the solid-phase cartridge is readily injected to a reversed-phase HPLC column for separation by gradient elution. Unknown drugs and metabolites in urine and serum samples from acute drug poisoning cases are rapidly identified by matching their retention times and UV spectra with hundreds of reference compds. in the library. Urine metabolites of common toxicants from various medications and drugs of abuse are recorded, with their changes of retention times and UV spectra as related to their metabolic transformations. Glucuronide conjugates of common benzodiazepines, tricyclic antidepressants, and beta-blockers are examined directly without chemical or enzymic hydrolysis. The system is reliable for diverse clin. investigations of drug overdoses, drug-induced **psychoses**, and substance abuse.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); ANT (Analyte); ANST (Analytical study); BIOL (Biological study)
(solid-phase extraction procedure for toxicol. drug screening in serum and urine by HPLC with photodiode-array detection)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

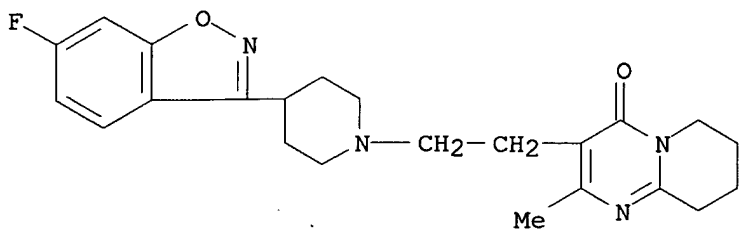


L20 ANSWER 95 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:698580 CAPLUS
DOCUMENT NUMBER: 126:991
TITLE: Efficacy of risperidone in reducing positive and negative symptoms in medication-refractory schizophrenia: An open prospective study
AUTHOR(S): Smith, Robert C.; Chua, Jayson W.; Lipetsker, Boris; Bhattacharyya, Anjan
CORPORATE SOURCE: Department Psychiatry, NYU, Hewlett, NY, 11557-0316, USA
SOURCE: Journal of Clinical Psychiatry (1996), 57(10), 460-466
CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Although risperidone has been shown to be an effective antipsychotic medication in schizophrenia, the clin. studies performed for the Food and Drug Administration's approval process focused on only a mixed group of schizophrenic patients. Most of these studies did not directly address the efficacy of risperidone in chronic nonresponding schizophrenics. To better evaluate whether risperidone has a substantial degree of efficacy in schizophrenic nonresponders, we conducted an open prospective study of risperidone in a sample of chronically hospitalized schizophrenic patients. Method: Twenty-five patients who met DSM-III-R criteria for schizophrenia or schizo-affective **psychosis**, who were chronically hospitalized at a tertiary care state facility, and who had not responded to conventional neuroleptics were evaluated before and during treatment with risperidone by using several standard rating scales and adjunctive assessments. Results: Endpoint anal. showed that 36% (N = 9) of the patients were classified as responders on the basis of at least a 20% decrease in total Brief Psychiatric Rating Scale score at final evaluation. A higher percentage of patients were classified as responders when other rating scale criteria were used. Redns. in psychopathol. scores were seen in scales reflecting pos. symptoms but not in scores of neg. symptoms. High baseline neg. symptom scores were correlated with poorer response to risperidone as indicated by the decrease in pos. symptom scores. Conclusion: This study offers evidence that risperidone may reduce pos. symptoms of schizophrenia for a subgroup of chronically hospitalized schizophrenic patients who have not responded to conventional neuroleptics. The comparative evaluation of the efficacy of risperidone vs. that of clozapine in these types of patients requires further study.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy of risperidone in reducing pos. and neg. symptoms in medication-refractory schizophrenia)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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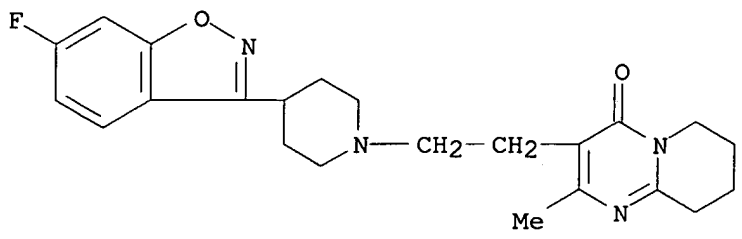
L20 ANSWER 96 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:638478 CAPLUS
DOCUMENT NUMBER: 125:316994
TITLE: Marked elevations of serum creatine kinase activity associated with antipsychotic drug treatment
AUTHOR(S): Meltzer, Herbert Y.; Cola, Philip A.; Parsa, Mahmoud
CORPORATE SOURCE: School Medicine, Case Western Reserve University, Cleveland, OH, 44106-5000, USA
SOURCE: Neuropsychopharmacology (1996), 15(4), 395-405
CODEN: NEROEW; ISSN: 0893-133X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Serum creatine kinase (SCK) activity of the skeletal muscle (MM) form is sometimes moderately increased in acutely psychotic patients and may be massively increased as a result of muscle damage. The objective of this study was to characterize the SCK increases in patients treated with novel antipsychotic drugs. SCK activity and myoglobinuria, an index of gross muscle damage, were monitored at varying intervals in schizophrenic or schizoaffective patients treated with antipsychotic drugs. Possible causes of increases in SCK activity, such as trauma, excessive phys. activity, exacerbation of **psychosis**, were assessed. Fifteen instances of massive increases in SCK activity were observed in 11 out of 121 patients (10%) treated with the following antipsychotic drugs: clozapine, loxapine, haloperidol, melperone, risperidone, or olanzapine. These increases in SCK activity were of the MM type and ranged from 1206 to 177,363 IU/L (median, 9600 IU/L). Thus, they were much larger than the increases usually found in acutely psychotic patients or patients with neuroleptic malignant syndrome (range, 500-3000 IU/L). Only the patient with SCK activity of 177,363 IU/L had rhabdomyolysis as evidenced by myoglobinuria. The onset of the increases was from 5 days to 2 yr after initiating treatment, and the increases lasted 4 to 28 days (median, 8 days). Flulike symptoms were present in two of the patients, but the others were asymptomatic. The increases were self-limiting in three cases, despite continuing treatment. Two of three cases rechallenged with the same drug again developed large increases in SCK activity within a week. It is unlikely these increases in SCK activity are related to acute **psychosis**, trauma, or the neuroleptic malignant syndrome. The increase in SCK activity may reflect the ability of the drugs to increase intermittently cell membrane permeability, especially in skeletal muscle, in some vulnerable subjects. A possible role of serotonin in this process is suggested by the pharmacol. of most of the offending drugs. However, in some instances, the increases may have been unrelated to drug treatment. There was no evidence that these increases in SCK activity, despite their magnitude, compromised renal function. Routine monitoring of SCK activity of myoglobinuria during treatment with the antipsychotic drugs studied here is probably not necessary.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(marked elevations of serum creatine kinase activity associated with antipsychotic drug treatment in humans)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)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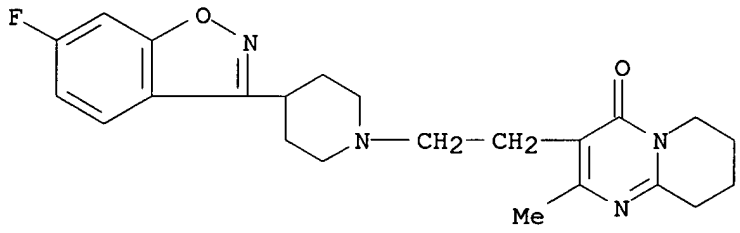
L20 ANSWER 97 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:426696 CAPLUS
DOCUMENT NUMBER: 125:76241
TITLE: Risperidone therapy in treatment refractory acute bipolar and schizoaffective mania
AUTHOR(S): Sajatovic, Martha; DiGiovanni, Sue Kim; Bastani, Bijan; Hattab, Helen; Ramirez, Luis F.
CORPORATE SOURCE: Medical Center, Cleveland Veterans Administration, Cleveland, OH, 44141, USA
SOURCE: Psychopharmacology Bulletin (1996), 32(1), 55-61
CODEN: PSYBB9; ISSN: 0048-5764
PUBLISHER: U.S. Dep. of Health and Human Services
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This pilot study evaluated the efficacy of risperidone therapy in patients with bipolar I or schizoaffective mania who were treatment resistant or treatment intolerant. Patient psychopathol. and involuntary movements were evaluated with a variety of scales, and risperidone was administered on an open-label basis. Five of six patients (all bipolar) discontinued risperidone therapy because of adverse drug effects (2 patients), lack of significant drug response and subjective clin. worsening (1 patient), or worsening of manic symptoms (2 patients). One patient with schizoaffective illness improved. Risperidone used without the addition of a mood stabilizer was ineffective in treating pure manic **psychosis**. In some vulnerable bipolar patients, risperidone monotherapy may have antidepressant activity that could exacerbate mania. If risperidone proves to have antidepressant activity, it may become an important agent in the therapy of patients with depressive symptoms and **psychosis**.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(risperidone therapy in treatment refractory acute bipolar and schizoaffective mania in humans)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

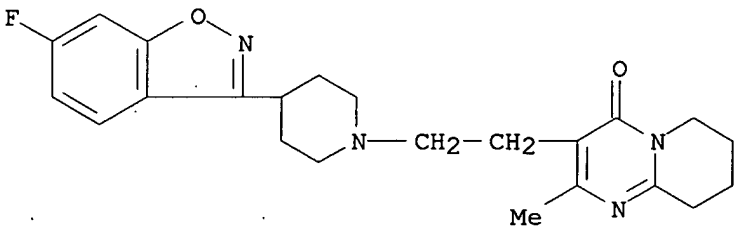


L20 ANSWER 98 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:355774 CAPLUS
DOCUMENT NUMBER: 125:49069
TITLE: Behavioral effects of sertindole, risperidone, clozapine and haloperidol in Cebus monkeys
AUTHOR(S): Casey, Daniel E.
CORPORATE SOURCE: Psychiatry Serv., VA Med. Cent., Portland, OR, 97207, USA
SOURCE: Psychopharmacology (Berlin) (1996), 124(1/2), 134-140
CODEN: PSCHDL; ISSN: 0033-3158
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Extrapyramidal side effects (EPS) are major limitations to neuroleptic treatment of **psychoses**. To evaluate further the behavioral characteristics of the novel antipsychotic agents, a wide range of single i.m. doses of sertindole (0.1-2.5 mg/kg IM), risperidone (0.001-0.25 mg/kg IM), clozapine (1.0-25.0 mg/kg IM), and haloperidol (0.01-0.25 mg/kg IM) were blindly evaluated at weekly intervals in Cebus monkeys previously sensitized to neuroleptics. All drugs except clozapine produced dystonia and parkinsonian symptoms, but haloperidol and risperidone were 50-100 times more potent than sertindole in producing EPS. Sertindole, risperidone and clozapine had no significant sedative effects, whereas clozapine produced dose related sedation. Risperidone, clozapine and haloperidol but not sertindole decreased locomotor activity. Sertindole, risperidone and clozapine had a calming effect at doses below the EPS threshold, unlike haloperidol. Sertindole has man behavioral effects in nonhuman primates that are similar to those seen with the new antipsychotics, risperidone and clozapine, which suggests a favorable antipsychotic benefit/risk ratio in the clinic, especially regarding EPS.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(behavioral effects of sertindole, risperidone, clozapine and haloperidol in Cebus monkeys)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

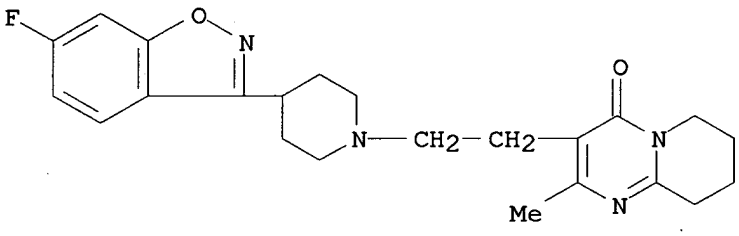


L20 ANSWER 99 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:299423 CAPLUS
DOCUMENT NUMBER: 125:1141
TITLE: Use of risperidone in Korsakoff **psychosis** patients
AUTHOR(S): Kamlana, S. H.
CORPORATE SOURCE: West Cumberland Hospital, Cumbrian, CA28 8JG, UK
SOURCE: Journal of Drug Development and Clinical Practice (1996), 8(1), 43-44
CODEN: JDCPFC; ISSN: 1357-9215
PUBLISHER: Gardiner-Caldwell Communications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Risperidone has been successfully used to treat psychotic symptoms in a 55-yr-old male with Korsakoff **psychosis** after low-dose conventional neuroleptics caused unacceptable side effects and had little effect.

IT **106266-06-2**, Risperidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of risperidone in Korsakoff **psychosis** patients)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

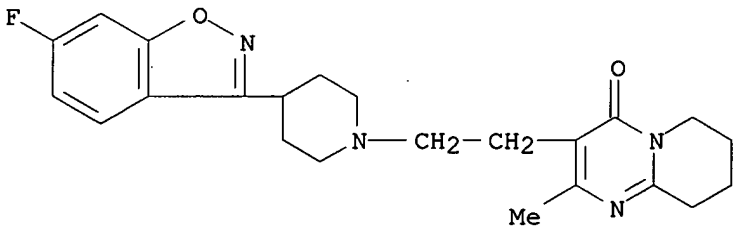


L20 ANSWER 100 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:692633 CAPLUS
DOCUMENT NUMBER: 121:292633
TITLE: Effects of risperidone on phencyclidine-induced behaviors: comparison with haloperidol and ritanserin
AUTHOR(S): Kitaichi, Kiyoyuki; Yamada, Kiyofumi; Hasegawa, Takaaki; Furukawa, Hiroshi; Nabeshima, Toshitaka
CORPORATE SOURCE: Sch. Med., Nagoya Univ., Nagoya, 466, Japan
SOURCE: Japanese Journal of Pharmacology (1994), 66(2), 181-9
CODEN: JJPAAZ; ISSN: 0021-5198
PUBLISHER: Japanese Pharmacological Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In this study, we investigated whether risperidone, a serotonin-S2A (5-HT2A)/dopamine-D2 (D2)-receptor antagonist, inhibits phencyclidine (PCP)-induced stereotyped behaviors in comparison with haloperidol and ritanserin. Moreover, we also attempted to investigate the effects of these antipsychotics on the contents of dopamine, serotonin (5-HT) and their metabolites in rat striatum and frontal cortex. In rats, PCP (5 mg/kg, i.p.) caused hyperlocomotion and stereotyped behaviors, including sniffing, head-weaving, backpedalling and turning. Both risperidone (0.8-2.4 mg/kg, p.o.) and haloperidol (0.3-1.0 mg/kg, p.o.) inhibited these behaviors, except for backpedalling, in a dose-dependent manner. PCP (10 mg/kg, i.p.) produced hyperlocomotion and stereotyped behaviors, including rearing, sniffing head-twitch, backpedalling and turning. Risperidone (0.8-2.4 mg/kg, p.o.) inhibited both hyperlocomotion and PCP-induced behaviors, except for backpedalling, while ritanserin (3-10 mg/kg, p.o.) inhibited only the head-twitch. These results suggest that risperidone may have an antipsychotic effect on schizophrenia as well as PCP **psychosis** in humans by exerting a mixed 5-HT2A/D2 antagonism. Neurochem., the increasing effects of risperidone on the content of DOPAC and the ratio of DOPAC to dopamine in the striatum were lower than those of haloperidol. These findings may support the view that the extrapyramidal side effects of risperidone are lower than those of haloperidol in clin. situations.

IT **106266-06-2**, Risperidone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effects of risperidone on phencyclidine-induced behaviors: comparison with haloperidol and ritanserin)

RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



L20 ANSWER 101 OF 101 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:30503 CAPLUS
DOCUMENT NUMBER: 112:30503
TITLE: Therapeutic effect and safety of increasing doses of risperidone (R 64766) in psychotic patients
AUTHOR(S): Mesotten, F.; Suy, E.; Pietquin, M.; Burton, P.; Heylen, S.; Gelders, Y.
CORPORATE SOURCE: Psychiatr. Inst. St. Jozef, Munsterbilzen, B-3751, Belg.
SOURCE: Psychopharmacology (Berlin, Germany) (1989), 99(4), 445-9
CODEN: PSCHDL; ISSN: 0033-3158
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Risperidone (R 64766) was administered during 4 wk in increasing doses to 17 psychotic patients, to evaluate the hematol. and cardiovascular safety, the therapeutic effect, side effects, effects upon endocrinol. parameters and the pharmacokinetic profile. Following a placebo wash-out period of 1 wk, the initial dose was 10 mg daily, increasing with 5 mg per wk until the maximal dose of 25 mg daily was reached during the 4th week of treatment. Doses up to 20 mg daily resulted in a significant improvement of the total Brief Psychiatric Rating Scale (BPRS) score and of the different BPRS factor scores; with higher doses, no further clin. benefit was achieved except for the hostility and anxiety-depression factor, while sedation became more prominent. No increase of extrapyramidal symptoms was noticed. Except for the sedation observed with higher doses, risperidone was well tolerated. No clin. relevant effects on cardiovascular and ECG parameters were noticed, and except for a slight increase of aspartate aminotransferase and alanine aminotransferase in one patient, no laboratory abnormalities were observed Prolactin showed an expected increase, while the other endocrinol. parameters revealed no changes. Risperidone had a linear pharmacokinetic profile.

IT **106266-06-2**, Risperidone
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (pharmacokinetics and pharmacol. and toxicity of, in **psychosis** in humans)
RN 106266-06-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)